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The Patent Office
Concept House
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Newport
South Wales
NP10 8QQ

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Signed R. Mahoney

Dated 13 March 2003

**Patents Form 1/77**

Patents Act 1977
(Rule )



1/77

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POU 700 0.00-0213715.6

# Request for grant of a patent

*(See the notes on the back of this form. You can also get an explanatory leaflet from the Patent Office to help you fill in this form)*

The Patent Office

Cardiff Road
Newport
South Wales
NP10 8QQ

1. Your reference

PPD 50698/GB/P

2. Patent application number
*(The Patent Office will fill in this part)*

0213715.6

14 JUN 2002

3. Full name, address and postcode of the or of each applicant *(underline all surnames)*

SYNGENTA Limited
European Regional Centre
Priestley Road
Surrey Research Park, Guildford,
Surrey, GU2 7YH, United Kingdom

Patents ADP number *(if you know it)*

~~6254007002~~

If the applicant is a corporate body, give the country/state of its incorporation

8330748001
UNITED KINGDOM

4. Title of the invention

CHEMICAL COMPOUNDS

5. Name of your agent *(if you have one)*

"Address for service" in the United Kingdom to which all correspondence should be sent *(including the postcode)*

John Richard WATERMAN
Intellectual Property Department
Syngenta Limited
Jealott's Hill International Research Centre
PO Box 3538
Bracknell, Berkshire, RG42 6YA
UNITED KINGDOM

Patents ADP number *(if you know it)*

6791537002

6. If you are declaring priority from one or more earlier patent applications, give the country and the date of filing of the or of each of these earlier applications and *(if you know it)* the or each application number

| Country | Priority application number *(if you know it)* | Date of filing *(day / month / year)* |
|---|---|---|

7. If this application is divided or otherwise derived from an earlier UK application, give the number and the filing date of the earlier application

| Number of earlier application | Date of filing *(day / month / year)* |
|---|---|

8. Is a statement of inventorship and of right to grant of a patent required in support of this request? *(Answer 'Yes' if:*

*a) any applicant named in part 3 is not an inventor, or*
*b) there is an inventor who is not named as an applicant, or*
*c) any named applicant is a corporate body.*
*See note (d))*

YES (b)

**Patents Form 1/77**

9.– Enter the number of sheets for any of the following items you are filing with this form. Do not count copies of the same document

| | |
|---|---|
| Continuation sheets of this form | |
| Description | 91 |
| Claim(s) | 03 |
| Abstract | 01 |
| Drawing(s) | 00 |

10. If you are also filing any of the following, state how many against each item.

| | |
|---|---|
| Priority documents | |
| Translations of priority documents | |
| Statement of inventorship and right to grant of a patent *(Patents Form 7/77)* | |
| Request for preliminary examination and search *(Patents Form 9/77)* | |
| Request for substantive examination *(Patents Form 10/77)* | |
| Any other documents *(please specify)* | |

11. I/We request the grant of a patent on the basis of this application.

Syngenta Limited

Signature J A Bowden Date 14/6/02

Authorised Signatory

12. Name and daytime telephone number of person to contact in the United Kingdom

Joanna Carmen CHANDLER = 01344 414365

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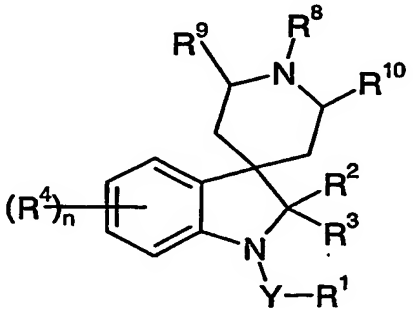
# CHEMICAL COMPOUNDS

The present invention relates to spiroindoline derivatives, to processes for preparing them, to insecticidal, acaricidal, molluscicidal and nematicidal compositions comprising them and to methods of using them to combat and control insect, acarine, mollusc and nematode pests.

Spiroindoline derivatives are disclosed in WO9825605, WO9429309, WO9828297 and WO9964002. Synthetic routes to compounds with pharmaceutical properties are described in Proc. Natl. Acad. Sci. USA (1995), 92, 7001, Tetrahedron (1997), 53, 10983 and Tetrahedron Letters (1997), 38, 1497. It has now surprisingly been found that certain spiroindolines have insecticideal properties.

The present invention therefore provides a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I):



(I)

wherein Y is a single bond, C=O, C=S or $S(O)_q$ where q is 0, 1 or 2; $R^1$ is hydrogen, optionally substituted alkyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, aminocarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocyclyloxy, cyano, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, formyl, optionally substituted heterocyclyl, optionally

substituted alkylthio or $NR^{13}R^{14}$ where $R^{13}$ and $R^{14}$ are independently hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocyclyl; $R^2$ and $R^3$ are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl or $C(O)NR^{15}R^{16}$ where $R^{15}$ and $R^{16}$ are independently hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocyclyl, or $R^2$ and $R^3$ together are =O, or $R^2$ and $R^3$ together with the atoms to which they are attached form a 4, 5, 6,or 7 membered carbocylic or heterocyclic ring; each $R^4$ is independently halogen, nitro, cyano, optionally substituted $C_{1-8}$ alkyl, optionally substituted $C_{2-6}$ alkenyl, optionally substituted $C_{2-6}$ alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted $C_{3-7}$ cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio or $R^{19}R^{20}N$ where $R^{19}$ and $R^{20}$ are, independently, hydrogen, $C_{1-8}$ alkyl, $C_{3-7}$ cycloalkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, $C_{3-7}$ cycloalkyl($C_{1-4}$)alkyl, $C_{2-6}$ haloalkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{1-6}$ alkoxycarbonyl or $R^{19}$ and $R^{20}$ together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two $C_{1-6}$ alkyl groups, or 2 adjacent groups $R^4$ together with the carbon atoms to which they are attached form a 4, 5, 6,or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4; $R^8$ is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl or optionally substituted alkenylcarbonyl; $R^9$ and $R^{10}$ are independently hydrogen, halogen, optionally substituted alkyl, optionally substituted aryl or $R^9$ and $R^{10}$ together form a group -$CH_2$-, -CH=CH- or -$CH_2CH_2$-; or salts or N-oxides thereof.

The compounds of formula (I) may exist in different geometric or optical isomers or tautomeric forms. This invention covers all such isomers and tautomers and mixtures thereof in all proportions as well as isotopic forms such as deuterated compounds.

Each alkyl moiety either alone or as part of a larger group (such as alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) is a straight or branched chain and is, for example, methyl, ethyl, n-propyl, n-butyl, n-pentyl, n-hexyl, iso-propyl, n-butyl, sec-butyl, iso-butyl, tert-butyl or neo-pentyl.

When present, the optional substituents on an alkyl moiety (alone or as part of a larger group such as alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl) include one or more of halogen, nitro, cyano, NCS-, $C_{3-7}$ cycloalkyl (itself optionally substituted with $C_{1-6}$ alkyl or halogen), $C_{5-7}$ cycloalkenyl (itself optionally substituted with $C_{1-6}$ alkyl or halogen), hydroxy, $C_{1-10}$ alkoxy, $C_{1-10}$ alkoxy($C_{1-10}$)alkoxy, tri($C_{1-4}$)alkylsilyl($C_{1-6}$)alkoxy, $C_{1-6}$ alkoxycarbonyl($C_{1-10}$)alkoxy, $C_{1-10}$ haloalkoxy, aryl($C_{1-4}$)-alkoxy (where the aryl group is optionally substituted), $C_{3-7}$ cycloalkyloxy (where the cycloalkyl group is optionally substituted with $C_{1-6}$ alkyl or halogen), $C_{1-10}$ alkenyloxy, $C_{1-10}$ alkynyloxy, SH, $C_{1-10}$ alkylthio, $C_{1-10}$ haloalkylthio, aryl($C_{1-4}$)alkylthio (where the aryl group is optionally substituted), $C_{3-7}$ cycloalkylthio (where the cycloalkyl group is optionally substituted with $C_{1-6}$ alkyl or halogen), tri($C_{1-4}$)alkylsilyl($C_{1-6}$)alkylthio, arylthio (where the aryl group is optionally substituted), $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ haloalkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ haloalkylsulfinyl, arylsulfonyl (where the aryl group may be optionally substituted), tri($C_{1-4}$)alkylsilyl, aryldi($C_{1-4}$)alkylsilyl, ($C_{1-4}$)alkyldiarylsilyl, triarylsilyl, $C_{1-10}$ alkylcarbonyl, $HO_2C$, $C_{1-10}$ alkoxycarbonyl, aminocarbonyl, $C_{1-6}$ alkylaminocarbonyl, di($C_{1-6}$ alkyl)aminocarbonyl, N-($C_{1-3}$ alkyl)-N-($C_{1-3}$ alkoxy)aminocarbonyl, $C_{1-6}$ alkylcarbonyloxy, arylcarbonyloxy (where the aryl group is optionally substituted), di($C_{1-6}$)alkylaminocarbonyloxy, aryl (itself optionally substituted), heteroaryl (itself optionally substituted), heterocyclyl (itself optionally substituted with $C_{1-6}$ alkyl or halogen), aryloxy (where the aryl group is optionally substituted), heteroaryloxy, (where the heteroaryl group is optionally substituted), heterocyclyloxy (where the heterocyclyl group is optionally substituted with $C_{1-6}$ alkyl or halogen), amino, $C_{1-6}$ alkylamino, di($C_{1-6}$)alkylamino, $C_{1-6}$ alkylcarbonylamino, N-($C_{1-6}$)alkylcarbonyl-N-($C_{1-6}$)alkylamino, $C_{2-6}$ alkenylcarbonyl, $C_{2-6}$ alkynylcarbonyl, $C_{3-6}$ alkenyloxycarbonyl, $C_{3-6}$ alkynyloxycarbonyl, aryloxycarbonyl (where the aryl group is optionally substituted) and arylcarbonyl (where the aryl group is optionally substituted).

Alkenyl and alkynyl moieties can be in the form of straight or branched chains, and the alkenyl moieties, where appropriate, can be of either the (E)- or (Z)-configuration. Examples are vinyl, allyl and propargyl.

When present, the optional substituents on alkenyl or alkynyl include those optional substituents given above for an alkyl moiety.

In the context of this specification acyl is optionally substituted $C_{1-6}$ alkylcarbonyl (for example acetyl), optionally substituted $C_{2-6}$ alkenylcarbonyl, optionally substituted $C_{2-6}$ alkynylcarbonyl, optionally substituted arylcarbonyl (for example benzoyl) or optionally substituted heteroarylcarbonyl.

Halogen is fluorine, chlorine, bromine or iodine.

Haloalkyl groups are alkyl groups which are substituted with one or more of the same or different halogen atoms and are, for example, $CF_3$, $CF_2Cl$, $CF_3CH_2$ or $CHF_2CH_2$.

In the context of the present specification the terms "aryl" and "aromatic ring system" refer to ring systems which may be mono-, bi- or tricyclic. Examples of such rings include phenyl, naphthalenyl, anthracenyl, indenylor phenanthrenyl. A preferred aryl group is phenyl. In addition, the terms "heteroaryl" , "heteroaromatic ring" or "heteroaromatic ring system" refer to an aromatic ring system containing at least one heteroatom and consisting either of a single ring or of two or more fused rings. Preferably, single rings will contain up to three and bicyclic systems up to four heteroatoms which will preferably be chosen from nitrogen, oxygen and sulphur. Examples of such groups include furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,3,4-thiadiazolyl, 1,2,5-thiadiazolyl, pyridyl, pyrimidinyl, pyridazinyl, pyrazinyl, 1,2,3-triazinyl, 1,2,4-triazinyl, 1,3,5-triazinyl, benzofuryl, benzisofuryl, benzothienyl, benzisothienyl, indolyl, isoindolyl, indazolyl, benzothiazolyl, benzisothiazolyl, benzoxazolyl, benzisoxazolyl, benzimidazolyl, quinolinyl, isoquinolinyl, cinnolinyl, phthalazinyl, quinazolinyl, quinoxalinyl, naphthyridinyl, benzotriazinyl, purinyl, pteridinyl and indolizinyl. Preferred examples of heteroaromatic radicals include pyridyl, pyrimidyl, triazinyl, thienyl, furyl, oxazolyl, isoxazolyl, and thiazolyl.

The terms heterocycle and heterocyclyl refer to a non-aromatic ring containing up to 10 atoms including one or more (preferably one or two) heteroatoms selected from O, S and N. Examples of such rings include 1,3-dioxolane, tetrahydrofuran and morpholine.

When present, the optional substituents on heterocyclyl include $C_{1-6}$ alkyl and $C_{1-6}$ haloalkyl as well as those optional substituents given above for an alkyl moiety.

Cycloalkyl includes cyclopropyl, cyclopentyl and cyclohexyl.

Cycloalkenyl includes cyclopentenyl and cyclohexenyl.

When present, the optional substituents on cycloalkyl or cycloalkenyl include $C_{1-3}$ alkyl as well as those optional substituents given above for an alkyl moiety.

Carbocyclic rings include aryl, cycloalkyl and cycloalkenyl groups.

When present, the optional substituents on aryl or heteroaryl are selected independently, from halogen, nitro, cyano, NCS-, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy-($C_{1-6}$)alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ haloalkenyl, $C_{2-6}$ alkynyl, $C_{3-7}$ cycloalkyl (itself optionally substituted with $C_{1-6}$ alkyl or halogen), $C_{5-7}$ cycloalkenyl (itself optionally substituted with $C_{1-6}$ alkyl or halogen), hydroxy, $C_{1-10}$ alkoxy, $C_{1-10}$ alkoxy($C_{1-10}$)alkoxy, tri($C_{1-4}$)alkyl-silyl($C_{1-6}$)alkoxy, $C_{1-6}$ alkoxycarbonyl($C_{1-10}$)alkoxy, $C_{1-10}$ haloalkoxy, aryl($C_{1-4}$)alkoxy (where the aryl group is optionally substituted with halogen or $C_{1-6}$ alkyl), $C_{3-7}$ cycloalkyloxy (where the cycloalkyl group is optionally substituted with $C_{1-6}$ alkyl or halogen), $C_{1-10}$ alkenyloxy, $C_{1-10}$ alkynyloxy, SH, $C_{1-10}$ alkylthio, $C_{1-10}$ haloalkylthio, aryl($C_{1-4}$)alkylthio $C_{3-7}$ cycloalkylthio (where the cycloalkyl group is optionally substituted with $C_{1-6}$ alkyl or halogen), tri($C_{1-4}$)-alkylsilyl($C_{1-6}$)alkylthio, arylthio, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ haloalkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ haloalkylsulfinyl, arylsulfonyl, tri($C_{1-4}$)alkylsilyl, aryldi($C_{1-4}$)-alkylsilyl, ($C_{1-4}$)alkyldiarylsilyl, triarylsilyl, $C_{1-10}$ alkylcarbonyl, $HO_2C$, $C_{1-10}$ alkoxycarbonyl, aminocarbonyl, $C_{1-6}$ alkylaminocarbonyl, di($C_{1-6}$ alkyl)-aminocarbonyl, N-($C_{1-3}$ alkyl)-N-($C_{1-3}$ alkoxy)aminocarbonyl, $C_{1-6}$ alkylcarbonyloxy, arylcarbonyloxy, di($C_{1-6}$)alkylamino-carbonyloxy, aryl (itself optionally substituted with $C_{1-6}$ alkyl or halogen), heteroaryl (itself optionally substituted with $C_{1-6}$ alkyl or halogen), heterocyclyl (itself optionally substituted with $C_{1-6}$ alkyl or halogen), aryloxy (where the aryl group is optionally substituted with $C_{1-6}$ alkyl or halogen), heteroaryloxy (where the heteroaryl group is optionally substituted with $C_{1-6}$ alkyl or halogen), heterocyclyloxy (where the heterocyclyl group is optionally substituted with $C_{1-6}$ alkyl or halogen), amino, $C_{1-6}$ alkylamino, di($C_{1-6}$)alkylamino, $C_{1-6}$ alkylcarbonylamino, N-($C_{1-6}$)alkylcarbonyl-N-($C_{1-6}$)alkylamino,

arylcarbonyl, (where the aryl group is itself optionally substituted with halogen or $C_{1-6}$ alkyl) or two adjacent positions on an aryl or heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen or $C_{1-6}$ alkyl.

For substituted phenyl moieties, heterocyclyl and heteroaryl groups it is preferred that one or more substituents are independently selected from halogen, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylthio, $C_{1-6}$ haloalkylthio, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ haloalkylsulfinyl, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ haloalkylsulfonyl, $C_{2-6}$ alkenyl, $C_{2-6}$ haloalkenyl, $C_{2-6}$ alkynyl, $C_{3-7}$ cycloalkyl, nitro, cyano, $CO_2H$, $C_{1-6}$ alkylcarbonyl, $C_{1-6}$ alkoxycarbonyl, $R^{31}R^{32}N$ or $R^{33}R^{34}NC(O)$; wherein $R^{31}$, $R^{32}$, $R^{33}$ and $R^{34}$ are, independently, hydrogen or $C_{1-6}$ alkyl.

Haloalkenyl groups are alkenyl groups which are substituted with one or more of the same or different halogen atoms

It is to be understood that dialkylamino substituents include those where the dialkyl groups together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which is optionally substituted by one or two independently selected ($C_{1-6}$)alkyl groups. When heterocyclic rings are formed by joining two groups on an N atom, the resulting rings are suitably pyrrolidine, piperidine, thiomorpholine and morpholine each of which may be substituted by one or two independently selected ($C_{1-6}$) alkyl groups.

Preferably the optional substituents on an alkyl moiety include one or more of halogen, nitro, cyano, $HO_2C$, $C_{1-10}$ alkoxy (itself optionally substituted by $C_{1-10}$ alkoxy), aryl($C_{1-4}$)alkoxy, $C_{1-10}$ alkylthio, $C_{1-10}$ alkylcarbonyl, $C_{1-10}$ alkoxycarbonyl, $C_{1-6}$ alkylaminocarbonyl, di($C_{1-6}$ alkyl)aminocarbonyl, ($C_{1-6}$)alkylcarbonyloxy, optionally substituted phenyl, heteroaryl, aryloxy, arylcarbonyloxy, heteroaryloxy, heterocyclyl, heterocyclyloxy, $C_{3-7}$ cycloalkyl (itself optionally substituted with ($C_{1-6}$)alkyl or halogen), $C_{3-7}$ cycloalkyloxy, $C_{5-7}$ cycloalkenyl, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkylsulfinyl, tri($C_{1-4}$)alkylsilyl, tri($C_{1-4}$)alkylsilyl($C_{1-6}$)alkoxy, aryldi($C_{1-4}$)alkylsilyl, ($C_{1-4}$)alkyldiarylsilyl and triarylsilyl.

Preferably the optional substituents on alkenyl or alkynyl include one or more of halogen, aryl and $C_{3-7}$ cycloalkyl.

A preferred optional substituent for heterocyclyl is $C_{1-6}$ alkyl.

Preferably the optional substituents for cycloalkyl include halogen, cyano and $C_{1-3}$ alkyl.

Preferably the optional substituents for cycloalkenyl include $C_{1-3}$ alkyl, halogen and cyano.

One group of preferred compounds are those of formula (IA) which are compounds of formula (I) wherein Y is a single bond, C=O or $S(O)_q$ where q is 0, 1 or 2; $R^1$ is hydrogen, $C_{1-8}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ cyanoalkyl, $C_{3-7}$ cycloalkyl($C_{1-6}$)alkyl, $C_{5-6}$ cycloalkenyl($C_{1-6}$)alkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{3-6}$ alkenyloxy($C_{1-6}$)alkyl, $C_{3-6}$ alkynyloxy($C_{1-6}$)alkyl, aryloxy($C_{1-6}$)alkyl, $C_{1-6}$ carboxyalkyl, $C_{1-6}$ alkylcarbonyl($C_{1-6}$)alkyl, $C_{2-6}$ alkenylcarbonyl-($C_{1-6}$)alkyl, $C_{2-6}$ alkynylcarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkoxycarbonyl($C_{1-6}$)alkyl, $C_{3-6}$ alkenyloxycarbonyl($C_{1-6}$)alkyl, $C_{3-6}$ alkynyloxycarbonyl($C_{1-6}$)alkyl, aryloxycarbonyl($C_{1-6}$)-alkyl, $C_{1-6}$ alkylthio($C_{1-6}$)alkyl, $C_{1-6}$ alkylsulfinyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylsulfonyl($C_{1-6}$)alkyl, aminocarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkyl, di($C_{1-6}$)-alkylaminocarbonyl($C_{1-6}$)alkyl, phenyl($C_{1-4}$)alkyl (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl($C_{1-4}$)alkyl (wherein the heteroaryl group may be substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heterocyclyl($C_{1-4}$)alkyl (wherein the heterocyclyl group may be substituted by halogen, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonyl, aminocarbonyl, $C_{1-6}$ alkylaminocarbonyl, di($C_{1-6}$)alkylaminocarbonyl, phenyl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, aryloxy (wherein the aryl group may be optionally substituted with halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy),heteroaryloxy (wherein the heteroaryl group may be optionally substituted with halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy),cyano, $C_{2-6}$ alkenyl, $C_{2-6}$ haloalkenyl, $C_{1-6}$ cyanoalkenyl, aminocarbonyl-($C_{2-6}$)alkenyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkenyl, di($C_{1-6}$)alkylaminocarbonyl($C_{1-6}$)alkenyl, phenyl($C_{2-4}$)alkenyl, (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{2-6}$ alkynyl, aminocarbonyl($C_{2-6}$)-alkynyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkynyl, di($C_{1-6}$)alkylaminocarbonyl($C_{1-6}$)alkynyl, $C_{3-7}$ cycloalkyl, $C_{3-7}$ halocycloalkyl, $C_{3-7}$ cyanocycloalkyl, $C_{1-3}$ alkyl($C_{3-7}$)cycloalkyl, $C_{1-3}$ alkyl-

($C_{3-7}$)halocycloalkyl, $C_{5-6}$ cycloalkenyl, formyl, heterocyclyl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-8}$ alkylthio, or $R^{13}R^{14}N$ where $R^{13}$ and $R^{14}$ are independently hydrogen, $C_{1-6}$ alkyl, aryl (optionally substituted by halogen, $C_{1,3}$ alkyl, nitro, cyano, $C_{1-3}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy) or
heteroaryl (optionally substituted by halogen or $C_{1-3}$ alkyl); $R^2$ and $R^3$ are independently hydrogen, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or cyano; each $R^4$ is independently halogen, nitro, cyano, $C_{1-8}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ cyanoalkyl, $C_{3-7}$ cycloalkyl($C_{1-6}$)alkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{1-6}$ alkoxycarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylcarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkyl, di($C_{1-6}$)alkylaminocarbonyl($C_{1-6}$)alkyl, phenyl($C_{1-6}$)alkyl (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl($C_{1-6}$)alkyl (wherein the heteroaryl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{2-6}$ alkenyl, $C_{2-6}$ haloalkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonyl, $C_{1-6}$ alkylaminocarbonyl, di($C_{1-6}$)alkylaminocarbonyl, $C_{3-7}$ cycloalkyl, phenyl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-8}$ alkoxy, $C_{1-8}$ haloalkoxy, aryloxy (where the aryl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy) or heteroaryloxy (where the heteroaryl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy); n is 0, 1, 2, 3 or 4; $R^8$ is $C_{1-10}$ alkyl optionally substituted by $C_{1-6}$ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy), $C_{2-6}$ alkenyl optionally substituted by $C_{1-6}$ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy) or $C_{2-6}$ alkynyl optionally substituted by $C_{1-6}$ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy); $R^9$ and $R^{10}$ are independently hydrogen, $C_{1-2}$ alkyl or halogen; and salts or N-oxides thereof.

Another group of preferred compounds are those of formula (IB), which are compounds of formula (I) wherein Y, $R^1$, $R^2$, $R^3$, $R^4$, $R^8$ and n are as defined for compounds of formula IA; $R^9$ and $R^{10}$ are independently hydrogen, $C_{1-2}$ alkyl or halogen, and preferably all are hydrogen; and salts or N-oxides thereof.

Another group of preferred compounds are those of formula (IC), which are compounds of formula (I) wherein Y, $R^1$, $R^4$, $R^8$, $R^9$, $R^{10}$ and n are as defined for compounds of formula (IB) and $R^2$ and $R^3$ are independently hydrogen, halogen, $C_{1-2}$ alkyl, $C_{1-2}$ haloalkyl, $C_{1-2}$ alkoxy, cyano, or $R^2$ and $R^3$ together are =O, or $R^2$ and $R^3$ together with the atoms to which they are attached form a 4, 5, 6,or 7 membered carbocylic or heterocyclic ring; and salts or N-oxides thereof.

Another group of preferred compounds are those of formula (ID), which are compounds of formula (I) wherein Y, $R^1$, $R^2$, $R^3$, $R^8$, $R^9$, $R^{10}$ and n are as defined for compounds of formula (IC) and each $R^4$ is independently halogen, nitro, cyano, $C_{1-8}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ cyanoalkyl, $C_{3-7}$ cycloalkyl($C_{1-6}$)alkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{1-6}$ alkoxycarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylcarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkyl, di($C_{1-6}$)alkylaminocarbonyl($C_{1-6}$)alkyl, phenyl($C_{1-6}$)alkyl (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl($C_{1-6}$)alkyl (wherein the heteroaryl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{2-6}$ alkenyl, $C_{2-6}$ haloalkenyl, $C_{2-6}$ alkynyl, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonyl, $C_{1-6}$ alkylaminocarbonyl, di($C_{1-6}$)alkyl-aminocarbonyl, $C_{3-7}$ cycloalkyl, phenyl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-8}$ alkoxy, $C_{1-8}$ haloalkoxy, aryloxy (where the aryl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy) or heteroaryloxy (where the heteroaryl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy); and salts or N-oxides thereof.

Another group of preferred compounds are those of formula (IE), which are compounds of formula (I) wherein $R^2$, $R^3$, $R^4$, $R^8$, $R^9$, $R^{10}$ and n are as defined for compounds of formula (ID) and Y is a single bond or C=O; $R^1$ is $C_{1-8}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ cyanoalkyl, $C_{3-7}$ cycloalkyl($C_{1-6}$)alkyl, $C_{5-6}$ cycloalkenyl($C_{1-6}$)alkyl, $C_{1-6}$ alkoxy($C_{1-6}$)-alkyl, $C_{3-6}$ alkenyloxy($C_{1-6}$)alkyl, $C_{3-6}$ alkynyloxy($C_{1-6}$)alkyl, aryloxy($C_{1-6}$)alkyl, $C_{1-6}$ carboxyalkyl, $C_{1-6}$ alkylcarbonyl($C_{1-6}$)alkyl, $C_{2-6}$ alkenylcarbonyl($C_{1-6}$)alkyl, $C_{2-6}$ alkynylcarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkoxycarbonyl($C_{1-6}$)alkyl, $C_{3-6}$ alkenyloxycarbonyl($C_{1-6}$)-alkyl, $C_{3-6}$ alkynyl-oxycarbonyl($C_{1-6}$)alkyl, aryloxycarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylthio($C_{1-}$

$_6$)alkyl, $C_{1-6}$ alkylsulfinyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylsulfonyl($C_{1-6}$)alkyl, aminocarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkyl, di($C_{1-6}$)alkylaminocarbonyl($C_{1-6}$)alkyl, phenyl($C_{1-4}$)alkyl (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl($C_{1-4}$)alkyl (wherein the heteroaryl group may be substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heterocyclyl($C_{1-4}$)alkyl (wherein the heterocyclyl group may be substituted by halogen, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonyl, aminocarbonyl, $C_{1-6}$ alkylaminocarbonyl, di($C_{1-6}$)-alkylaminocarbonyl, phenyl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, aryloxy (where the aryl group may be optionally substituted with halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), cyano, $C_{2-6}$ alkenyl, $C_{2-6}$ haloalkenyl, $C_{1-6}$ cyanoalkenyl, aminocarbonyl($C_{2-6}$)alkenyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)-alkenyl, di($C_{1-6}$)alkyl-aminocarbonyl($C_{1-6}$)alkenyl, phenyl($C_{2-4}$)alkenyl, (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{2-6}$ alkynyl, aminocarbonyl($C_{2-6}$)alkynyl, alkylaminocarbonyl($C_{1-6}$)alkynyl, di($C_{1-6}$)alkylamino-carbonyl($C_{1-6}$)alkynyl, $C_{3-7}$ cycloalkyl, $C_{3-7}$ halocycloalkyl, $C_{3-7}$ cyanocycloalkyl, $C_{1-3}$ alkyl($C_{3-7}$)cycloalkyl, $C_{1-3}$ alkyl($C_{3-7}$)halocycloalkyl, $C_{5-6}$ cycloalkenyl, formyl, heterocyclyl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-8}$ alkylthio or $R^{13}R^{14}N$ where $R^{13}$ and $R^{14}$ are independently hydrogen, $C_{1-6}$ alkyl, aryl (optionally substituted by halogen, $C_{1,3}$ alkyl, nitro, cyano, $C_{1-3}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy) or heteroaryl (optionally substituted by halogen or $C_{1-3}$ alkyl); and salts or N-oxides thereof.

Another group of preferred compounds are those of formula (IF), which are compounds of formula (I) wherein Y, $R^1$, $R^2$, $R^3$, $R^4$, $R^9$, $R^{10}$ and n are as defined for compounds of formula (IE) and $R^8$ is $C_{1-10}$ alkyl optionally substituted by $C_{1-6}$ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy), $C_{2-6}$ alkenyl optionally substituted by $C_{1-6}$ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy) or $C_{2-6}$ alkynyl optionally substituted by $C_{1-6}$ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy); and salts or N-oxides thereof.

Another preferred group of compounds are those of formula (IG), which are compounds of formula (I) wherein Y is a single bond, C=O or $S(O)_q$ where q is 0, 1 or 2; $R^1$ is $C_{1-8}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ cyanoalkyl, $C_{3-7}$ cycloalkyl($C_{1-6}$)alkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{3-6}$ alkenyloxy-($C_{1-6}$)alkyl, $C_{3-6}$ alkynyloxy($C_{1-6}$)alkyl, aryloxy($C_{1-6}$)alkyl, $C_{1-6}$ carboxyalkyl, $C_{1-6}$ alkylcarbonyl($C_{1-6}$)alkyl, $C_{2-6}$ alkenylcarbonyl($C_{1-6}$)alkyl, $C_{2-6}$ alkynylcarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkoxycarbonyl($C_{1-6}$)alkyl, $C_{3-6}$ alkenyloxycarbonyl($C_{1-6}$)-alkyl, $C_{3-6}$ alkynyloxycarbonyl($C_{1-6}$)alkyl, aryloxycarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylthio($C_{1-6}$)-alkyl, $C_{1-6}$ alkylsulfinyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylsulfonyl($C_{1-6}$)alkyl, aminocarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkyl, di($C_{1-6}$)alkylaminocarbonyl($C_{1-6}$)alkyl, phenyl($C_{1-4}$)alkyl (wherein the phenyl group is optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl($C_{1-4}$)alkyl (wherein the heteroaryl group may be substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heterocyclyl($C_{1-4}$)alkyl (wherein the heterocyclyl group may be substituted by halogen, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), phenyl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{2-6}$ alkenyl, $C_{2-6}$ haloalkenyl, $C_{1-6}$ cyanoalkenyl, $C_{2-6}$ alkynyl, $C_{3-7}$ cycloalkyl, formyl, heterocyclyl (optionally substituted by halogen, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy) or $C_{1-6}$ alkylthio; $R^2$ and $R^3$ are independently hydrogen or $C_{1-4}$ alkyl; each $R^4$ is independently halogen, cyano, $C_{1-10}$ alkyl optionally substituted by $C_{1-6}$ alkoxy, halogen, phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy), $C_{2-6}$ alkenyl optionally substituted by $C_{1-6}$ alkoxy, halogen, phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy) or $C_{2-6}$ alkynyl optionally substituted by $C_{1-6}$ alkoxy, halogen, phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy); n is 0, 1, 2, 3 or 4; $R^8$ is $C_{1-10}$ alkyl optionally substituted by $C_{1-6}$ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy), $C_{2-6}$ alkenyl optionally substituted by $C_{1-6}$ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy) or $C_{2-6}$ alkynyl optionally substituted by $C_{1-6}$ alkoxy, halogen or phenyl (itself optionally substituted by halogen, $C_{1-4}$ alkyl or $C_{1-4}$ alkoxy); $R^9$ and $R^{10}$ are both hydrogen; and salts or N-oxides thereof.

It is preferred that Y is a single bond, C=O, C=S or $S(O)_q$ where q is 0, 1 or 2.

More preferably Y is a single bond, C=O or $SO_2$.

Most preferably Y is a single bond or C=O.

$R^1$ is preferably hydrogen, $C_{1-6}$ alkyl, $C_{1-6}$ cyanoalkyl, $C_{1-6}$ haloalkyl, $C_{3-7}$ cycloalkyl($C_{1-4}$)alkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, heteroaryl($C_{1-6}$)alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ alkylthio, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), aryl($C_{1-6}$)alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ alkylthio, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the aryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), $C_{1-6}$ alkylcarbonylamino($C_{1-6}$)alkyl, aryl (which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ alkylthio, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the aryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ alkylthio, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, phenoxy (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryloxy (optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heterocycyloxy (optionally substituted by halo, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), cyano, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, $C_{3-6}$ cycloalkyl, $C_{5-7}$ cycloalkenyl, heterocyclyl (optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-6}$ alkylthio, $C_{1-6}$ haloalkylthio or $NR^{13}R^{14}$ where $R^{13}$ and $R^{14}$ are independently hydrogen, $C_{2-6}$ alkyl, $C_{2-6}$ haloalkyl, phenyl (which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy, $C_{1-4}$ alkoxycarbonyl) or heteroaryl

(which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy, $C_{1-4}$ alkoxycarbonyl).

More preferably $R^1$ is hydrogen, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, heteroaryl($C_{1-6}$)alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ alkylthio, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl($C_{1-6}$)alkyl (wherein the phenyl group may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ alkylthio, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), $C_{1-6}$ alkylcarbonylamino($C_{1-6}$)alkyl, phenyl (which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ alkylthio, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkylsulfinyl, $C_{1-6}$ alkylthio, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonylamino, arylcarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, phenoxy (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryloxy (optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heterocycyloxy (optionally substituted by halo, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), cyano, $C_{2-6}$ alkenyl, $C_{3-6}$ cycloalkyl, $C_{5-7}$ cycloalkenyl, heterocyclyl (optionally substituted by halo, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-6}$ alkylthio, $C_{1-6}$ haloalkylthio, $NR^{13}R^{14}$ where $R^{13}$ and $R^{14}$ are independently hydrogen, $C_{2-6}$ alkyl, $C_{2-6}$ haloalkyl, phenyl (which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$

haloalkoxy, $C_{1-4}$ alkoxycarbonyl) or heteroaryl (which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy, $C_{1-4}$ alkoxycarbonyl).

Even more preferably $R^1$ is $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, heteroaryl($C_{1-3}$)alkyl (wherein the heteroaryl group may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkoxycarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl($C_{1-3}$)alkyl (wherein the phenyl group may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), phenyl (which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkoxycarbonyl, or two adjacent positions on the phenyl ring may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), heteroaryl (which may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{1-6}$ alkylsulfonyl, $C_{1-6}$ alkoxycarbonyl, or two adjacent positions on the heteroaryl system may be cyclised to form a 5, 6 or 7 membered carbocyclic or heterocyclic ring, itself optionally substituted with halogen), $C_{1-6}$ alkoxy, $C_{1-6}$ haloalkoxy, $C_{2-6}$ alkenyl, heterocyclyl (optionally substituted by halo, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-6}$ alkylthio, $C_{1-6}$ haloalkylthio or $NR^{13}R^{14}$ where $R^{13}$ and $R^{14}$ are independently hydrogen, $C_{2-6}$ alkyl or $C_{2-6}$ haloalkyl.

Yet more preferably $R^1$ is $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, heteroaryl($C_{1-3}$)alkyl (wherein the heteroaryl group may be optionally substituted by halo, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl) where the heteroaryl group is a pyridine, pyrimidine, pyrazine or pyridazine ring, heteroaryl (optionally substituted by halo, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl) where the heteroaryl group is a pyridine, pyrimidine, pyrazine or pyridazine ring, $C_{1-6}$ alkoxy or heterocyclyl (optionally substituted by halo, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, or $C_{1-3}$ alkoxy).

Most preferably $R^1$ is pyridyl (optionally substituted by halo, $C_{1-3}$ alkyl or $C_{1-3}$ haloalkyl) or $C_{1-6}$ alkoxy.

It is preferred that $R^2$ and $R^3$ are independently hydrogen or $C_{1-4}$ alkyl.

More preferably $R^2$ and $R^3$ are independently hydrogen or methyl.

Even more preferably $R^2$ is hydrogen and $R^3$ is hydrogen or methyl;

Most preferably $R^2$ and $R^3$ are both hydrogen.

Preferably each $R^4$ is independently halogen, cyano, $C_{1-8}$ alkyl, $C_{1-8}$ haloalkyl, $C_{1-6}$ cyanoalkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{3-7}$ cycloalkyl($C_{1-6}$)alkyl, $C_{5-6}$ cycloalkenyl($C_{1-6}$)alkyl, $C_{3-6}$ alkenyloxy($C_{1-6}$)alkyl, $C_{3-6}$ alkynyloxy($C_{1-6}$)alkyl, aryloxy($C_{1-6}$)alkyl, $C_{1-6}$ carboxyalkyl, $C_{1-6}$ alkylcarbonyl($C_{1-6}$)alkyl, $C_{2-6}$ alkenylcarbonyl($C_{1-6}$)alkyl, $C_{2-6}$ alkynylcarbonyl($C_{1-6}$)-alkyl, $C_{1-6}$ alkoxycarbonyl($C_{1-6}$)alkyl, $C_{3-6}$ alkenyloxycarbonyl($C_{1-6}$)alkyl, $C_{3-6}$ alkynyloxycarbonyl($C_{1-6}$)alkyl, aryloxycarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylthio($C_{1-6}$)alkyl, $C_{1-6}$ alkylsulfinyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylsulfonyl($C_{1-6}$)alkyl, aminocarbonyl($C_{1-6}$)alkyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkyl, di($C_{1-6}$)alkylaminocarbonyl($C_{1-6}$)alkyl, phenyl($C_{1-4}$)alkyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl($C_{1-4}$)alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heterocyclyl($C_{1-4}$)alkyl (wherein the heterocyclyl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{2-6}$ alkenyl, aminocarbonyl($C_{2-6}$)alkenyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkenyl, di($C_{1-6}$)alkylaminocarbonyl($C_{1-6}$)alkenyl, phenyl($C_{2-4}$)alkenyl, (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{2-6}$ alkynyl, trimethylsilyl($C_{2-6}$)alkynyl, aminocarbonyl($C_{2-6}$)alkynyl, $C_{1-6}$ alkylaminocarbonyl($C_{1-6}$)alkynyl, di($C_{1-6}$)alkylaminocarbonyl($C_{1-6}$)alkynyl, $C_{1-6}$ alkoxycarbonyl, $C_{3-7}$ cycloalkyl, $C_{3-7}$ halocycloalkyl, $C_{3-7}$ cyanocycloalkyl, $C_{1-3}$ alkyl($C_{3-7}$)-cycloalkyl, $C_{1-3}$ alkyl($C_{3-7}$)halocycloalkyl,phenyl (optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl (optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heterocyclyl (wherein the heterocyclyl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), or 2 adjacent groups $R^4$ together with the carbon atoms to which they are attached form a 4, 5, 6,or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen, $C_{1-8}$ alkoxy, $C_{1-6}$ haloalkoxy, phenoxy (optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryloxy (optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-8}$ alkylthio or $R^{19}R^{20}N$ where $R^{19}$ and $R^{20}$ are, independently, hydrogen, $C_{1-8}$ alkyl, $C_{3-7}$ cycloalkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, $C_{2-6}$ haloalkyl,

$C_{1-6}$ alkoxycarbonyl or $R^{19}$ and $R^{20}$ together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two $C_{1-6}$ alkyl groups; n is 0, 1, 2, 3 or 4.

More preferably each $R^4$ is independently halogen, cyano, $C_{1-8}$ alkyl, $C_{1-8}$ haloalkyl, $C_{1-8}$ cyanoalkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{2-6}$ alkynyl, trimethylsilyl($C_{2-6}$)alkynyl, $C_{1-6}$ alkoxycarbonyl, $C_{3-7}$ cycloalkyl, $C_{1-3}$ alkyl ($C_{3-7}$) cycloalkyl, phenyl (optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heterocyclyl (optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), $C_{1-8}$ alkoxy, $C_{1-6}$ haloalkoxy, phenoxy (optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy or $C_{1-3}$ haloalkoxy), heteroaryloxy (optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy or $C_{1-3}$ haloalkoxy), di($C_{1-8}$)alkylamino, or 2 adjacent groups $R^4$ together with the carbon atoms to which they are attached form a 4, 5, 6,or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4.

Even more preferably each $R^4$ is independently halogen, cyano, $C_{1-8}$ alkyl, $C_{1-8}$ haloalkyl, $C_{1-8}$ cyanoalkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{2-6}$ alkynyl, heterocyclyl (optionally substituted by $C_{1-6}$ alkyl), $C_{1-8}$ alkoxy, $C_{1-6}$ haloalkoxy, phenoxy (optionally substituted by halo, cyano, $C_{1-3}$ alkyl or $C_{1-3}$ haloalkyl), heteroaryloxy (optionally substituted by halo, cyano, $C_{1-3}$ alkyl or $C_{1-3}$ haloalkyl), di($C_{1-8}$)alkylamino or 2 adjacent groups $R^4$ together with the carbon atoms to which they are attached form a 4, 5, 6,or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4;

Yet more preferably each $R^4$ is independently fluoro, chloro, bromo, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ cyanoalkyl or $C_{1-3}$ alkoxy($C_{1-3}$)alkyl; n is 0, 1 or 2;

Most preferably each $R^4$ is independently fluoro, chloro, bromo, $C_{1-4}$ alkyl or $C_{1-4}$ haloalkyl; n is 1 or 2.

Preferably $R^8$ is $C_{1-10}$ alkyl, $C_{1-10}$ haloalkyl, aryl($C_{1-6}$)alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl($C_{1-6}$)alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), arylcarbonyl-($C_{1-6}$)alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy and the alkyl group may be optionally

substituted by aryl), $C_{2-8}$ alkenyl, $C_{2-8}$ haloalkenyl, aryl($C_{1-6}$)alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ haloalkoxy, or two adjacent substituents can cyclise to form a 5, 6 or 7 memberedcarbocyclic or heterocyclic ring), $C_{2-6}$ alkynyl, phenyl($C_{1-6}$)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy), $C_{3-7}$ cycloalkyl, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonyl, $C_{1-6}$ haloalkylcarbonyl or aryl($C_{1-6}$)alkenylcarbonyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy).

$R^8$ is more preferably $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, aryl($C_{1-4}$)alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy or $C_{1-3}$ haloalkoxy), $C_{2-6}$ alkenyl, aryl($C_{1-6}$)alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy), $C_{2-6}$ alkynyl, phenyl($C_{1-6}$)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy).

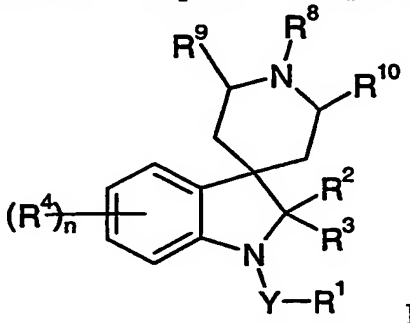
Even more preferably $R^8$ is phenyl($C_{1-4}$)alkyl (wherein the phenyl group is optionally substituted by halo, cyano, $C_{1-6}$ alkyl or $C_{1-6}$ haloalkyl), phenyl($C_{1-6}$)alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkoxycarbonyl or $C_{1-3}$ haloalkoxy) or phenyl($C_{1-6}$)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkoxycarbonyl or $C_{1-3}$ haloalkoxy); $R^9$ and $R^{10}$ are both hydrogen; or salts or N-oxides thereof.

Yet more preferably $R^8$ is phenyl($C_{1-4}$)alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkoxycarbonyl or $C_{1-3}$ haloalkoxy) or phenyl($C_{1-4}$)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkoxycarbonyl or $C_{1-3}$ haloalkoxy).

Most preferably $R^8$ is phenyl($C_{1-4}$)alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy or $C_{1-3}$ haloalkoxy).

It is preferred that $R^9$ and $R^{10}$ are both hydrogen.

Certain compounds of formula (I) are novel and as such form a further aspect of the invention. For example there are provided novel compounds of formula (IN)



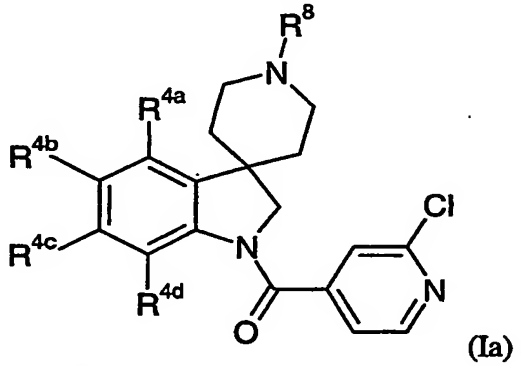
IN

which are compounds of formula I wherein wherein Y, $R^1$, $R^2$, $R^3$, $R^4$, $R^9$, $R^{10}$ and n are as defined for compounds of formula (I) and $R^8$ is $C_{1-10}$ alkyl, $C_{1-10}$ haloalkyl, aryl($C_{1-6}$)alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl($C_{1-6}$)alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), arylcarbonyl($C_{1-6}$)alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy and the alkyl group may be optionally substituted by aryl), $C_{2-8}$ alkenyl, $C_{2-8}$ haloalkenyl, aryl-($C_{1-6}$)alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ haloalkoxy, or two adjacent substituents can cyclise to form a 5, 6 or 7 memberedcarbocyclic or heterocyclic ring), $C_{2-6}$ alkynyl, phenyl($C_{1-6}$)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy), $C_{3-7}$ cycloalkyl, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonyl, $C_{1-6}$ haloalkylcarbonyl or aryl($C_{1-6}$)-alkenylcarbonyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy); preferably $R^8$ is $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, aryl($C_{1-4}$)alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy or $C_{1-3}$ haloalkoxy), $C_{2-6}$ alkenyl, aryl($C_{1-6}$)alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy), $C_{2-6}$ alkynyl, phenyl($C_{1-6}$)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy); more preferably $R^8$ is phenyl($C_{1-4}$)alkyl (wherein the phenyl group is optionally substituted by halo, cyano, $C_{1-6}$ alkyl or $C_{1-6}$ haloalkyl), phenyl($C_{1-6}$)alkenyl (wherein the phenyl group is

optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkoxycarbonyl or $C_{1-3}$ haloalkoxy) or phenyl($C_{1-6}$)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkoxycarbonyl or $C_{1-3}$ haloalkoxy); $R^9$ and $R^{10}$ are both hydrogen; or salts or N-oxides thereof.; yet more preferably $R^8$ is phenyl($C_{1-4}$)alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkoxycarbonyl or $C_{1-3}$ haloalkoxy) or phenyl($C_{1-4}$)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy, $C_{1-3}$ alkoxycarbonyl or $C_{1-3}$ haloalkoxy); and most preferably $R^8$ is phenyl($C_{1-4}$)alkenyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-3}$ alkyl, $C_{1-3}$ haloalkyl, $C_{1-3}$ alkoxy or $C_{1-3}$ haloalkoxy).

The compounds in Tables I to XXIX below illustrate the compounds of the invention.

Table I provides 300 compounds of formula Ia




(Ia)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

Table 1

| Compound | $R^8$ | $R^{4a}$ | $R^{4b}$ | $R^{4c}$ | $R^{4d}$ |
|---|---|---|---|---|---|
| I-1 | Cinnamyl | H | H | H | H |
| I-2 | 4-chlorocinnamyl | H | H | H | H |
| I-3 | 4-fluorocinnamyl | H | H | H | H |
| I-4 | 4-nitrocinnamyl | H | H | H | H |
| I-5 | 4-methoxycinnamyl | H | H | H | H |
| I-6 | 4-methylcinnamyl | H | H | H | H |
| I-7 | 4-trifluoromethylcinnamyl | H | H | H | H |
| I-8 | 4-cyanocinnamyl | H | H | H | H |

| | | | | | |
|---|---|---|---|---|---|
| I-9 | 2,4-dichlorocinnamyl | H | H | H | H |
| I-10 | 2,4-difluorocinnamyl | H | H | H | H |
| I-11 | cinnamyl | Cl | H | H | H |
| I-12 | 4-chlorocinnamyl | Cl | H | H | H |
| I-13 | 4-fluorocinnamyl | Cl | H | H | H |
| I-14 | 4-nitrocinnamyl | Cl | H | H | H |
| I-15 | 4-methoxycinnamyl | Cl | H | H | H |
| I-16 | 4-methylcinnamyl | Cl | H | H | H |
| I-17 | 4-trifluoromethylcinnamyl | Cl | H | H | H |
| I-18 | 4-cyanocinnamyl | Cl | H | H | H |
| I-19 | 2,4-dichlorocinnamyl | Cl | H | H | H |
| I-20 | 2,4-difluorocinnamyl | Cl | H | H | H |
| I-21 | cinnamyl | H | Cl | H | H |
| I-22 | 4-chlorocinnamyl | H | Cl | H | H |
| I-23 | 4-fluorocinnamyl | H | Cl | H | H |
| I-24 | 4-nitrocinnamyl | H | Cl | H | H |
| I-25 | 4-methoxycinnamyl | H | Cl | H | H |
| I-26 | 4-methylcinnamyl | H | Cl | H | H |
| I-27 | 4-trifluoromethylcinnamyl | H | Cl | H | H |
| I-28 | 4-cyanocinnamyl | H | Cl | H | H |
| I-29 | 2,4-dichlorocinnamyl | H | Cl | H | H |
| I-30 | 2,4-difluorocinnamyl | H | Cl | H | H |
| I-31 | cinnamyl | H | H | Cl | H |
| I-32 | 4-chlorocinnamyl | H | H | Cl | H |
| I-33 | 4-fluorocinnamyl | H | H | Cl | H |
| I-34 | 4-nitrocinnamyl | H | H | Cl | H |
| I-35 | 4-methoxycinnamyl | H | H | Cl | H |
| I-36 | 4-methylcinnamyl | H | H | Cl | H |
| I-37 | 4-trifluoromethylcinnamyl | H | H | Cl | H |
| I-38 | 4-cyanocinnamyl | H | H | Cl | H |
| I-39 | 2,4-dichlorocinnamyl | H | H | Cl | H |

| | | | | | |
|---|---|---|---|---|---|
| I-40 | 2,4-difluorocinnamyl | H | H | Cl | H |
| I-41 | cinnamyl | H | H | H | Cl |
| I-42 | 4-chlorocinnamyl | H | H | H | Cl |
| I-43 | 4-fluorocinnamyl | H | H | H | Cl |
| I-44 | 4-nitrocinnamyl | H | H | H | Cl |
| I-45 | 4-methoxycinnamyl | H | H | H | Cl |
| I-46 | 4-methylcinnamyl | H | H | H | Cl |
| I-47 | 4-trifluoromethylcinnamyl | H | H | H | Cl |
| I-48 | 4-cyanocinnamyl | H | H | H | Cl |
| I-49 | 2,4-dichlorocinnamyl | H | H | H | Cl |
| I-50 | 2,4-difluorocinnamyl | H | H | H | Cl |
| I-51 | cinnamyl | F | H | H | H |
| I-52 | 4-chlorocinnamyl | F | H | H | H |
| I-53 | 4-fluorocinnamyl | F | H | H | H |
| I-54 | 4-nitrocinnamyl | F | H | H | H |
| I-55 | 4-methoxycinnamyl | F | H | H | H |
| I-56 | 4-methylcinnamyl | F | H | H | H |
| I-57 | 4-trifluoromethylcinnamyl | F | H | H | H |
| I-58 | 4-cyanocinnamyl | F | H | H | H |
| I-59 | 2,4-dichlorocinnamyl | F | H | H | H |
| I-60 | 2,4-difluorocinnamyl | F | H | H | H |
| I-61 | cinnamyl | H | F | H | H |
| I-62 | 4-chlorocinnamyl | H | F | H | H |
| I-63 | 4-fluorocinnamyl | H | F | H | H |
| I-64 | 4-nitrocinnamyl | H | F | H | H |
| I-65 | 4-methoxycinnamyl | H | F | H | H |
| I-66 | 4-methylcinnamyl | H | F | H | H |
| I-67 | 4-trifluoromethylcinnamyl | H | F | H | H |
| I-68 | 4-cyanocinnamyl | H | F | H | H |
| I-69 | 2,4-dichlorocinnamyl | H | F | H | H |
| I-70 | 2,4-difluorocinnamyl | H | F | H | H |

| | | | | | |
|---|---|---|---|---|---|
| I-71 | cinnamyl | H | H | F | H |
| I-72 | 4-chlorocinnamyl | H | H | F | H |
| I-73 | 4-fluorocinnamyl | H | H | F | H |
| I-74 | 4-nitrocinnamyl | H | H | F | H |
| I-75 | 4-methoxycinnamyl | H | H | F | H |
| I-76 | 4-methylcinnamyl | H | H | F | H |
| I-77 | 4-trifluoromethylcinnamyl | H | H | F | H |
| I-78 | 4-cyanocinnamyl | H | H | F | H |
| I-79 | 2,4-dichlorocinnamyl | H | H | F | H |
| I-80 | 2,4-difluorocinnamyl | H | H | F | H |
| I-81 | cinnamyl | H | H | H | F |
| I-82 | 4-chlorocinnamyl | H | H | H | F |
| I-83 | 4-fluorocinnamyl | H | H | H | F |
| I-84 | 4-nitrocinnamyl | H | H | H | F |
| I-85 | 4-methoxycinnamyl | H | H | H | F |
| I-86 | 4-methylcinnamyl | H | H | H | F |
| I-87 | 4-trifluoromethylcinnamyl | H | H | H | F |
| I-88 | 4-cyanocinnamyl | H | H | H | F |
| I-89 | 2,4-dichlorocinnamyl | H | H | H | F |
| I-90 | 2,4-difluorocinnamyl | H | H | H | F |
| I-91 | cinnamyl | Br | H | H | H |
| I-92 | 4-chlorocinnamyl | Br | H | H | H |
| I-93 | 4-fluorocinnamyl | Br | H | H | H |
| I-94 | 4-nitrocinnamyl | Br | H | H | H |
| I-95 | 4-methoxycinnamyl | Br | H | H | H |
| I-96 | 4-methylcinnamyl | Br | H | H | H |
| I-97 | 4-trifluoromethylcinnamyl | Br | H | H | H |
| I-98 | 4-cyanocinnamyl | Br | H | H | H |
| I-99 | 2,4-dichlorocinnamyl | Br | H | H | H |
| I-100 | 2,4-difluorocinnamyl | Br | H | H | H |
| I-101 | cinnamyl | H | Br | H | H |

| | | | | | |
|---|---|---|---|---|---|
| I-102 | 4-chlorocinnamyl | H | Br | H | H |
| I-103 | 4-fluorocinnamyl | H | Br | H | H |
| I-104 | 4-nitrocinnamyl | H | Br | H | H |
| I-105 | 4-methoxycinnamyl | H | Br | H | H |
| I-106 | 4-methylcinnamyl | H | Br | H | H |
| I-107 | 4-trifluoromethylcinnamyl | H | Br | H | H |
| I-108 | 4-cyanocinnamyl | H | Br | H | H |
| I-109 | 2,4-dichlorocinnamyl | H | Br | H | H |
| I-110 | 2,4-difluorocinnamyl | H | Br | H | H |
| I-111 | cinnamyl | H | H | Br | H |
| I-112 | 4-chlorocinnamyl | H | H | Br | H |
| I-113 | 4-fluorocinnamyl | H | H | Br | H |
| I-114 | 4-nitrocinnamyl | H | H | Br | H |
| I-115 | 4-methoxycinnamyl | H | H | Br | H |
| I-116 | 4-methylcinnamyl | H | H | Br | H |
| I-117 | 4-trifluoromethylcinnamyl | H | H | Br | H |
| I-118 | 4-cyanocinnamyl | H | H | Br | H |
| I-119 | 2,4-dichlorocinnamyl | H | H | Br | H |
| I-120 | 2,4-difluorocinnamyl | H | H | Br | H |
| I-121 | cinnamyl | H | H | H | Br |
| I-122 | 4-chlorocinnamyl | H | H | H | Br |
| I-123 | 4-fluorocinnamyl | H | H | H | Br |
| I-124 | 4-nitrocinnamyl | H | H | H | Br |
| I-125 | 4-methoxycinnamyl | H | H | H | Br |
| I-126 | 4-methylcinnamyl | H | H | H | Br |
| I-127 | 4-trifluoromethylcinnamyl | H | H | H | Br |
| I-128 | 4-cyanocinnamyl | H | H | H | Br |
| I-129 | 2,4-dichlorocinnamyl | H | H | H | Br |
| I-130 | 2,4-difluorocinnamyl | H | H | H | Br |
| I-131 | cinnamyl | H | Cl | H | Cl |
| I-132 | 4-chlorocinnamyl | H | Cl | H | Cl |

| | | | | | |
|---|---|---|---|---|---|
| I-133 | 4-fluorocinnamyl | H | Cl | H | Cl |
| I-134 | 4-nitrocinnamyl | H | Cl | H | Cl |
| I-135 | 4-methoxycinnamyl | H | Cl | H | Cl |
| I-136 | 4-methylcinnamyl | H | Cl | H | Cl |
| I-137 | 4-trifluoromethylcinnamyl | H | Cl | H | Cl |
| I-138 | 4-cyanocinnamyl | H | Cl | H | Cl |
| I-139 | 2,4-dichlorocinnamyl | H | Cl | H | Cl |
| I-140 | 2,4-difluorocinnamyl | H | Cl | H | Cl |
| I-141 | cinnamyl | H | F | H | F |
| I-142 | 4-chlorocinnamyl | H | F | H | F |
| I-143 | 4-fluorocinnamyl | H | F | H | F |
| I-144 | 4-nitrocinnamyl | H | F | H | F |
| I-145 | 4-methoxycinnamyl | H | F | H | F |
| I-146 | 4-methylcinnamyl | H | F | H | F |
| I-147 | 4-trifluoromethylcinnamyl | H | F | H | F |
| I-148 | 4-cyanocinnamyl | H | F | H | F |
| I-149 | 2,4-dichlorocinnamyl | H | F | H | F |
| I-150 | 2,4-difluorocinnamyl | H | F | H | F |
| I-151 | cinnamyl | Cl | F | H | H |
| I-152 | 4-chlorocinnamyl | Cl | F | H | H |
| I-153 | 4-fluorocinnamyl | Cl | F | H | H |
| I-154 | 4-nitrocinnamyl | Cl | F | H | H |
| I-155 | 4-methoxycinnamyl | Cl | F | H | H |
| I-156 | 4-methylcinnamyl | Cl | F | H | H |
| I-157 | 4-trifluoromethylcinnamyl | Cl | F | H | H |
| I-158 | 4-cyanocinnamyl | Cl | F | H | H |
| I-159 | 2,4-dichlorocinnamyl | Cl | F | H | H |
| I-160 | 2,4-difluorocinnamyl | Cl | F | H | H |
| I-161 | cinnamyl | H | F | Cl | H |
| I-162 | 4-chlorocinnamyl | H | F | Cl | H |
| I-163 | 4-fluorocinnamyl | H | F | Cl | H |

| | | | | | |
|---|---|---|---|---|---|
| I-164 | 4-nitrocinnamyl | H | F | Cl | H |
| I-165 | 4-methoxycinnamyl | H | F | Cl | H |
| I-166 | 4-methylcinnamyl | H | F | Cl | H |
| I-167 | 4-trifluoromethylcinnamyl | H | F | Cl | H |
| I-168 | 4-cyanocinnamyl | H | F | Cl | H |
| I-169 | 2,4-dichlorocinnamyl | H | F | Cl | H |
| I-170 | 2,4-difluorocinnamyl | H | F | Cl | H |
| I-171 | cinnamyl | H | Cl | Cl | H |
| I-172 | 4-chlorocinnamyl | H | Cl | Cl | H |
| I-173 | 4-fluorocinnamyl | H | Cl | Cl | H |
| I-174 | 4-nitrocinnamyl | H | Cl | Cl | H |
| I-175 | 4-methoxycinnamyl | H | Cl | Cl | H |
| I-176 | 4-methylcinnamyl | H | Cl | Cl | H |
| I-177 | 4-trifluoromethylcinnamyl | H | Cl | Cl | H |
| I-178 | 4-cyanocinnamyl | H | Cl | Cl | H |
| I-179 | 2,4-dichlorocinnamyl | H | Cl | Cl | H |
| I-180 | 2,4-difluorocinnamyl | H | Cl | Cl | H |
| I-181 | cinnamyl | H | I | H | H |
| I-182 | 4-chlorocinnamyl | H | I | H | H |
| I-183 | 4-fluorocinnamyl | H | I | H | H |
| I-184 | 4-nitrocinnamyl | H | I | H | H |
| I-185 | 4-methoxycinnamyl | H | I | H | H |
| I-186 | 4-methylcinnamyl | H | I | H | H |
| I-187 | 4-trifluoromethylcinnamyl | H | I | H | H |
| I-188 | 4-cyanocinnamyl | H | I | H | H |
| I-189 | 2,4-dichlorocinnamyl | H | I | H | H |
| I-190 | 2,4-difluorocinnamyl | H | I | H | H |
| I-191 | cinnamyl | H | OMe | H | H |
| I-192 | 4-chlorocinnamyl | H | OMe | H | H |
| I-193 | 4-fluorocinnamyl | H | OMe | H | H |
| I-194 | 4-nitrocinnamyl | H | OMe | H | H |

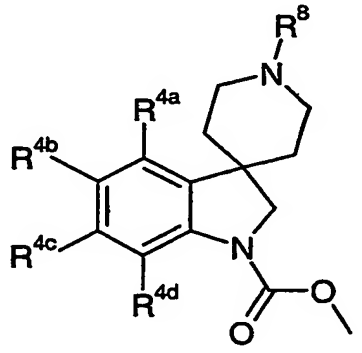
| | | | | | |
|---|---|---|---|---|---|
| I-195 | 4-methoxycinnamyl | H | OMe | H | H |
| I-196 | 4-methylcinnamyl | H | OMe | H | H |
| I-197 | 4-trifluoromethylcinnamyl | H | OMe | H | H |
| I-198 | 4-cyanocinnamyl | H | OMe | H | H |
| I-199 | 2,4-dichlorocinnamyl | H | OMe | H | H |
| I-200 | 2,4-difluorocinnamyl | H | OMe | H | H |
| I-201 | cinnamyl | H | Me | H | H |
| I-202 | 4-chlorocinnamyl | H | Me | H | H |
| I-203 | 4-fluorocinnamyl | H | Me | H | H |
| I-204 | 4-nitrocinnamyl | H | Me | H | H |
| I-205 | 4-methoxycinnamyl | H | Me | H | H |
| I-206 | 4-methylcinnamyl | H | Me | H | H |
| I-207 | 4-trifluoromethylcinnamyl | H | Me | H | H |
| I-208 | 4-cyanocinnamyl | H | Me | H | H |
| I-209 | 2,4-dichlorocinnamyl | H | Me | H | H |
| I-210 | 2,4-difluorocinnamyl | H | Me | H | H |
| I-211 | cinnamyl | H | CN | H | H |
| I-212 | 4-chlorocinnamyl | H | CN | H | H |
| I-213 | 4-fluorocinnamyl | H | CN | H | H |
| I-214 | 4-nitrocinnamyl | H | CN | H | H |
| I-215 | 4-methoxycinnamyl | H | CN | H | H |
| I-216 | 4-methylcinnamyl | H | CN | H | H |
| I-217 | 4-trifluoromethylcinnamyl | H | CN | H | H |
| I-218 | 4-cyanocinnamyl | H | CN | H | H |
| I-219 | 2,4-dichlorocinnamyl | H | CN | H | H |
| I-220 | 2,4-difluorocinnamyl | H | CN | H | H |
| I-221 | cinnamyl | H | CCH | H | H |
| I-222 | 4-chlorocinnamyl | H | CCH | H | H |
| I-223 | 4-fluorocinnamyl | H | CCH | H | H |
| I-224 | 4-nitrocinnamyl | H | CCH | H | H |
| I-225 | 4-methoxycinnamyl | H | CCH | H | H |

| | | | | | |
|---|---|---|---|---|---|
| I-226 | 4-methylcinnamyl | H | CCH | H | H |
| I-227 | 4-trifluoromethylcinnamyl | H | CCH | H | H |
| I-228 | 4-cyanocinnamyl | H | CCH | H | H |
| I-229 | 2,4-dichlorocinnamyl | H | CCH | H | H |
| I-230 | 2,4-difluorocinnamyl | H | CCH | H | H |
| I-231 | cinnamyl | H | COOMe | H | H |
| I-232 | 4-chlorocinnamyl | H | COOMe | H | H |
| I-233 | 4-fluorocinnamyl | H | COOMe | H | H |
| I-234 | 4-nitrocinnamyl | H | COOMe | H | H |
| I-235 | 4-methoxycinnamyl | H | COOMe | H | H |
| I-236 | 4-methylcinnamyl | H | COOMe | H | H |
| I-237 | 4-trifluoromethylcinnamyl | H | COOMe | H | H |
| I-238 | 4-cyanocinnamyl | H | COOMe | H | H |
| I-239 | 2,4-dichlorocinnamyl | H | COOMe | H | H |
| I-240 | 2,4-difluorocinnamyl | H | COOMe | H | H |
| I-241 | cinnamyl | H | Me | Cl | H |
| I-242 | 4-chlorocinnamyl | H | Me | Cl | H |
| I-243 | 4-fluorocinnamyl | H | Me | Cl | H |
| I-244 | 4-nitrocinnamyl | H | Me | Cl | H |
| I-245 | 4-methoxycinnamyl | H | Me | Cl | H |
| I-246 | 4-methylcinnamyl | H | Me | Cl | H |
| I-247 | 4-trifluoromethylcinnamyl | H | Me | Cl | H |
| I-248 | 4-cyanocinnamyl | H | Me | Cl | H |
| I-249 | 2,4-dichlorocinnamyl | H | Me | Cl | H |
| I250 | 2,4difluorocinnamyl | H | Me | Cl | H |
| I-251 | cinnamyl | Cl | Me | H | H |
| I-252 | 4-chlorocinnamyl | Cl | Me | H | H |
| I-253 | 4-fluorocinnamyl | Cl | Me | H | H |
| I-254 | 4-nitrocinnamyl | Cl | Me | H | H |
| I-255 | 4-methoxycinnamyl | Cl | Me | H | H |
| I-256 | 4-methylcinnamyl | Cl | Me | H | H |

| | | | | | |
|---|---|---|---|---|---|
| I-257 | 4-trifluoromethylcinnamyl | Cl | Me | H | H |
| I-258 | 4-cyanocinnamyl | Cl | Me | H | H |
| I-259 | 2,4-dichlorocinnamyl | Cl | Me | H | H |
| I-260 | 2,4-difluorocinnamyl | Cl | Me | H | H |
| I-261 | cinnamyl | H | Cl | H | Me |
| I-262 | 4-chlorocinnamyl | H | Cl | H | Me |
| I-263 | 4-fluorocinnamyl | H | Cl | H | Me |
| I-264 | 4-nitrocinnamyl | H | Cl | H | Me |
| I-265 | 4-methoxycinnamyl | H | Cl | H | Me |
| I-266 | 4-methylcinnamyl | H | Cl | H | Me |
| I-267 | 4-trifluoromethylcinnamyl | H | Cl | H | Me |
| I-268 | 4-cyanocinnamyl | H | Cl | H | Me |
| I-269 | 2,4-dichlorocinnamyl | H | Cl | H | Me |
| I-270 | 2,4-difluorocinnamyl | H | Cl | H | Me |
| I-271 | cinnamyl | H | H | 4-Cl-PhO | H |
| I-272 | 4-chlorocinnamyl | H | H | 4-Cl-PhO | H |
| I-273 | 4-fluorocinnamyl | H | H | 4-Cl-PhO | H |
| I-274 | 4-nitrocinnamyl | H | H | 4-Cl-PhO | H |
| I-275 | 4-methoxycinnamyl | H | H | 4-Cl-PhO | H |
| I-276 | 4-methylcinnamyl | H | H | 4-Cl-PhO | H |
| I-277 | 4-trifluoromethylcinnamyl | H | H | 4-Cl-PhO | H |
| I-278 | 4-cyanocinnamyl | H | H | 4-Cl-PhO | H |
| I-279 | 2,4-dichlorocinnamyl | H | H | 4-Cl-PhO | H |
| I-280 | 2,4-difluorocinnamyl | H | H | 4-Cl-PhO | H |
| I-281 | cinnamyl | H | 4-F-Ph | H | H |
| I-282 | 4-chlorocinnamyl | H | 4-F-Ph | H | H |
| I-283 | 4-fluorocinnamyl | H | 4-F-Ph | H | H |
| I-284 | 4-nitrocinnamyl | H | 4-F-Ph | H | H |
| I-285 | 4-methoxycinnamyl | H | 4-F-Ph | H | H |
| I-286 | 4-methylcinnamyl | H | 4-F-Ph | H | H |
| I-287 | 4-trifluoromethylcinnamyl | H | 4-F-Ph | H | H |

| | | | | | |
|---|---|---|---|---|---|
| I-288 | 4-cyanocinnamyl | H | 4-F-Ph | H | H |
| I-289 | 2,4-dichlorocinnamyl | H | 4-F-Ph | H | H |
| I-290 | 2,4-difluorocinnamyl | H | 4-F-Ph | H | H |
| I-291 | cinnamyl | H | $CF_3O$ | H | H |
| I-292 | 4-chlorocinnamyl | H | $CF_3O$ | H | H |
| I-293 | 4-fluorocinnamyl | H | $CF_3O$ | H | H |
| I-294 | 4-nitrocinnamyl | H | $CF_3O$ | H | H |
| I-295 | 4-methoxycinnamyl | H | $CF_3O$ | H | H |
| I-296 | 4-methylcinnamyl | H | $CF_3O$ | H | H |
| I-297 | 4-trifluoromethylcinnamyl | H | $CF_3O$ | H | H |
| I-298 | 4-cyanocinnamyl | H | $CF_3O$ | H | H |
| I-299 | 2,4-dichlorocinnamyl | H | $CF_3O$ | H | H |
| I-300 | 2,4-difluorocinnamyl | H | $CF_3O$ | H | H |

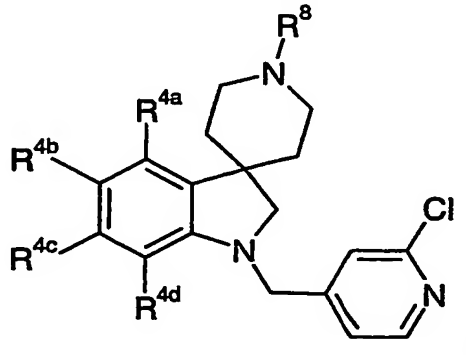
Table II provides 300 compounds of formula Ib



(Ib)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

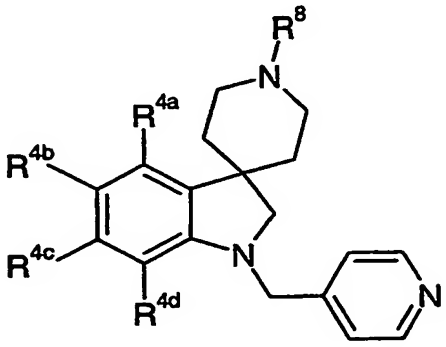
Table III provides 300 compounds of formula Ic



(Ic)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

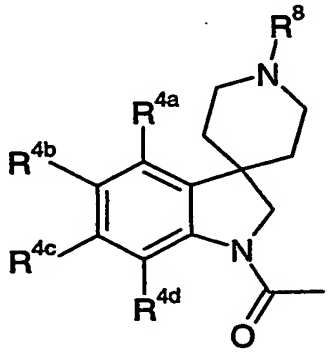
Table IV provides 300 compounds of formula Id



(Id)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

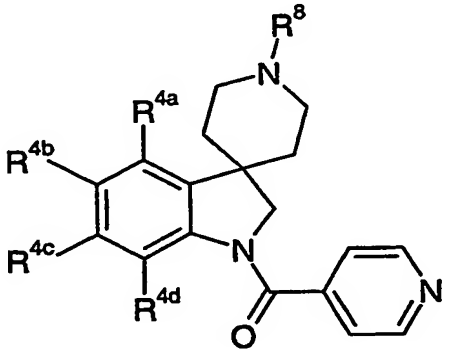
Table V provides 300 compounds of formula Ie



(Ie)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

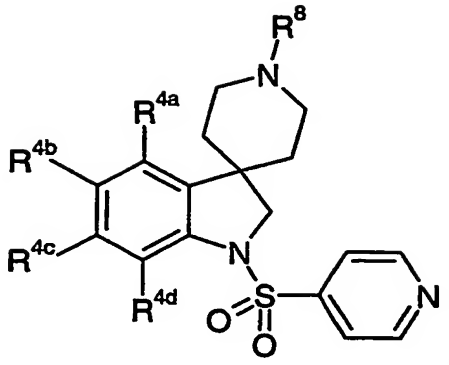
Table VI provides 300 compounds of formula If



(If)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

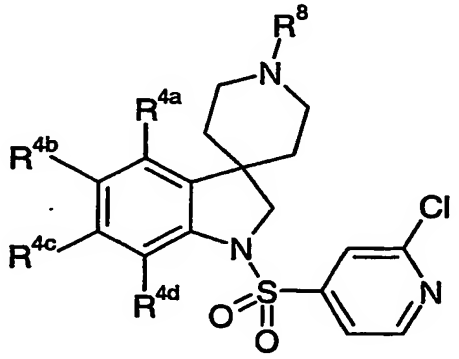
Table VII provides 300 compounds of formula Ig



(Ig)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

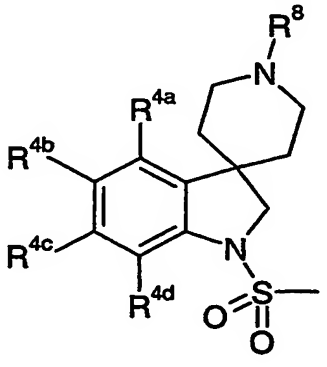
Table VIII provides 300 compounds of formula Ih



(Ih)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

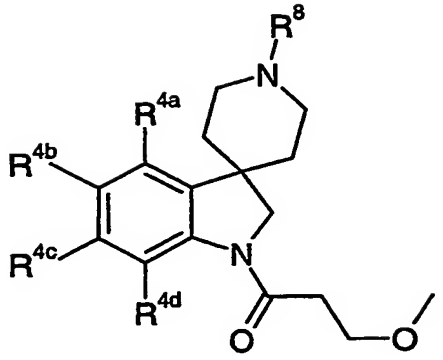
Table IX provides 300 compounds of formula Ii



(Ii)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

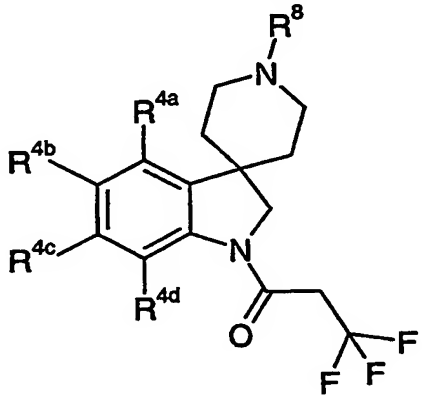
Table X provides 300 compounds of formula Ij



(Ij)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

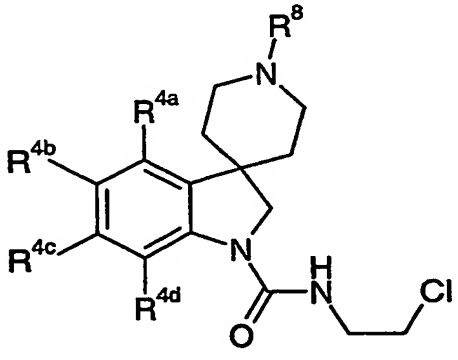
Table XI provides 300 compounds of formula Ik



(Ik)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

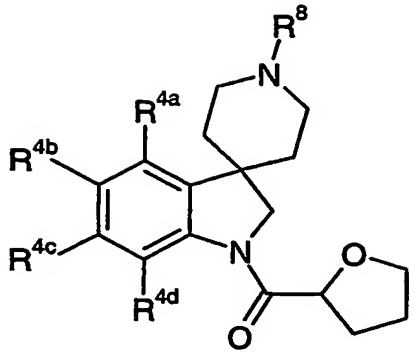
Table XII provides 300 compounds of formula Il



(Il)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

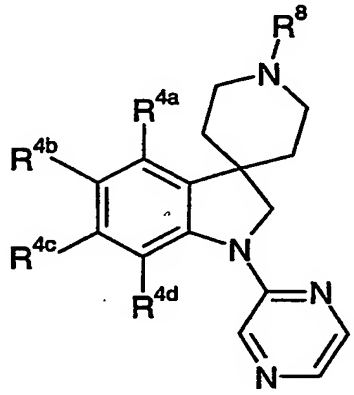
Table XIII provides 300 compounds of formula Im



(Im)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

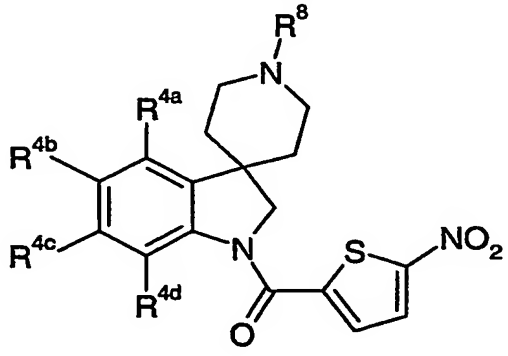
Table XIV provides 300 compounds of formula In



(In)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

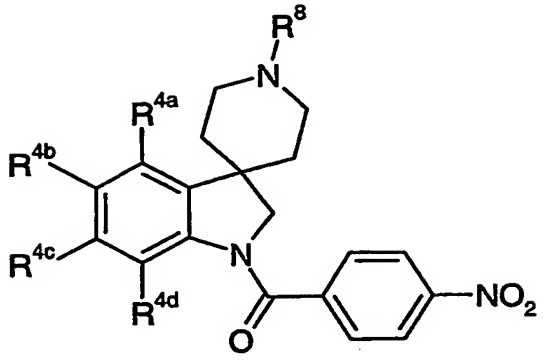
Table XV provides 300 compounds of formula Io



(Io)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

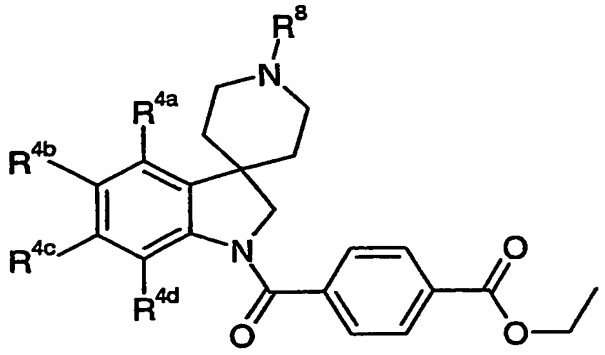
Table XVI provides 300 compounds of formula Ip



(Ip)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

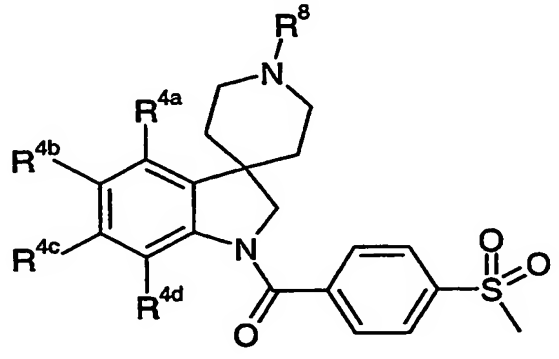
Table XVII provides 300 compounds of formula Iq



(Iq)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

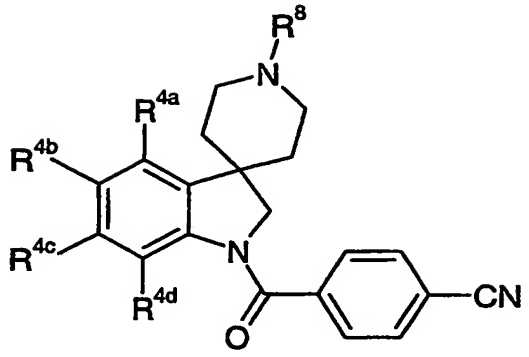
Table XVIII provides 300 compounds of formula Ir



(Ir)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

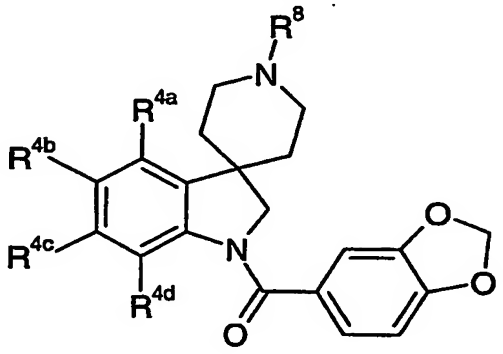
Table XIX provides 300 compounds of formula Is



(Is)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

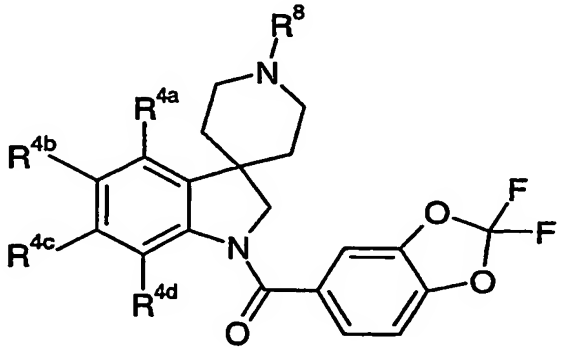
Table XX provides 300 compounds of formula It



(It)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

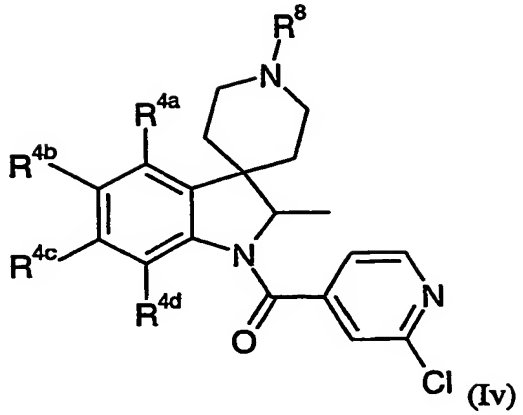
Table XXI provides 300 compounds of formula Iu



(Iu)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

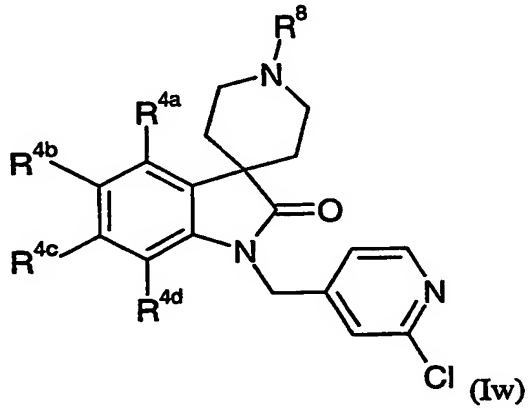
Table XXII provides 300 compounds of formula Iv



(Iv)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

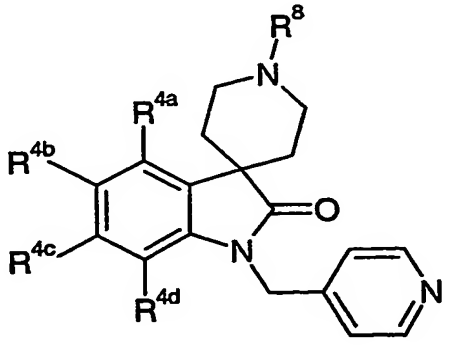
Table XXIII provides 300 compounds of formula Iw



(Iw)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

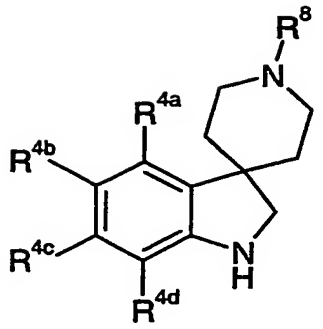
Table XXIV provides 300 compounds of formula Ix



(Ix)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

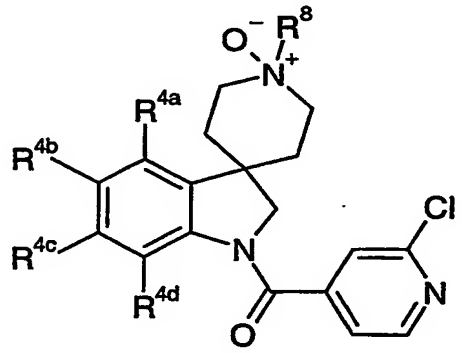
Table XXV provides 300 compounds of formula Iy



(Iy)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

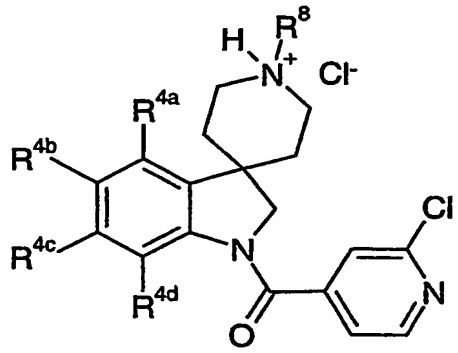
Table XXVI provides 300 compounds of formula Iz



(Iz)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

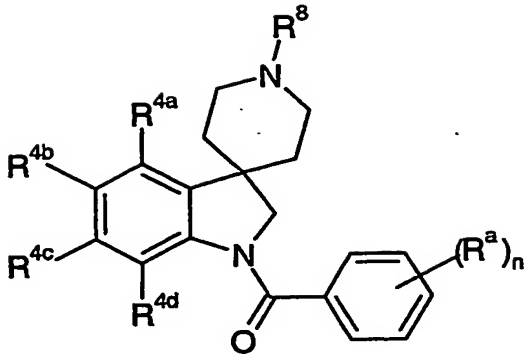
Table XXVII provides 300 compounds of formula Iaa



(Iaa)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$ and $R^{4d}$ are given in Table 1

Table XXVIII provides 270 compounds of formula Ibb



(Ibb)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, $R^{4c}$,$R^{4d}$ and $(R^a)_n$ are given in Table 2

Table 2

| *Compound* | $R^8$ | $R^4_a$ | $R^{4b}$ | $R^4_c$ | $R^{4d}$ | $(R^a)_n$ |
|---|---|---|---|---|---|---|
| XXVIII-1 | cinnamyl | H | H | H | H | 4-SMe |
| XXVIII-2 | 4-chlorocinnamyl | H | H | H | H | 4-SMe |
| XXVIII-3 | 4-fluorocinnamyl | H | H | H | H | 4-SMe |
| XXVIII-4 | 4-trifluoromethylcinnamyl | H | H | H | H | 4-SMe |
| XXVIII-5 | 4-cyanocinnamyl | H | H | H | H | 4-SMe |
| XXVIII-6 | cinnamyl | H | Cl | H | H | 4-SMe |
| XXVIII-7 | 4-chlorocinnamyl | H | Cl | H | H | 4-SMe |
| XXVIII-8 | 4-fluorocinnamyl | H | Cl | H | H | 4-SMe |
| XXVIII-9 | 4-trifluoromethylcinnamyl | H | Cl | H | H | 4-SMe |
| XXVIII-10 | 4-cyanocinnamyl | H | Cl | H | H | 4-SMe |
| XXVIII-11 | cinnamyl | H | F | H | H | 4-SMe |
| XXVIII-12 | 4-chlorocinnamyl | H | F | H | H | 4-SMe |
| XXVIII-13 | 4-fluorocinnamyl | H | F | H | H | 4-SMe |
| XXVIII-14 | 4-trifluoromethylcinnamyl | H | F | H | H | 4-SMe |
| XXVIII-15 | 4-cyanocinnamyl | H | F | H | H | 4-SMe |
| XXVIII-16 | cinnamyl | H | H | F | H | 4-SMe |
| XXVIII-17 | 4-chlorocinnamyl | H | H | F | H | 4-SMe |
| XXVIII-18 | 4-fluorocinnamyl | H | H | F | H | 4-SMe |
| XXVIII-19 | 4-trifluoromethylcinnamyl | H | H | F | H | 4-SMe |
| XXVIII-20 | 4-cyanocinnamyl | H | H | F | H | 4-SMe |
| XXVIII-21 | cinnamyl | H | F | H | F | 4-SMe |
| XXVIII-22 | 4-chlorocinnamyl | H | F | H | F | 4-SMe |
| XXVIII-23 | 4-fluorocinnamyl | H | F | H | F | 4-SMe |
| XXVIII-24 | 4-trifluoromethylcinnamyl | H | F | H | F | 4-SMe |
| XXVIII-25 | 4-cyanocinnamyl | H | F | H | F | 4-SMe |
| XXVIII-26 | cinnamyl | H | OMe | H | H | 4-SMe |
| XXVIII-27 | 4-chlorocinnamyl | H | OMe | H | H | 4-SMe |
| XXVIII-28 | 4-fluorocinnamyl | H | OMe | H | H | 4-SMe |
| XXVIII-29 | 4-trifluoromethylcinnamyl | H | OMe | H | H | 4-SMe |
| XXVIII-30 | 4-cyanocinnamyl | H | OMe | H | H | 4-SMe |

| | | | | | | |
|---|---|---|---|---|---|---|
| XXVIII-31 | cinnamyl | H | H | H | H | 4-C(O)Ph |
| XXVIII-32 | 4-chlorocinnamyl | H | H | H | H | 4-C(O)Ph |
| XXVIII-33 | 4-fluorocinnamyl | H | H | H | H | 4-C(O)Ph |
| XXVIII-34 | 4-trifluoromethylcinnamyl | H | H | H | H | 4-C(O)Ph |
| XXVIII-35 | 4-cyanocinnamyl | H | H | H | H | 4-C(O)Ph |
| XXVIII-36 | cinnamyl | H | Cl | H | H | 4-C(O)Ph |
| XXVIII-37 | 4-chlorocinnamyl | H | Cl | H | H | 4-C(O)Ph |
| XXVIII-38 | 4-fluorocinnamyl | H | Cl | H | H | 4-C(O)Ph |
| XXVIII-39 | 4-trifluoromethylcinnamyl | H | Cl | H | H | 4-C(O)Ph |
| XXVIII-40 | 4-cyanocinnamyl | H | Cl | H | H | 4-C(O)Ph |
| XXVIII-41 | cinnamyl | H | F | H | H | 4-C(O)Ph |
| XXVIII-42 | 4-chlorocinnamyl | H | F | H | H | 4-C(O)Ph |
| XXVIII-43 | 4-fluorocinnamyl | H | F | H | H | 4-C(O)Ph |
| XXVIII-44 | 4-trifluoromethylcinnamyl | H | F | H | H | 4-C(O)Ph |
| XXVIII-45 | 4-cyanocinnamyl | H | F | H | H | 4-C(O)Ph |
| XXVIII-46 | cinnamyl | H | H | F | H | 4-C(O)Ph |
| XXVIII-47 | 4-chlorocinnamyl | H | H | F | H | 4-C(O)Ph |
| XXVIII-48 | 4-fluorocinnamyl | H | H | F | H | 4-C(O)Ph |
| XXVIII-49 | 4-trifluoromethylcinnamyl | H | H | F | H | 4-C(O)Ph |
| XXVIII-50 | 4-cyanocinnamyl | H | H | F | H | 4-C(O)Ph |
| XXVIII-51 | cinnamyl | H | F | H | F | 4-C(O)Ph |
| XXVIII-52 | 4-chlorocinnamyl | H | F | H | F | 4-C(O)Ph |
| XXVIII-53 | 4-fluorocinnamyl | H | F | H | F | 4-C(O)Ph |
| XXVIII-54 | 4-trifluoromethylcinnamyl | H | F | H | F | 4-C(O)Ph |
| XXVIII-55 | 4-cyanocinnamyl | H | F | H | F | 4-C(O)Ph |
| XXVIII-56 | cinnamyl | H | OMe | H | H | 4-C(O)Ph |
| XXVIII-57 | 4-chlorocinnamyl | H | OMe | H | H | 4-C(O)Ph |
| XXVIII-58 | 4-fluorocinnamyl | H | OMe | H | H | 4-C(O)Ph |
| XXVIII-59 | 4-trifluoromethylcinnamyl | H | OMe | H | H | 4-C(O)Ph |
| XXVIII-60 | 4-cyanocinnamyl | H | OMe | H | H | 4-C(O)Ph |
| XXVIII-61 | cinnamyl | H | H | H | H | 4-F |
| XXVIII-62 | 4-chlorocinnamyl | H | H | H | H | 4-F |
| XXVIII-63 | 4-fluorocinnamyl | H | H | H | H | 4-F |
| XXVIII-64 | 4-trifluoromethylcinnamyl | H | H | H | H | 4-F |
| XXVIII-65 | 4-cyanocinnamyl | H | H | H | H | 4-F |
| XXVIII-66 | cinnamyl | H | Cl | H | H | 4-F |
| XXVIII-67 | 4-chlorocinnamyl | H | Cl | H | H | 4-F |
| XXVIII-68 | 4-fluorocinnamyl | H | Cl | H | H | 4-F |
| XXVIII-69 | 4-trifluoromethylcinnamyl | H | Cl | H | H | 4-F |
| XXVIII-70 | 4-cyanocinnamyl | H | Cl | H | H | 4-F |
| XXVIII-71 | cinnamyl | H | F | H | H | 4-F |
| XXVIII-72 | 4-chlorocinnamyl | H | F | H | H | 4-F |
| XXVIII-73 | 4-fluorocinnamyl | H | F | H | H | 4-F |
| XXVIII-74 | 4-trifluoromethylcinnamyl | H | F | H | H | 4-F |
| XXVIII-75 | 4-cyanocinnamyl | H | F | H | H | 4-F |
| XXVIII-76 | cinnamyl | H | H | F | H | 4-F |

| | | | | | | |
|---|---|---|---|---|---|---|
| XXVIII-77 | 4-chlorocinnamyl | H | H | F | H | 4-F |
| XXVIII-78 | 4-fluorocinnamyl | H | H | F | H | 4-F |
| XXVIII-79 | 4-trifluoromethylcinnamyl | H | H | F | H | 4-F |
| XXVIII-80 | 4-cyanocinnamyl | H | H | F | H | 4-F |
| XXVIII-81 | cinnamyl | H | F | H | F | 4-F |
| XXVIII-82 | 4-chlorocinnamyl | H | F | H | F | 4-F |
| XXVIII-83 | 4-fluorocinnamyl | H | F | H | F | 4-F |
| XXVIII-84 | 4-trifluoromethylcinnamyl | H | F | H | F | 4-F |
| XXVIII-85 | 4-cyanocinnamyl | H | F | H | F | 4-F |
| XXVIII-86 | cinnamyl | H | OMe | H | H | 4-F |
| XXVIII-87 | 4-chlorocinnamyl | H | OMe | H | H | 4-F |
| XXVIII-88 | 4-fluorocinnamyl | H | OMe | H | H | 4-F |
| XXVIII-89 | 4-trifluoromethylcinnamyl | H | OMe | H | H | 4-F |
| XXVIII-90 | 4-cyanocinnamyl | H | OMe | H | H | 4-F |
| XXVIII-91 | cinnamyl | H | H | H | H | 3-CN |
| XXVIII-92 | 4-chlorocinnamyl | H | H | H | H | 3-CN |
| XXVIII-93 | 4-fluorocinnamyl | H | H | H | H | 3-CN |
| XXVIII-94 | 4-trifluoromethylcinnamyl | H | H | H | H | 3-CN |
| XXVIII-95 | 4-cyanocinnamyl | H | H | H | H | 3-CN |
| XXVIII-96 | cinnamyl | H | Cl | H | H | 3-CN |
| XXVIII-97 | 4-chlorocinnamyl | H | Cl | H | H | 3-CN |
| XXVIII-98 | 4-fluorocinnamyl | H | Cl | H | H | 3-CN |
| XXVIII-99 | 4-trifluoromethylcinnamyl | H | Cl | H | H | 3-CN |
| XXVIII-100 | 4-cyanocinnamyl | H | Cl | H | H | 3-CN |
| XXVIII-101 | cinnamyl | H | F | H | H | 3-CN |
| XXVIII-102 | 4-chlorocinnamyl | H | F | H | H | 3-CN |
| XXVIII-103 | 4-fluorocinnamyl | H | F | H | H | 3-CN |
| XXVIII-104 | 4-trifluoromethylcinnamyl | H | F | H | H | 3-CN |
| XXVIII-105 | 4-cyanocinnamyl | H | F | H | H | 3-CN |
| XXVIII-106 | cinnamyl | H | H | F | H | 3-CN |
| XXVIII-107 | 4-chlorocinnamyl | H | H | F | H | 3-CN |
| XXVIII-108 | 4-fluorocinnamyl | H | H | F | H | 3-CN |
| XXVIII-109 | 4-trifluoromethylcinnamyl | H | H | F | H | 3-CN |
| XXVIII-110 | 4-cyanocinnamyl | H | H | F | H | 3-CN |
| XXVIII-111 | cinnamyl | H | F | H | F | 3-CN |
| XXVIII-112 | 4-chlorocinnamyl | H | F | H | F | 3-CN |
| XXVIII-113 | 4-fluorocinnamyl | H | F | H | F | 3-CN |
| XXVIII-114 | 4-trifluoromethylcinnamyl | H | F | H | F | 3-CN |
| XXVIII-115 | 4-cyanocinnamyl | H | F | H | F | 3-CN |
| XXVIII-116 | cinnamyl | H | OMe | H | H | 3-CN |
| XXVIII-117 | 4-chlorocinnamyl | H | OMe | H | H | 3-CN |
| XXVIII-118 | 4-fluorocinnamyl | H | OMe | H | H | 3-CN |
| XXVIII-119 | 4-trifluoromethylcinnamyl | H | OMe | H | H | 3-CN |
| XXVIII-120 | 4-cyanocinnamyl | H | OMe | H | H | 3-CN |
| XXVIII-121 | cinnamyl | H | H | H | H | 4-n-Pr |
| XXVIII-122 | 4-chlorocinnamyl | H | H | H | H | 4-n-Pr |

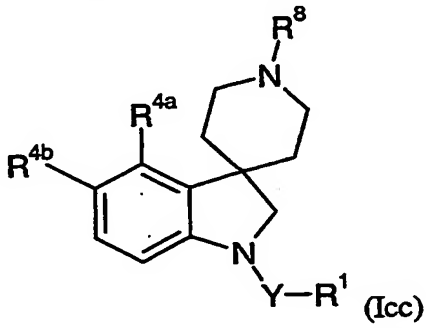
| | | | | | | |
|---|---|---|---|---|---|---|
| XXVIII-123 | 4-fluorocinnamyl | H | H | H | H | 4-n-Pr |
| XXVIII-124 | 4-trifluoromethylcinnamyl | H | H | H | H | 4-n-Pr |
| XXVIII-125 | 4-cyanocinnamyl | H | H | H | H | 4-n-Pr |
| XXVIII-126 | cinnamyl | H | Cl | H | H | 4-n-Pr |
| XXVIII-127 | 4-chlorocinnamyl | H | Cl | H | H | 4-n-Pr |
| XXVIII-128 | 4-fluorocinnamyl | H | Cl | H | H | 4-n-Pr |
| XXVIII-129 | 4-trifluoromethylcinnamyl | H | Cl | H | H | 4-n-Pr |
| XXVIII-130 | 4-cyanocinnamyl | H | Cl | H | H | 4-n-Pr |
| XXVIII-131 | cinnamyl | H | F | H | H | 4-n-Pr |
| XXVIII-132 | 4-chlorocinnamyl | H | F | H | H | 4-n-Pr |
| XXVIII-133 | 4-fluorocinnamyl | H | F | H | H | 4-n-Pr |
| XXVIII-134 | 4-trifluoromethylcinnamyl | H | F | H | H | 4-n-Pr |
| XXVIII-135 | 4-cyanocinnamyl | H | F | H | H | 4-n-Pr |
| XXVIII-136 | cinnamyl | H | H | F | H | 4-n-Pr |
| XXVIII-137 | 4-chlorocinnamyl | H | H | F | H | 4-n-Pr |
| XXVIII-138 | 4-fluorocinnamyl | H | H | F | H | 4-n-Pr |
| XXVIII-139 | 4-trifluoromethylcinnamyl | H | H | F | H | 4-n-Pr |
| XXVIII-140 | 4-cyanocinnamyl | H | H | F | H | 4-n-Pr |
| XXVIII-141 | cinnamyl | H | F | H | F | 4-n-Pr |
| XXVIII-142 | 4-chlorocinnamyl | H | F | H | F | 4-n-Pr |
| XXVIII-143 | 4-fluorocinnamyl | H | F | H | F | 4-n-Pr |
| XXVIII-144 | 4-trifluoromethylcinnamyl | H | F | H | F | 4-n-Pr |
| XXVIII-145 | 4-cyanocinnamyl | H | F | H | F | 4-n-Pr |
| XXVIII-146 | cinnamyl | H | OMe | H | H | 4-n-Pr |
| XXVIII-147 | 4-chlorocinnamyl | H | OMe | H | H | 4-n-Pr |
| XXVIII-148 | 4-fluorocinnamyl | H | OMe | H | H | 4-n-Pr |
| XXVIII-149 | 4-trifluoromethylcinnamyl | H | OMe | H | H | 4-n-Pr |
| XXVIII-150 | 4-cyanocinnamyl | H | OMe | H | H | 4-n-Pr |
| XXVIII-151 | cinnamyl | H | H | H | H | 2-OMe-4-SMe |
| XXVIII-152 | 4-chlorocinnamyl | H | H | H | H | 2-OMe-4-SMe |
| XXVIII-153 | 4-fluorocinnamyl | H | H | H | H | 2-OMe-4-SMe |
| XXVIII-154 | 4-trifluoromethylcinnamyl | H | H | H | H | 2-OMe-4-SMe |
| XXVIII-155 | 4-cyanocinnamyl | H | H | H | H | 2-OMe-4-SMe |
| XXVIII-156 | cinnamyl | H | Cl | H | H | 2-OMe-4-SMe |
| XXVIII-157 | 4-chlorocinnamyl | H | Cl | H | H | 2-OMe-4-SMe |
| XXVIII-158 | 4-fluorocinnamyl | H | Cl | H | H | 2-OMe-4-SMe |
| XXVIII-159 | 4-trifluoromethylcinnamyl | H | Cl | H | H | 2-OMe-4-SMe |
| XXVIII-160 | 4-cyanocinnamyl | H | Cl | H | H | 2-OMe-4-SMe |
| XXVIII-161 | cinnamyl | H | F | H | H | 2-OMe-4-SMe |
| XXVIII-162 | 4-chlorocinnamyl | H | F | H | H | 2-OMe-4-SMe |
| XXVIII-163 | 4-fluorocinnamyl | H | F | H | H | 2-OMe-4-SMe |
| XXVIII-164 | 4-trifluoromethylcinnamyl | H | F | H | H | 2-OMe-4-SMe |
| XXVIII-165 | 4-cyanocinnamyl | H | F | H | H | 2-OMe-4-SMe |
| XXVIII-166 | cinnamyl | H | H | F | H | 2-OMe-4-SMe |
| XXVIII-167 | 4-chlorocinnamyl | H | H | F | H | 2-OMe-4-SMe |
| XXVIII-168 | 4-fluorocinnamyl | H | H | F | H | 2-OMe-4-SMe |

| | | | | | | |
|---|---|---|---|---|---|---|
| XXVIII-169 | 4-trifluoromethylcinnamyl | H | H | F | H | 2-OMe-4-SMe |
| XXVIII-170 | 4-cyanocinnamyl | H | H | F | H | 2-OMe-4-SMe |
| XXVIII-171 | cinnamyl | H | F | H | F | 2-OMe-4-SMe |
| XXVIII-172 | 4-chlorocinnamyl | H | F | H | F | 2-OMe-4-SMe |
| XXVIII-173 | 4-fluorocinnamyl | H | F | H | F | 2-OMe-4-SMe |
| XXVIII-174 | 4-trifluoromethylcinnamyl | H | F | H | F | 2-OMe-4-SMe |
| XXVIII-175 | 4-cyanocinnamyl | H | F | H | F | 2-OMe-4-SMe |
| XXVIII-176 | cinnamyl | H | OMe | H | H | 2-OMe-4-SMe |
| XXVIII-177 | 4-chlorocinnamyl | H | OMe | H | H | 2-OMe-4-SMe |
| XXVIII-178 | 4-fluorocinnamyl | H | OMe | H | H | 2-OMe-4-SMe |
| XXVIII-179 | 4-trifluoromethylcinnamyl | H | OMe | H | H | 2-OMe-4-SMe |
| XXVIII-180 | 4-cyanocinnamyl | H | OMe | H | H | 2-OMe-4-SMe |
| XXVIII-181 | cinnamyl | H | H | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-182 | 4-chlorocinnamyl | H | H | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-183 | 4-fluorocinnamyl | H | H | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-184 | 4-trifluoromethylcinnamyl | H | H | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-185 | 4-cyanocinnamyl | H | H | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-186 | cinnamyl | H | Cl | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-187 | 4-chlorocinnamyl | H | Cl | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-188 | 4-fluorocinnamyl | H | Cl | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-189 | 4-trifluoromethylcinnamyl | H | Cl | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-190 | 4-cyanocinnamyl | H | Cl | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-191 | cinnamyl | H | F | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-192 | 4-chlorocinnamyl | H | F | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-193 | 4-fluorocinnamyl | H | F | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-194 | 4-trifluoromethylcinnamyl | H | F | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-195 | 4-cyanocinnamyl | H | F | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-196 | cinnamyl | H | H | F | H | 2-Cl-4-$SO_2$Me |
| XXVIII-197 | 4-chlorocinnamyl | H | H | F | H | 2-Cl-4-$SO_2$Me |
| XXVIII-198 | 4-fluorocinnamyl | H | H | F | H | 2-Cl-4-$SO_2$Me |
| XXVIII-199 | 4-trifluoromethylcinnamyl | H | H | F | H | 2-Cl-4-$SO_2$Me |
| XXVIII-200 | 4-cyanocinnamyl | H | H | F | H | 2-Cl-4-$SO_2$Me |
| XXVIII-201 | cinnamyl | H | F | H | F | 2-Cl-4-$SO_2$Me |
| XXVIII-202 | 4-chlorocinnamyl | H | F | H | F | 2-Cl-4-$SO_2$Me |
| XXVIII-203 | 4-fluorocinnamyl | H | F | H | F | 2-Cl-4-$SO_2$Me |
| XXVIII-204 | 4-trifluoromethylcinnamyl | H | F | H | F | 2-Cl-4-$SO_2$Me |
| XXVIII-205 | 4-cyanocinnamyl | H | F | H | F | 2-Cl-4-$SO_2$Me |
| XXVIII-206 | cinnamyl | H | OMe | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-207 | 4-chlorocinnamyl | H | OMe | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-208 | 4-fluorocinnamyl | H | OMe | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-209 | 4-trifluoromethylcinnamyl | H | OMe | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-210 | 4-cyanocinnamyl | H | OMe | H | H | 2-Cl-4-$SO_2$Me |
| XXVIII-211 | cinnamyl | H | H | H | H | 4-n-PrO |
| XXVIII-212 | 4-chlorocinnamyl | H | H | H | H | 4-n-PrO |
| XXVIII-213 | 4-fluorocinnamyl | H | H | H | H | 4-n-PrO |

| | | | | | | |
|---|---|---|---|---|---|---|
| XXVIII-214 | 4-trifluoromethylcinnamyl | H | H | H | H | 4-n-PrO |
| XXVIII-215 | 4-cyanocinnamyl | H | H | H | H | 4-n-PrO |
| XXVIII-216 | cinnamyl | H | Cl | H | H | 4-n-PrO |
| XXVIII-217 | 4-chlorocinnamyl | H | Cl | H | H | 4-n-PrO |
| XXVIII-218 | 4-fluorocinnamyl | H | Cl | H | H | 4-n-PrO |
| XXVIII-219 | 4-trifluoromethylcinnamyl | H | Cl | H | H | 4-n-PrO |
| XXVIII-220 | 4-cyanocinnamyl | H | Cl | H | H | 4-n-PrO |
| XXVIII-221 | cinnamyl | H | F | H | H | 4-n-PrO |
| XXVIII-222 | 4-chlorocinnamyl | H | F | H | H | 4-n-PrO |
| XXVIII-223 | 4-fluorocinnamyl | H | F | H | H | 4-n-PrO |
| XXVIII-224 | 4-trifluoromethylcinnamyl | H | F | H | H | 4-n-PrO |
| XXVIII-225 | 4-cyanocinnamyl | H | F | H | H | 4-n-PrO |
| XXVIII-226 | cinnamyl | H | H | F | H | 4-n-PrO |
| XXVIII-227 | 4-chlorocinnamyl | H | H | F | H | 4-n-PrO |
| XXVIII-228 | 4-fluorocinnamyl | H | H | F | H | 4-n-PrO |
| XXVIII-229 | 4-trifluoromethylcinnamyl | H | H | F | H | 4-n-PrO |
| XXVIII-230 | 4-cyanocinnamyl | H | H | F | H | 4-n-PrO |
| XXVIII-231 | cinnamyl | H | F | H | F | 4-n-PrO |
| XXVIII-232 | 4-chlorocinnamyl | H | F | H | F | 4-n-PrO |
| XXVIII-233 | 4-fluorocinnamyl | H | F | H | F | 4-n-PrO |
| XXVIII-234 | 4-trifluoromethylcinnamyl | H | F | H | F | 4-n-PrO |
| XXVIII-235 | 4-cyanocinnamyl | H | F | H | F | 4-n-PrO |
| XXVIII-236 | cinnamyl | H | OMe | H | H | 4-n-PrO |
| XXVIII-237 | 4-chlorocinnamyl | H | OMe | H | H | 4-n-PrO |
| XXVIII-238 | 4-fluorocinnamyl | H | OMe | H | H | 4-n-PrO |
| XXVIII-239 | 4-trifluoromethylcinnamyl | H | OMe | H | H | 4-n-PrO |
| XXVIII-240 | 4-cyanocinnamyl | H | OMe | H | H | 4-n-PrO |
| XXVIII-241 | cinnamyl | H | H | H | H | 2-Me |
| XXVIII-242 | 4-chlorocinnamyl | H | H | H | H | 2-Me |
| XXVIII-243 | 4-fluorocinnamyl | H | H | H | H | 2-Me |
| XXVIII-244 | 4-trifluoromethylcinnamyl | H | H | H | H | 2-Me |
| XXVIII-245 | 4-cyanocinnamyl | H | H | H | H | 2-Me |
| XXVIII-246 | cinnamyl | H | Cl | H | H | 2-Me |
| XXVIII-247 | 4-chlorocinnamyl | H | Cl | H | H | 2-Me |
| XXVIII-248 | 4-fluorocinnamyl | H | Cl | H | H | 2-Me |
| XXVIII-249 | 4-trifluoromethylcinnamyl | H | Cl | H | H | 2-Me |
| XXVIII-250 | 4-cyanocinnamyl | H | Cl | H | H | 2-Me |
| XXVIII-251 | cinnamyl | H | F | H | H | 2-Me |
| XXVIII-252 | 4-chlorocinnamyl | H | F | H | H | 2-Me |
| XXVIII-253 | 4-fluorocinnamyl | H | F | H | H | 2-Me |
| XXVIII-254 | 4-trifluoromethylcinnamyl | H | F | H | H | 2-Me |
| XXVIII-255 | 4-cyanocinnamyl | H | F | H | H | 2-Me |
| XXVIII-256 | cinnamyl | H | H | F | H | 2-Me |
| XXVIII-257 | 4-chlorocinnamyl | H | H | F | H | 2-Me |
| XXVIII-258 | 4-fluorocinnamyl | H | H | F | H | 2-Me |

| | | | | | | |
|---|---|---|---|---|---|---|
| XXVIII-259 | 4-trifluoromethylcinnamyl | H | H | F | H | 2-Me |
| XXVIII-260 | 4-cyanocinnamyl | H | H | F | H | 2-Me |
| XXVIII-261 | cinnamyl | H | F | H | F | 2-Me |
| XXVIII-262 | 4-chlorocinnamyl | H | F | H | F | 2-Me |
| XXVIII-263 | 4-fluorocinnamyl | H | F | H | F | 2-Me |
| XXVIII-264 | 4-trifluoromethylcinnamyl | H | F | H | F | 2-Me |
| XXVIII-265 | 4-cyanocinnamyl | H | F | H | F | 2-Me |
| XXVIII-266 | cinnamyl | H | OMe | H | H | 2-Me |
| XXVIII-267 | 4-chlorocinnamyl | H | OMe | H | H | 2-Me |
| XXVIII-268 | 4-fluorocinnamyl | H | OMe | H | H | 2-Me |
| XXVIII-269 | 4-trifluoromethylcinnamyl | H | OMe | H | H | 2-Me |
| XXVIII-270 | 4-cyanocinnamyl | H | OMe | H | H | 2-Me |

Table XXIX provides 214 compounds of formula Icc




(Icc)

wherein the values of $R^8$, $R^{4a}$, $R^{4b}$, Y and $R^1$ are given in Table 3

Table 3

| | R8 | R4a | R4b | Y | R1 |
|---|---|---|---|---|---|
| XXIX-1 | 2-(benzoxazolyl)methyl | H | Cl | C(O) | 2-chloropyrid-4-yl |
| XXIX-2 | 2-(benzoxazolyl)methyl | H | F | C(O) | 2-chloropyrid-4-yl |
| XXIX-3 | 2-(benzoxazolyl)methyl | H | Cl | bond | carbomethoxy |
| XXIX-4 | 2-(benzoxazolyl)methyl | H | F | bond | carbomethoxy |
| XXIX-5 | 2-(benzoxazolyl)methyl | H | Cl | bond | acetyl |
| XXIX-6 | 2-(benzoxazolyl)methyl | H | F | bond | acetyl |
| XXIX-7 | 2-methyl-3-(3',4'-methylenedioxyphenyl)prop-2-enyl | H | Cl | C(O) | 2-chloropyrid-4-yl |
| XXIX-8 | 2-methyl-3-(3',4'-methylenedioxyphenyl)prop-2-enyl | H | F | C(O) | 2-chloropyrid-4-yl |
| XXIX-9 | 2-methyl-3-(3',4'-methylenedioxyphenyl)prop-2-enyl | H | Cl | bond | carbomethoxy |
| XXIX-10 | 2-methyl-3-(3',4'- | H | F | bond | carbomethoxy |

| | | | | | |
|---|---|---|---|---|---|
| | methylenedioxyphenyl)prop-2-enyl | | | | |
| XXIX-11 | 2-methyl-3-(3',4'-methylenedioxyphenyl)prop-2-enyl | H | Cl | bond | acetyl |
| XXIX-12 | 2-methyl-3-(3',4'-methylenedioxyphenyl)prop-2-enyl | H | F | bond | acetyl |
| XXIX-13 | 3-phenylprop-2-ynyl | H | Cl | C(O) | 2-chloropyrid-4-yl |
| XXIX-14 | 3-phenylprop-2-ynyl | H | F | C(O) | 2-chloropyrid-4-yl |
| XXIX-15 | 3-phenylprop-2-ynyl | H | Cl | bond | carbomethoxy |
| XXIX-16 | 3-phenylprop-2-ynyl | H | F | bond | carbomethoxy |
| XXIX-17 | 3-phenylprop-2-ynyl | H | Cl | bond | acetyl |
| XXIX-18 | 3-phenylprop-2-ynyl | H | F | bond | acetyl |
| XXIX-19 | trifluoroacetamido | H | Cl | C(O) | 2-chloropyrid-4-yl |
| XXIX-20 | trifluoroacetamido | H | F | C(O) | 2-chloropyrid-4-yl |
| XXIX-21 | trifluoroacetamido | H | Cl | bond | carbomethoxy |
| XXIX-22 | trifluoroacetamido | H | F | bond | carbomethoxy |
| XXIX-23 | trifluoroacetamido | H | Cl | bond | acetyl |
| XXIX-24 | trifluoroacetamido | H | F | bond | acetyl |
| XXIX-25 | 4-chlorocinnamate | H | Cl | C(O) | 2-chloropyrid-4-yl |
| XXIX-26 | 4-chlorocinnamate | H | F | C(O) | 2-chloropyrid-4-yl |
| XXIX-27 | 4-chlorocinnamate | H | Cl | bond | carbomethoxy |
| XXIX-28 | 4-chlorocinnamate | H | F | bond | carbomethoxy |
| XXIX-29 | 4-chlorocinnamate | H | Cl | bond | acetyl |
| XXIX-30 | 4-chlorocinnamate | H | F | bond | acetyl |
| XXIX-31 | 2-oxo-2-(2'-chloro-4'-methylphenyl)ethyl | H | Cl | C(O) | 2-chloropyrid-4-yl |
| XXIX-32 | 2-oxo-2-(2'-chloro-4'-methylphenyl)ethyl | H | F | C(O) | 2-chloropyrid-4-yl |
| XXIX-33 | 2-oxo-2-(2'-chloro-4'-methylphenyl)ethyl | H | Cl | bond | carbomethoxy |
| XXIX-34 | 2-oxo-2-(2'-chloro-4'-methylphenyl)ethyl | H | F | bond | carbomethoxy |
| XXIX-35 | 2-oxo-2-(2'-chloro-4'-methylphenyl)ethyl | H | Cl | bond | acetyl |
| XXIX-36 | 2-oxo-2-(2'-chloro-4'-methylphenyl)ethyl | H | F | bond | acetyl |
| XXIX-37 | 2-oxo-1,2-diphenylethyl | H | Cl | C(O) | 2-chloropyrid-4-yl |
| XXIX-38 | 2-oxo-1,2-diphenylethyl | H | F | C(O) | 2-chloropyrid-4-yl |
| XXIX-39 | 2-oxo-1,2-diphenylethyl | H | Cl | bond | carbomethoxy |
| XXIX-40 | 2-oxo-1,2-diphenylethyl | H | F | bond | carbomethoxy |
| XXIX-41 | 2-oxo-1,2-diphenylethyl | H | Cl | bond | acetyl |
| XXIX-42 | 2-oxo-1,2-diphenylethyl | H | F | bond | acetyl |
| XXIX-43 | 3,3-dichloroallyl | H | Cl | C(O) | 2-chloropyrid-4-yl |
| XXIX-44 | 3,3-dichloroallyl | H | F | C(O) | 2-chloropyrid-4-yl |
| XXIX-45 | 3,3-dichloroallyl | H | Cl | bond | carbomethoxy |

| | | | | | |
|---|---|---|---|---|---|
| XXIX-46 | 3,3-dichloroallyl | H | F | bond | carbomethoxy |
| XXIX-47 | 3,3-dichloroallyl | H | Cl | bond | acetyl |
| XXIX-48 | 3,3-dichloroallyl | H | F | bond | acetyl |
| XXIX-49 | t-butyloxycarbonyl | H | F | bond | H |
| XXIX-50 | t-butyloxycarbonyl | H | Cl | bond | H |
| XXIX-51 | t-butyloxycarbonyl | H | Cl | C(O) | 2-chloropyrid-4-yl |
| XXIX-52 | t-butyloxycarbonyl | H | F | C(O) | 2-chloropyrid-4-yl |
| XXIX-53 | t-butyloxycarbonyl | H | Cl | bond | carbomethoxy |
| XXIX-54 | t-butyloxycarbonyl | H | F | bond | carbomethoxy |
| XXIX-55 | t-butyloxycarbonyl | H | Cl | bond | acetyl |
| XXIX-56 | t-butyloxycarbonyl | H | F | bond | acetyl |
| XXIX-57 | 4-chlorocinnamyl | H | Cl | bond | 5-trifluoromethylpyrid-2-yl |
| XXIX-58 | 4-chlorocinnamyl | H | F | bond | 5-trifluoromethylpyrid-2-yl |
| XXIX-59 | 4-chlorocinnamyl | Br | H | bond | 5-trifluoromethylpyrid-2-yl |
| XXIX-60 | 4-fluorocinnamyl | H | Cl | bond | 5-trifluoromethylpyrid-2-yl |
| XXIX-61 | 4-fluorocinnamyl | H | F | bond | 5-trifluoromethylpyrid-2-yl |
| XXIX-62 | 4-fluorocinnamyl | Br | H | bond | 5-trifluoromethylpyrid-2-yl |
| XXIX-63 | 4-chlorocinnamyl | H | Cl | bond | pyrimidin-2-yl |
| XXIX-64 | 4-chlorocinnamyl | H | F | bond | pyrimidin-2-yl |
| XXIX-65 | 4-chlorocinnamyl | Br | H | bond | pyrimidin-2-yl |
| XXIX-66 | 4-fluorocinnamyl | H | Cl | bond | pyrimidin-2-yl |
| XXIX-67 | 4-fluorocinnamyl | H | F | bond | pyrimidin-2-yl |
| XXIX-68 | 4-fluorocinnamyl | Br | H | bond | pyrimidin-2-yl |
| XXIX-69 | 4-chlorocinnamyl | H | Cl | C(O) | pyrazinyl |
| XXIX-70 | 4-chlorocinnamyl | H | F | C(O) | pyrazinyl |
| XXIX-71 | 4-chlorocinnamyl | Br | H | C(O) | pyrazinyl |
| XXIX-72 | 4-fluorocinnamyl | H | Cl | C(O) | pyrazinyl |
| XXIX-73 | 4-fluorocinnamyl | H | F | C(O) | pyrazinyl |
| XXIX-74 | 4-fluorocinnamyl | Br | H | C(O) | pyrazinyl |
| XXIX-75 | 4-chlorocinnamyl | H | Cl | C(O) | 2-chloropyrid-5-yl |
| XXIX-76 | 4-chlorocinnamyl | H | F | C(O) | 2-chloropyrid-5-yl |
| XXIX-77 | 4-chlorocinnamyl | Br | H | C(O) | 2-chloropyrid-5-yl |
| XXIX-78 | 4-fluorocinnamyl | H | Cl | C(O) | 2-chloropyrid-5-yl |
| XXIX-79 | 4-fluorocinnamyl | H | F | C(O) | 2-chloropyrid-5-yl |
| XXIX-80 | 4-fluorocinnamyl | Br | H | C(O) | 2-chloropyrid-5-yl |

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|---|---|---|---|---|---|
| XXIX-81 | 4-chlorocinnamyl | H | Cl | C(O) | 1,2,3-thiadiazol-4-yl |
| XXIX-82 | 4-chlorocinnamyl | H | F | C(O) | 1,2,3-thiadiazol-4-yl |
| XXIX-83 | 4-chlorocinnamyl | Br | H | C(O) | 1,2,3-thiadiazol-4-yl |
| XXIX-84 | 4-fluorocinnamyl | H | Cl | C(O) | 1,2,3-thiadiazol-4-yl |
| XXIX-85 | 4-fluorocinnamyl | H | F | C(O) | 1,2,3-thiadiazol-4-yl |
| XXIX-86 | 4-fluorocinnamyl | Br | H | C(O) | 1,2,3-thiadiazol-4-yl |
| XXIX-87 | 4-chlorocinnamyl | H | Cl | C(O) | 1-methyl-5-nitro-[1H]-pyrazol-4-yl |
| XXIX-88 | 4-chlorocinnamyl | H | F | C(O) | 1-methyl-5-nitro-[1H]-pyrazol-4-yl |
| XXIX-89 | 4-chlorocinnamyl | Br | H | C(O) | 1-methyl-5-nitro-[1H]-pyrazol-4-yl |
| XXIX-90 | 4-fluorocinnamyl | H | Cl | C(O) | 1-methyl-5-nitro-[1H]-pyrazol-4-yl |
| XXIX-91 | 4-fluorocinnamyl | H | F | C(O) | 1-methyl-5-nitro-[1H]-pyrazol-4-yl |
| XXIX-92 | 4-fluorocinnamyl | Br | H | C(O) | 1-methyl-5-nitro-[1H]-pyrazol-4-yl |
| XXIX-93 | 4-chlorocinnamyl | H | Cl | C(O) | 5-carbomethoxypyrid-2-yl |
| XXIX-94 | 4-chlorocinnamyl | H | F | C(O) | 5-carbomethoxypyrid-2-yl |
| XXIX-95 | 4-chlorocinnamyl | Br | H | C(O) | 5-carbomethoxypyrid-2-yl |
| XXIX-96 | 4-fluorocinnamyl | H | Cl | C(O) | 5-carbomethoxypyrid-2-yl |
| XXIX-97 | 4-fluorocinnamyl | H | F | C(O) | 5-carbomethoxypyrid-2-yl |
| XXIX-98 | 4-fluorocinnamyl | Br | H | C(O) | 5-carbomethoxypyrid-2-yl |
| XXIX-99 | 4-chlorocinnamyl | H | Cl | C(O) | 4-chloropyrid-2-yl |
| XXIX-100 | 4-chlorocinnamyl | H | F | C(O) | 4-chloropyrid-2-yl |
| XXIX-101 | 4-chlorocinnamyl | Br | H | C(O) | 4-chloropyrid-2-yl |
| XXIX-102 | 4-fluorocinnamyl | H | Cl | C(O) | 4-chloropyrid-2-yl |
| XXIX-103 | 4-fluorocinnamyl | H | F | C(O) | 4-chloropyrid-2-yl |
| XXIX-104 | 4-fluorocinnamyl | Br | H | C(O) | 4-chloropyrid-2-yl |
| XXIX-105 | 4-chlorocinnamyl | H | Cl | C(O) | 2-methyl-6-trifluoromethylpyrid-3-yl |
| XXIX-106 | 4-chlorocinnamyl | H | F | C(O) | 2-methyl-6-trifluoromethylpyrid-3-yl |
| XXIX-107 | 4-chlorocinnamyl | Br | H | C(O) | 2-methyl-6-trifluoromethylpyrid-3-yl |
| XXIX-108 | 4-fluorocinnamyl | H | Cl | C(O) | 2-methyl-6-trifluoromethylpyrid- |

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|---|---|---|---|---|---|
| | | | | | 3-yl |
| XXIX-109 | 4-fluorocinnamyl | H | F | C(O) | 2-methyl-6-trifluoromethylpyrid-3-yl |
| XXIX-110 | 4-fluorocinnamyl | Br | H | C(O) | 2-methyl-6-trifluoromethylpyrid-3-yl |
| XXIX-111 | 4-chlorocinnamyl | H | Cl | C(O) | 5-methylisoxazol-3-yl |
| XXIX-112 | 4-chlorocinnamyl | H | F | C(O) | 5-methylisoxazol-3-yl |
| XXIX-113 | 4-chlorocinnamyl | Br | H | C(O) | 5-methylisoxazol-3-yl |
| XXIX-114 | 4-fluorocinnamyl | H | Cl | C(O) | 5-methylisoxazol-3-yl |
| XXIX-115 | 4-fluorocinnamyl | H | F | C(O) | 5-methylisoxazol-3-yl |
| XXIX-116 | 4-fluorocinnamyl | Br | H | C(O) | 5-methylisoxazol-3-yl |
| XXIX-117 | 4-chlorocinnamyl | H | Cl | C(O) | (pyrid-4-yl)methyl |
| XXIX-118 | 4-chlorocinnamyl | H | F | C(O) | (pyrid-4-yl)methyl |
| XXIX-119 | 4-chlorocinnamyl | Br | H | C(O) | (pyrid-4-yl)methyl |
| XXIX-120 | 4-fluorocinnamyl | H | Cl | C(O) | (pyrid-4-yl)methyl |
| XXIX-121 | 4-fluorocinnamyl | H | F | C(O) | (pyrid-4-yl)methyl |
| XXIX-122 | 4-fluorocinnamyl | Br | H | C(O) | (pyrid-4-yl)methyl |
| XXIX-123 | 4-chlorocinnamyl | H | Cl | C(O) | (thiophen-2-yl)methyl |
| XXIX-124 | 4-chlorocinnamyl | H | F | C(O) | (thiophen-2-yl)methyl |
| XXIX-125 | 4-chlorocinnamyl | Br | H | C(O) | (thiophen-2-yl)methyl |
| XXIX-126 | 4-fluorocinnamyl | H | Cl | C(O) | (thiophen-2-yl)methyl |
| XXIX-127 | 4-fluorocinnamyl | H | F | C(O) | (thiophen-2-yl)methyl |
| XXIX-128 | 4-fluorocinnamyl | Br | H | C(O) | (thiophen-2-yl)methyl |
| XXIX-129 | 4-chlorocinnamyl | H | Cl | C(O) | cyclopentyl |
| XXIX-130 | 4-chlorocinnamyl | H | F | C(O) | cyclopentyl |
| XXIX-131 | 4-chlorocinnamyl | Br | H | C(O) | cyclopentyl |
| XXIX-132 | 4-fluorocinnamyl | H | Cl | C(O) | cyclopentyl |
| XXIX-133 | 4-fluorocinnamyl | H | F | C(O) | cyclopentyl |
| XXIX-134 | 4-fluorocinnamyl | Br | H | C(O) | cyclopentyl |
| XXIX-135 | 4-chlorocinnamyl | H | Cl | C(O) | acetylaminomethyl |
| XXIX-136 | 4-chlorocinnamyl | H | F | C(O) | acetylaminomethyl |
| XXIX-137 | 4-chlorocinnamyl | Br | H | C(O) | acetylaminomethyl |
| XXIX-138 | 4-fluorocinnamyl | H | Cl | C(O) | acetylaminomethyl |
| XXIX-139 | 4-fluorocinnamyl | H | F | C(O) | acetylaminomethyl |
| XXIX-140 | 4-fluorocinnamyl | Br | H | C(O) | acetylaminomethyl |
| XXIX-141 | 4-chlorocinnamyl | H | Cl | $SO_2$ | 4-acetylaminophenyl |
| XXIX-142 | 4-chlorocinnamyl | H | F | $SO_2$ | 4-acetylaminophenyl |
| XXIX-143 | 4-chlorocinnamyl | Br | H | $SO_2$ | 4-acetylaminophenyl |
| XXIX-144 | 4-fluorocinnamyl | H | Cl | $SO_2$ | 4-acetylaminophenyl |
| XXIX-145 | 4-fluorocinnamyl | H | F | $SO_2$ | 4-acetylaminophenyl |
| XXIX-146 | 4-fluorocinnamyl | Br | H | $SO_2$ | 4-acetylaminophenyl |
| XXIX-147 | 4-chlorocinnamyl | H | Cl | $SO_2$ | 3,5-dimethylisoxazol-4-yl |
| XXIX-148 | 4-chlorocinnamyl | H | F | $SO_2$ | 3,5-dimethylisoxazol- |

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|---|---|---|---|---|---|
| | | | | | 4-yl |
| XXIX-149 | 4-chlorocinnamyl | Br | H | $SO_2$ | 3,5-dimethylisoxazol-4-yl |
| XXIX-150 | 4-fluorocinnamyl | H | Cl | $SO_2$ | 3,5-dimethylisoxazol-4-yl |
| XXIX-151 | 4-fluorocinnamyl | H | F | $SO_2$ | 3,5-dimethylisoxazol-4-yl |
| XXIX-152 | 4-fluorocinnamyl | Br | H | $SO_2$ | 3,5-dimethylisoxazol-4-yl |
| XXIX-153 | 4-chlorocinnamyl | H | Cl | C(O) | (2-methoxyphenyl)amino |
| XXIX-154 | 4-chlorocinnamyl | H | F | C(O) | (2-methoxyphenyl)amino |
| XXIX-155 | 4-chlorocinnamyl | Br | H | C(O) | (2-methoxyphenyl)amino |
| XXIX-156 | 4-fluorocinnamyl | H | Cl | C(O) | (2-methoxyphenyl)amino |
| XXIX-157 | 4-fluorocinnamyl | H | F | C(O) | (2-methoxyphenyl)amino |
| XXIX-158 | 4-fluorocinnamyl | Br | H | C(O) | (2-methoxyphenyl)amino |
| XXIX-159 | 4-chlorocinnamyl | H | F | C(O) | cyclohexen-1-yl |
| XXIX-160 | 4-chlorocinnamyl | H | Cl | C(O) | cyclohexen-1-yl |
| XXIX-161 | 4-chlorocinnamyl | Br | H | C(O) | cyclohexen-1-yl |
| XXIX-162 | 4-fluorocinnamyl | H | Cl | C(O) | cyclohexen-1-yl |
| XXIX-163 | 4-fluorocinnamyl | H | F | C(O) | cyclohexen-1-yl |
| XXIX-164 | 4-fluorocinnamyl | Br | H | C(O) | cyclohexen-1-yl |
| XXIX-165 | 4-chlorocinnamyl | H | F | C(O) | quinolin-3-yl |
| XXIX-166 | 4-chlorocinnamyl | H | Cl | C(O) | quinolin-3-yl |
| XXIX-167 | 4-chlorocinnamyl | Br | H | C(O) | quinolin-3-yl |
| XXIX-168 | 4-fluorocinnamyl | H | Cl | C(O) | quinolin-3-yl |
| XXIX-169 | 4-fluorocinnamyl | H | F | C(O) | quinolin-3-yl |
| XXIX-170 | 4-fluorocinnamyl | Br | H | C(O) | quinolin-3-yl |
| XXIX-171 | 4-chlorocinnamyl | H | F | C(O) | benzothiophen-2-yl |
| XXIX-172 | 4-chlorocinnamyl | H | Cl | C(O) | benzothiophen-2-yl |
| XXIX-173 | 4-chlorocinnamyl | Br | H | C(O) | benzothiophen-2-yl |
| XXIX-174 | 4-fluorocinnamyl | H | Cl | C(O) | benzothiophen-2-yl |
| XXIX-175 | 4-fluorocinnamyl | H | F | C(O) | benzothiophen-2-yl |
| XXIX-176 | 4-fluorocinnamyl | Br | H | C(O) | benzothiophen-2-yl |
| XXIX-177 | 4-chlorocinnamyl | H | F | C(O) | 5-nitro-[1H]-pyrazol-3-yl |
| XXIX-178 | 4-chlorocinnamyl | H | Cl | C(O) | 5-nitro-[1H]-pyrazol-3-yl |
| XXIX-179 | 4-chlorocinnamyl | Br | H | C(O) | 5-nitro-[1H]-pyrazol-3-yl |
| XXIX-180 | 4-fluorocinnamyl | H | Cl | C(O) | 5-nitro-[1H]-pyrazol-3-yl |

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|---|---|---|---|---|---|
| XXIX-181 | 4-fluorocinnamyl | H | F | C(O) | 5-nitro-[1H]-pyrazol-3-yl |
| XXIX-182 | 4-fluorocinnamyl | Br | H | C(O) | 5-nitro-[1H]-pyrazol-3-yl |
| XXIX-183 | 4-chlorocinnamyl | H | F | C(O) | ([1H]-tetrazol-1-yl)methyl |
| XXIX-184 | 4-chlorocinnamyl | H | Cl | C(O) | ([1H]-tetrazol-1-yl)methyl |
| XXIX-185 | 4-chlorocinnamyl | Br | H | C(O) | ([1H]-tetrazol-1-yl)methyl |
| XXIX-186 | 4-fluorocinnamyl | H | Cl | C(O) | ([1H]-tetrazol-1-yl)methyl |
| XXIX-187 | 4-fluorocinnamyl | H | F | C(O) | ([1H]-tetrazol-1-yl)methyl |
| XXIX-188 | 4-fluorocinnamyl | Br | H | C(O) | ([1H]-tetrazol-1-yl)methyl |
| XXIX-189 | 4-chlorocinnamyl | H | Cl | bond | benzyl |
| XXIX-190 | 4-chlorocinnamyl | H | F | bond | benzyl |
| XXIX-191 | 4-chlorocinnamyl | Br | H | bond | benzyl |
| XXIX-192 | 4-fluorocinnamyl | H | Cl | bond | benzyl |
| XXIX-193 | 4-fluorocinnamyl | H | F | bond | benzyl |
| XXIX-194 | 4-fluorocinnamyl | Br | H | bond | benzyl |
| XXIX-195 | 4-chlorocinnamyl | H | F | C(O) | (4-cyanophenyl)amino |
| XXIX-196 | 4-chlorocinnamyl | H | Cl | C(O) | (4-cyanophenyl)amino |
| XXIX-197 | 4-chlorocinnamyl | Br | H | C(O) | (4-cyanophenyl)amino |
| XXIX-198 | 4-fluorocinnamyl | H | Cl | C(O) | (4-cyanophenyl)amino |
| XXIX-199 | 4-fluorocinnamyl | H | F | C(O) | (4-cyanophenyl)amino |
| XXIX-200 | 4-fluorocinnamyl | Br | H | C(O) | (4-cyanophenyl)amino |
| XXIX-201 | 4-chlorocinnamyl | H | $Me_3SiC$ C | C(O) | 2-chloropyrid-4-yl |
| XXIX-202 | 4-fluorocinnamyl | H | $Me_3SiC$ C | C(O) | 2-chloropyrid-4-yl |
| XXIX-203 | 4-chlorocinnamyl | H | $Me_3SiC$ C | bond | carbomethoxy |
| XXIX-204 | 4-fluorocinnamyl | H | $Me_3SiC$ C | bond | carbomethoxy |
| XXIX-205 | 4-chlorocinnamyl | H | $Me_3SiC$ C | bond | acetyl |
| XXIX-206 | 4-fluorocinnamyl | H | $Me_3SiC$ C | bond | acetyl |
| XXIX-207 | 4-chlorocinnamyl | H | OMe | $SO_2$ | n-butyl |
| XXIX-208 | 4-chlorocinnamyl | H | F | $SO_2$ | n-butyl |
| XXIX-209 | 4-chlorocinnamyl | H | Cl | $SO_2$ | n-butyl |
| XXIX-210 | 4-chlorocinnamyl | Br | H | $SO_2$ | n-butyl |
| XXIX-211 | 4-fluorocinnamyl | H | OMe | $SO_2$ | n-butyl |
| XXIX-212 | 4-fluorocinnamyl | H | Cl | $SO_2$ | n-butyl |
| XXIX-213 | 4-fluorocinnamyl | H | F | $SO_2$ | n-butyl |

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|---|---|---|---|---|---|
| XXIX-214 | 4-fluorocinnamyl | Br | H | $SO_2$ | n-butyl |

Mass spectra data were obtained for selected compounds of Tables I to XXIX on Micromass Platform 2 machines. The data are shown in Table 3.

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Table 3

| Compound No | MS data |
|---|---|
| I-1 | 444 (95%), 446 (100%) |
| I-2 | 478 (100%), 480 (70%), 482 (15%) |
| I-3 | 462 (100%), 464 (95%) |
| I-4 | 489 (100%), 491 (70%) |
| I-5 | 147 (100%), 474 (30%), 476 (80%) |
| I-12 | 512 (95%), 514 (100%), 516 (35%), 518 (5%) |
| I-21 | 478 (100%), 480 (70%), 482 (15%) |
| I-22 | 512 (100%), 514 (98%), 516 (35%), 518 (5%) |
| I-23 | 496 (100%), 498 (75%), 500 (15%) |
| I-32 | 512 (90%), 514 (100%), 516 (35%), 518 (5%) |
| I-52 | 496 (100%), 498 (70%), 500 (15%) |
| I-61 | 462 (100%), 464 (30%) |
| I-62 | 496 (100%), 498 (80%), 500 (20%) |
| I-72 | 496 (100%), 498 (70%), 500 (15%) |
| I-82 | 496 (100%), 498 (75%), 500 (15%) |
| I-92 | 556 (55%), 558 (100%), 560 (40%), 562 (8%) |
| I-112 | 556 (55%), 558 (100%), 560 (40%), 562 (8%) |
| I-132 | 546 (75%), 548 (100%), 550 (40%), 552 (10%) |
| I-142 | 514 (100%), 516 (70%), 518 (15%) |
| I-152 | 530 (97%), 532 (100%), 534 (40%), 536 (5%) |

| | |
|---|---|
| I-162 | 530 (100%), 532 (97%), 534 (40%), 536 (5%) |
| I-171 | 512 (98%), 514 (100%), 516 (35%), 518 (5%) |
| I-182 | 604 (100%), 606 (70%), 608 (15%) |
| I-192 | 508 (100%), 510 (80%), 512 (20%) |
| I-202 | 492 (100%), 494 (70%), 496 (15%) |
| I-212 | 503 (100%), 505 (70%), 507 (15%) |
| I-222 | 502 (100%), 504 (70%), 506 (15%) |
| I-232 | 536 (100%), 538 (70%), 540 (15%) |

| | |
|---|---|
| I-242 | 526 (100%), 528 (99%), 530 (35%), 532 (5%) |
| I-252 | 526 (100%), 528 (90%), 530 (35%), 532 (5%) |
| I-262 | 526 (95%), 528 (100%), 530 (35%), 532 (5%) |
| I-282 | 572 (100%), 574 (80%), 576 (20%) |
| I-292 | 562 (100%), 564 (70%), 566 (15%) |
| II-22 | 431 (100%), 433 (60%), 435 (15%) |
| II-62 | 415 (100%), 417 (35%) |
| V-21 | 381 (100%), 383 (35%) |

| | |
|---|---|
| V-22 | 415 (100%), 417 (70%), 419 (15%) |
| V-62 | 399 (100%), 401 (40%) |
| V-192 | 411 (100%), 413 (60%) |
| V-202 | 395 (100%), 397 (80%) |
| VI-1 | 410 (100%) |
| VI-22 | 478 (100%), 480 (70%), 482 (15%) |
| VI-62 | 462 (100%), 464 (30%) |
| VI-101 | 488 (100%), 490 (100%) |
| VI-202 | 458 (100%), 460 (30%) |
| IX-62 | 435 (100%), 437 (40%) |
| X-22 | 459 (100%), 461 (75%), 463 (15%) |
| X-62 | 443 (100%), 445 (40%) |

| | |
|---|---|
| XI-62 | 467 (100%), 469 (40%) |
| XII-22 | 478 (100%), 480 (75%), 482 (35%), 484 (5%) |
| XIII-22 | 471 (100%), 473 (70%), 475 (15%) |
| XIII-62 | 455 (100%), 457 (35%) |
| XIV-22 | 451 (100%), 453 (70%), 455 (15%) |
| XV-22 | 528 (100%), 530 (70%), 532 (10%) |
| XVII-62 | 533 (100%), 535 (40%) |
| XVIII-22 | 555 (100%), 557 (80%), 559 (20%) |
| XVIII-202 | 535 (100%), 537 (40%) |

| | |
|---|---|
| XIX-22 | 502 (100%), 504 (70%), 506 (10%) |
| XIX-202 | 482 (100%), 484 (40%) |
| XX-22 | 521 (100%), 523 (75%), 525 (15%) |
| XX-62 | 505 (100%), 507 (40%) |
| XXI-22 | 557 (100%), 559 (70%), 561 (15%) |
| XXI-62 | 541 (100%), 543 (40%) |
| XXII-22 | 526 (100%), 528 (97%), 530 (30%), 532 (5%) |
| XXV-62 | 357 (100%), 359 (55%) |
| XXV-222 | 363 (100%), 365 (30%) |
| XXVI-1 | 460 (100%), 462 (100%) |

| | |
|---|---|
| XXVI-2 | 494 (100%), 496 (100%), 498 (20%) |
| XXVI-22 | 528 (100%), 530 (97%), 532 (30%), 534 (5%) |
| XXVIII-7 | 523 (100%), 525 (80%), 527 (20%) |
| XXVIII-27 | 519 (100%), 521 (40%) |
| XXVIII-42 | 565 (100%), 567 (40%) |
| XXVIII-67 | 495 (100%), 497 (70%), 499 (10%) |
| XXVIII-97 | 502 (100%), 504 (70%), 506 (10%) |
| XXVIII-132 | 503 (100%), 505 (40%) |
| XXVIII-162 | 537 (100%), 539 (40%) |

| | |
|---|---|
| XXVIII-187 | 589 (95%), 591 (100%), 593 (40%), 595 (5%) |
| XXVIII-217 | 535 (100%), 537 (70%), 539 (10%) |
| XXVIII-252 | 475 (100%), 477 (40%) |
| XXIX-1 | 492 (100%), 494 (70%), 496 (15%) |
| XXIX-7 | 536 (100%), 538 (70%), 540 (15%) |
| XXIX-13 | 476 (100%), 478 (80%), 480 (20%) |
| XXIX-19 | 458 (100%), 460 (85%), 462 (15%) |
| XXIX-31 | 528 (100%), 530 (97%), 532 (30%), 534 (5%) |

| | |
|---|---|
| XXIX-37 | 556 (100%), 558 (70%), 560 (15%) |
| XXIX-43 | 470 (100%), 472 (100%), 474 (100%), 476 (30%) |
| XXIX-49 | 251 (100%), 307 (70%) |
| XXIX-69 | 479 (100%), 481 (70%), 483 (15%) |
| XXIX-75 | 512 (95%), 514 (100%), 516 (40%), 518 (5%) |
| XXIX-81 | 485 (100%), 487 (75%), 489 (20%) |
| XXIX-87 | 526 (100%), 528 (70%), 530 (10%) |
| XXIX-93 | 536 (100%), 538 (70%), 540 (15%) |

| | |
|---|---|
| XXIX-99 | 512 (95%), 514 (100%), 516 (30%), 518 (5%) |
| XXIX-105 | 560 (100%), 562 (70%), 564 (15%) |
| XXIX-111 | 482 (100%), 484 (70%), 486 (15%) |
| XXIX-117 | 373 (100%), 375 (70%), 377 (15%) 492 (20%), 494 (15%) |
| XXIX-123 | 497 (100%), 499 (75%), 501 (15%) |
| XXIX-129 | 469 (100%), 471 (75%), 473 (15%) |
| XXIX-135 | 472 (100%), 474 (70%), 476 (15%) |

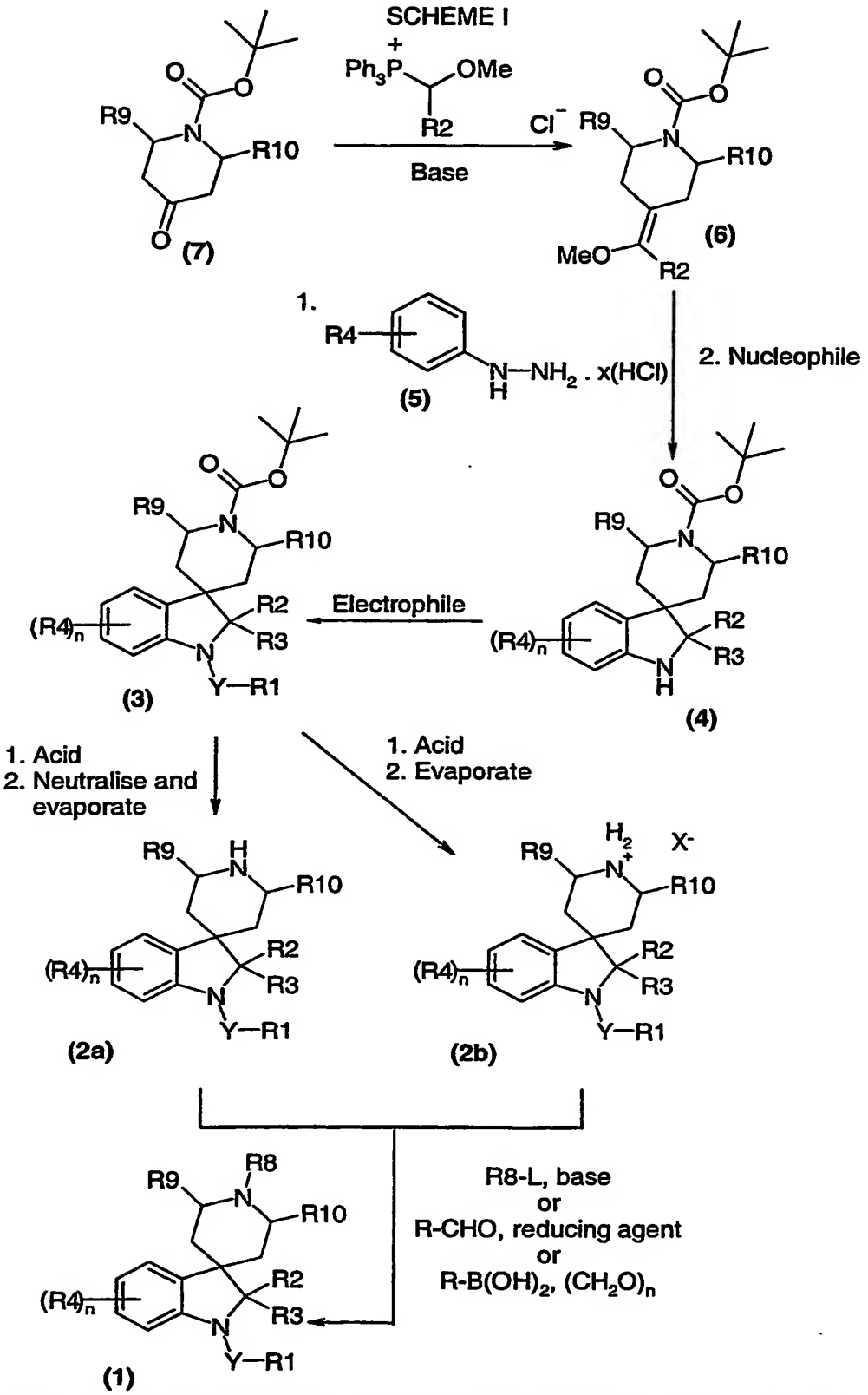
| | |
|---|---|
| XXIX-141 | 570 (100%), 572 (75%), 574 (15%) |
| XXIX-147 | 532 (100%), 534 (80%), 536 (20%) |
| XXIX-153 | 522 (100%), 524 (75%), 526 (15%) |
| XXIX-159 | 465 (100%), 467 (40%) |
| XXIX-165 | 512 (100%), 514 (40%) |

| | |
|---|---|
| XXIX-171 | 517 (100%), 519 (40%) |
| XXIX-177 | 427 (100%), 496 (80%), 498 (30%) |
| XXIX-183 | 467 (100%), 469 (35%) |
| XXIX-189 | 463 (100%), 465 (55%), 467 (15%) |
| XXIX-195 | 501 (100%), 503 (40%) |

| | |
|---|---|
| XXIX-196 | 517 (100%), 519 (70%), 521 (15%) |
| XXIX-201 | 574 (100%), 576 (80%), 578 (20%) |
| XXIX-207 | 489 (100%), 491 (40%) |

The compounds of the invention may be made in a variety of ways. For example they may be made by the reactions summarised in Scheme I.

SCHEME I




Thus a compound of formula 1 may be synthesised from compounds of formula 2a or 2b by reaction with an alkylating agent of the formula R8-L, where L is chloride, bromide,

iodide or a sulfonate (e.g. mesylate or tosylate) or similar leaving group at a temperature of between ambient temperature and 100°C, typically 65°C, in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally catalysed by halide salts such as sodium iodide, potassium iodide or tetrabutylammonium iodide.

Alternatively, a compound of formula 2a or 2b may be reacted with an aldehyde of the formula RCHO at a temperature between ambient temperature and 100°C in an organic solvent such as tetrahydrofuran or ethanol or mixtures of solvents in the presence of a reducing agent such as borane-pyridine complex, sodium borohydride, sodium (triacetoxy)borohydride, sodium cyanoborohydride or such like, to produce a compound of formula 1 where R8 is $CH_2$-R.

Alternatively, a compound of formula 2a or 2b may be reacted with paraformaldehyde and a boronic acid of the formula R-$B(OH)_2$ at a temperature between ambient temperature and 100°C in an organic solvent such as ethanol, 1,4-dioxane or water to produce a compound of formula 1 where R8 is $CH_2$-R.

A compound of formula 2a may be obtained from a compound of formula 3 by reaction with an acid such as trifluoroacetic acid at ambient temperature in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane followed by neutralisation of the reaction mixture with an aqueous solution of an inorganic base such as sodium carbonate, sodium bicarbonate or similar compound.

Similarly a compound of formula 2b may be formed by reaction of a compound of formula 3 with an acid such as trifluoroacetic acid at ambient temperature in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane followed by evaporation of the solvents and trituration with organic solvents such as ether or hexane.

Compounds of formula 3 may be obtained from compounds of formula 4 by reaction with a suitable electrophilic species. Compounds of formula 3 where Y is a carbonyl group may be formed by the reaction of compounds of formula 4 with a carboxylic acid derivative of formula R1-C(O)-Z where Z is chloride, hydroxy, alkoxy or acyloxy at a temperature between 0°C and 150°C optionally in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane, optionally in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally in the presence of a coupling agent such as dicyclohexylcarbodiimide. Compounds of formula 3 where Y is a carbonyl group and

R1 is an amino substituent of formula R'-NH- may be formed by the reaction of compounds of formula 4 with an isocyanate of formula R'-N=C=O under similar conditions. Compounds of formula 3 where Y is a group of formula $S(O)_q$ may be formed from compounds of formula 4 by treatment with compounds of formula of R1-$S(O)_q$-Cl under similar conditions. Compounds of formula 3 where Y is a thiocarbonyl group and R1 is an amino substituent of formula R'-NH- may be formed by the reaction of compounds of formula 3 with an isothiocyanate of formula R'-N=C=S under similar conditions. Alternatively compounds of formula 3 where Y is a thiocarbonyl group and R1 is a carbon substituent may be formed by treatment of compounds of formula 3 where Y is a carbonyl group and R1 is a carbon substituent with a suitable thionating agent such as Lawesson's reagent.

In the above procedures, acid derivatives of the formula R1-C(O)-Z, isocyanates of formula R'-N=C=O, isothiocyanates of formula R'-N=C=S and sulfur electrophiles of formula R1-$S(O)_q$-Cl are either known compounds or may be formed from known compounds by known methods by a person skilled in the art.

Compounds of formula 4 may be obtained by reacting compounds of formula 5 with compounds of formula 6 at a temperature of between 0°C and 100°C in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an acid such as hydrochloric acid or trifluoroacetic acid and a co-solvent such as water, methanol or ethanol, or in the presence of a Lewis acidic metal salt such as a zinc(II) dihalide. The intermediates formed are subsequently treated with a nucleophile R3-M (where M is a metallic species. R3-M is for example a Grignard reagent) or, when R3 is hydrogen, a reducing agent such as sodium borohydride, sodium (triacetoxy)borohydride, sodium cyanoborohydride or similar at ambient temperature in organic solvent such as ethanol or chloroform. The basic procedure is described in Tetrahedron (1997), 53, 10983-10992.

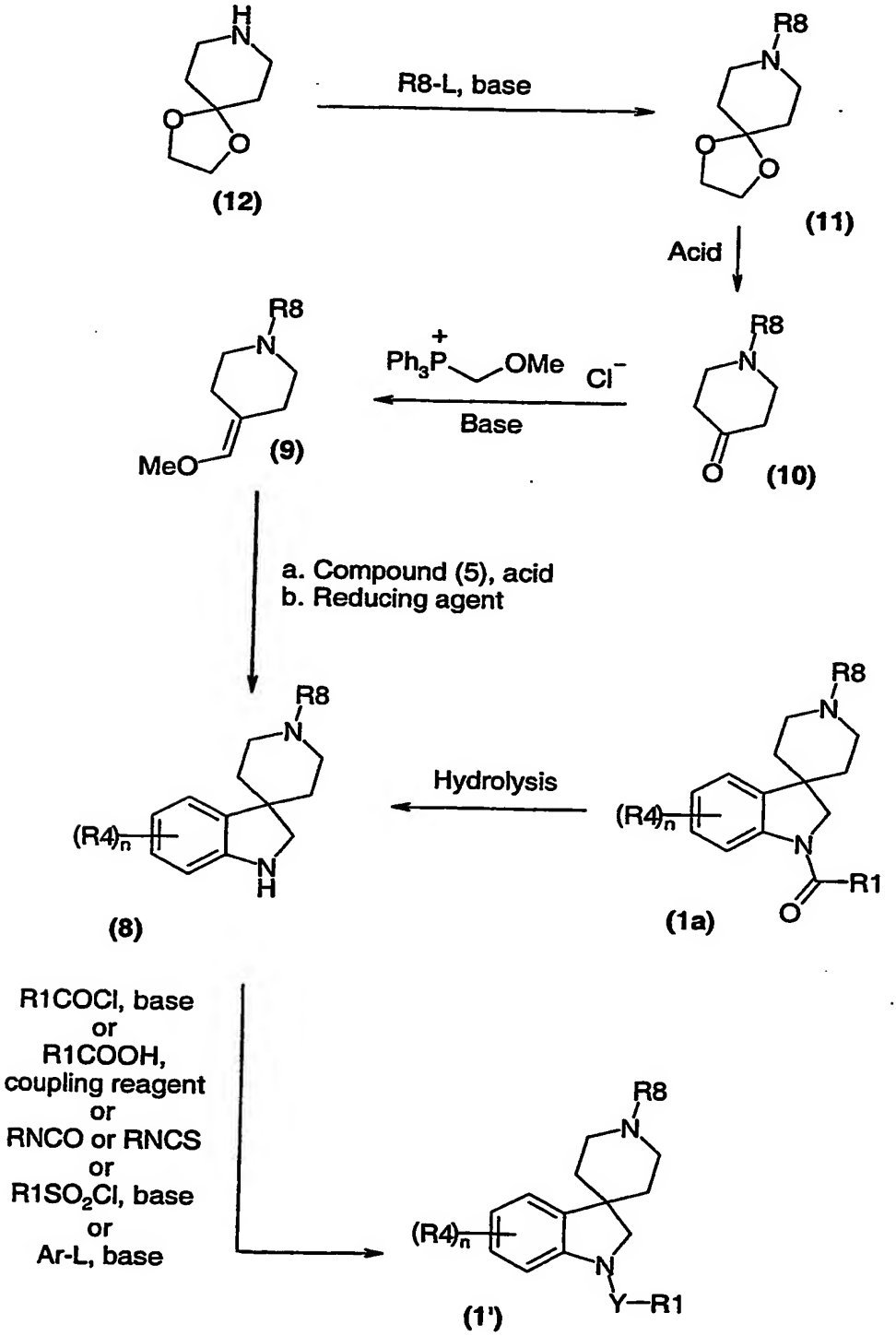
Compounds of formula 6 may be obtained from compounds of formula 7 by reaction with a 1-alkoxy substituted phosphonium salt such as methoxymethyl(triphenyl)phosphonium chloride and a base such as potassium *tert*-butoxide at a temperature of 0°C to room temperature in tetrahydrofuran.

Compounds of formula 5 and 7 are either known compounds or may be obtained from known compounds by known techniques.

Certain compounds of formula 2, 3, 4 and 6 are novel and as such form a further aspect of the invention.

Further procedures for making compounds of formula 1' (compounds of formula I where $R^2$, $R^3$, $R^9$ and $R^{10}$ are all hydrogen) are illustrated in scheme II below

SCHEME II



Thus a compound of formula 1' may be obtained from a compound of formula 8 by reaction with an acid chloride or chloroformate of the formula R1COCl at a temperature between 0 °C and ambient in organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine.

Alternatively, a compound of formula 1' may be obtained from a compound of formula 8 by reaction with a carboxylic acid of the formula R1COOH and a standard coupling agent such as 2-chloro-1,3-dimethyl-2-imidazolium hexafluorophosphate, or carbodiimide reagents such as dicyclohexylcarbodiimide or 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride at a temperature between 0 °C and ambient in organic solvent such as dichloromethane or tetrahydrofuran in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine.

A compound of formula 1' may alternatively be obtained from a compound of formula 8 by reaction with a isocyanate or isothiocyanate of the formula RNCO or RNCS respectively at a temperature between 0 °C and ambient in organic solvent such as dichloromethane or tetrahydrofuran, optionally in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine.

A compound of formula 1' may also be obtained from a compound of formula 8 by reaction with a sulfonyl chloride of the formula $R1SO_2Cl$ at a temperature between 0 °C and ambient in organic solvent such as dichloromethane or tetrahydrofuran, in the presence of a tertiary amine base such as triethylamine or diisopropylethylamine.

Alternatively, a compound of formula 1' may be obtained from a compound of formula 8 by reaction with an aryl or heteroaryl compound of formula Ar-L where L is a leaving group such as halide (especially fluoride), such as a 2-halopyridine, a 2-halopyrimidine, a 4-halopyridine, a 2-halopyrazine or such like at a temperature between 50 °C and 150 °C in a solvent such as dimethylsulfoxide in the presence of a strong base such as sodium hydride.

Compounds of formula 8 may be obtained by reacting compounds of formula 9 with compounds of formula 5 (in scheme I) at a temperature of between ambient and 100 °C in organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an acid such as trifluoroacetic acid for typically 4 to 12 hours, followed by addition of a

reducing agent such as triethylsilane and reaction at a temperature of ambient to 100 °C until the reaction is complete.

Alternatively, Compounds of formula 8 may be obtained by reacting compounds of formula 9 with compounds of formula 5 at a temperature of between 0 °C and 100 °C in organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an acid such as hydrochloric acid, or trifluoroacetic acid and a co-solvent of either water or methanol or ethanol, or in the presence of a Lewis acidic metal salt such as zinc(II) dihalide. The intermediates formed are subsequently treated with a reducing agent such as sodium borohydride, sodium (triacetoxy)borohydride, sodium cyanoborohydride or such like at ambient temperature in organic solvent such as ethanol or chloroform.

Compounds of formula 8 may also be obtained by the hydrolysis of compounds of formula 1a (which are also a sub-set of compounds of formula 1) preferably with an aqueous acid, typically 6 N hydrochloric acid at reflux temperature.

Compounds of formula 9 may be obtained from compounds of formula 10 by reaction with methoxymethyl(triphenyl)phosphonium chloride or the corresponding bromide salt and a base such as potassium *tert*-butoxide at a temperature of 0 °C to ambient in tetrahydrofuran.

Compounds of formula 10 may be obtained by reacting compounds of formula 11 with an aqueous solution of acid, typically 6 N hydrochloric acid at reflux temperature.

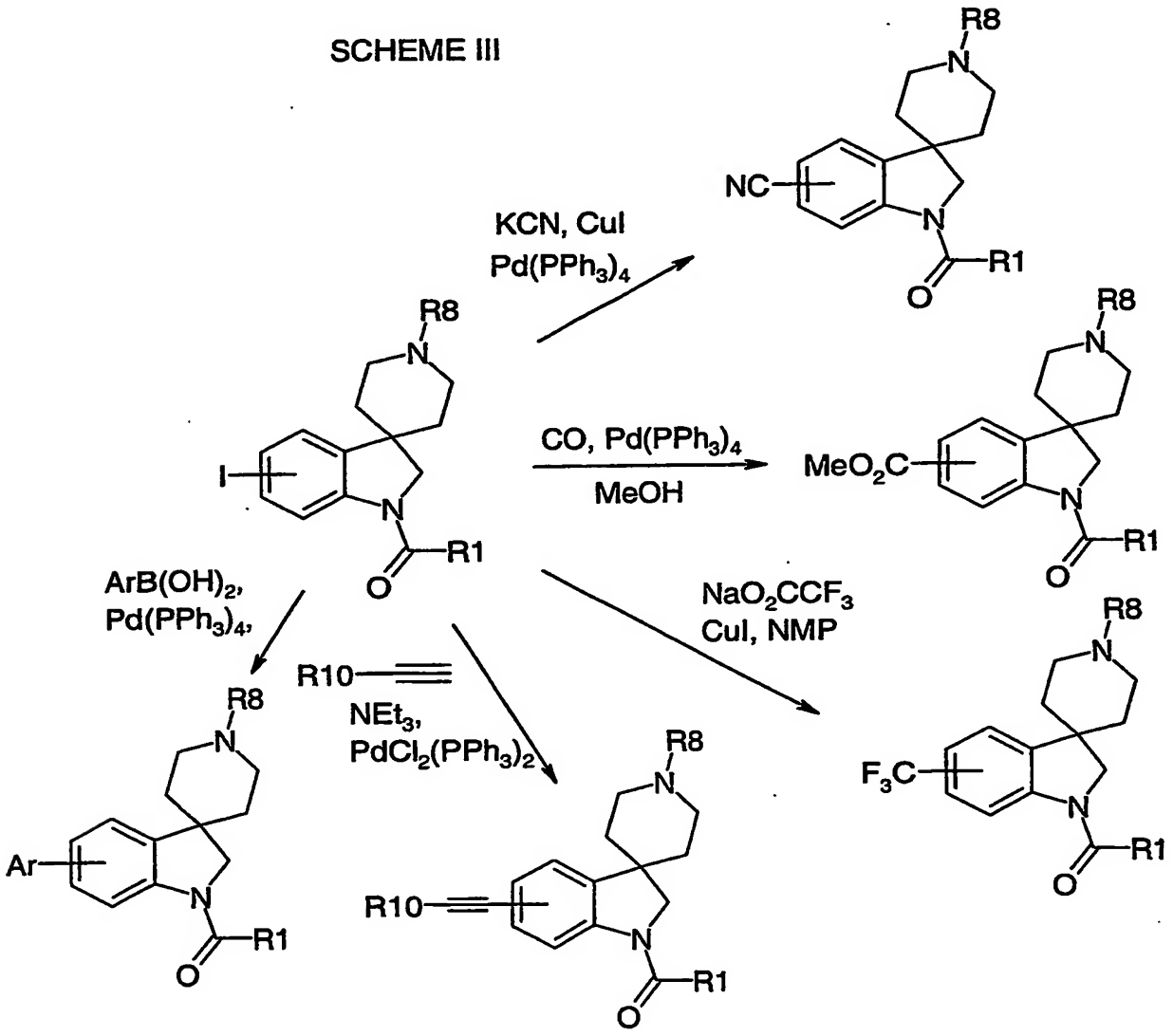
Compounds of formula 11 may be obtained from compounds of formula 12 by reaction with an electrophile of the formula R8-L, where L is chloride, bromide, iodide or a sulfonate (e.g. mesylate or tosylate) or similar leaving group at between ambient temperature and 100 °C, typically around 60 °C in an organic solvent such as dichloromethane, chloroform or 1,2-dichloroethane in the presence of an excess of a tertiary amine base such as triethylamine or diisopropylethylamine and optionally catalysed by halide salts such as sodium iodide, potassium iodide or tetrabutylammonium iodide.

Compounds of formula 12 are known compounds or may be obtained from known compounds by known techniques.

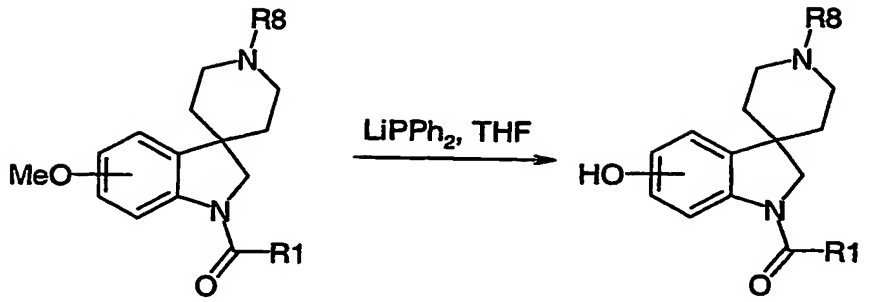
Certain compounds of formula 8, 9, 10 and 11 are novel and as such form a further aspect of the invention.

The skilled person will readily recognise that it is possible to interconvert one compound of formula I to other compounds of formula I and examples of such procedures are given in schemes III, IV, V and VI below.

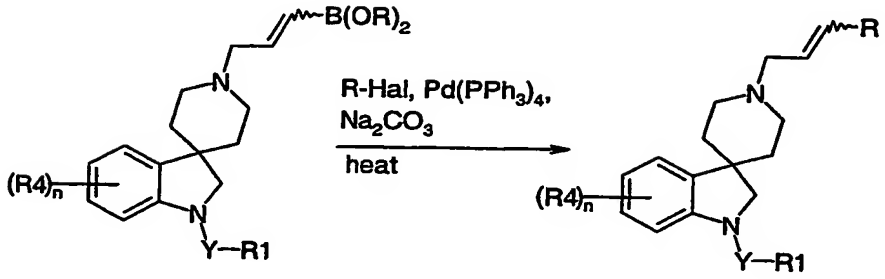
SCHEME III

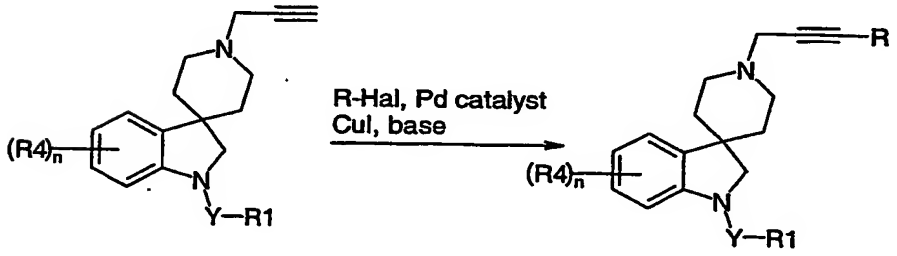


SCHEME IV

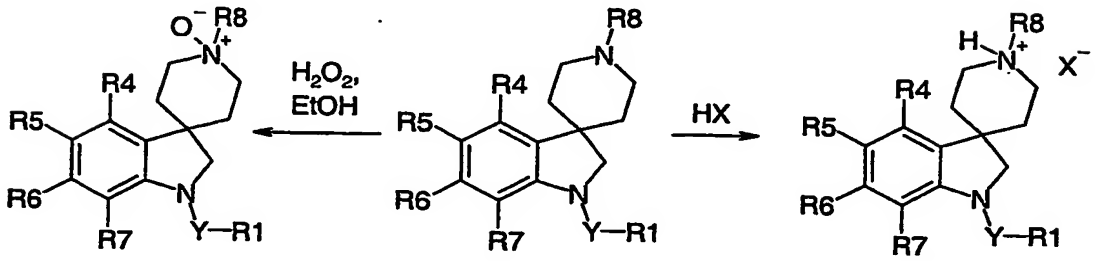



SCHEME V




SCHEME VI



The compounds of formula (I) can be used to combat and control infestations of insect pests such as Lepidoptera, Diptera, Hemiptera, Thysanoptera, Orthoptera, Dictyoptera, Coleoptera, Siphonaptera, Hymenoptera and Isoptera and also other invertebrate pests, for example, acarine, nematode and mollusc pests. Insects, acarines, nematodes and molluscs are hereinafter collectively referred to as pests. The pests which may be combated and controlled by the use of the invention compounds include those pests associated with agriculture (which term includes the growing of crops for food and fibre products), horticulture and animal husbandry, companion animals, forestry and the storage of products of vegetable origin (such as fruit, grain and timber); those pests associated with the damage of man-made structures and the transmission of diseases of man and animals; and also nuisance pests (such as flies).

Examples of pest species which may be controlled by the compounds of formula (I) include: *Myzus persicae* (aphid), *Aphis gossypii* (aphid), *Aphis fabae* (aphid), *Lygus* spp. (capsids), *Dysdercus* spp. (capsids), *Nilaparvata lugens* (planthopper), *Nephotettixc incticeps* (leafhopper), *Nezara* spp. (stinkbugs), *Euschistus* spp. (stinkbugs), *Leptocorisa* spp. (stinkbugs), *Frankliniella occidentalis* (thrip), Thrips spp. (thrips), *Leptinotarsa decemlineata* (Colorado potato beetle), *Anthonomus grandis* (boll weevil), *Aonidiella* spp. (scale insects), *Trialeurodes* spp. (white flies), *Bemisia tabaci* (white fly), *Ostrinia nubilalis* (European corn borer), *Spodoptera littoralis* (cotton leafworm), *Heliothis virescens* (tobacco budworm), *Helicoverpa armigera* (cotton bollworm), *Helicoverpa zea* (cotton bollworm), *Sylepta derogata* (cotton leaf roller), *Pieris brassicae* (white butterfly), *Plutella xylostella* (diamond back moth), *Agrotis* spp. (cutworms), *Chilo suppressalis* (rice stem borer), *Locusta migratoria* (locust), *Chortiocetes terminifera* (locust), *Diabrotica* spp. (rootworms), *Panonychus ulmi* (European red mite), *Panonychus citri* (citrus red mite), *Tetranychus urticae* (two-spotted spider mite), *Tetranychus cinnabarinus* (carmine spider mite), *Phyllocoptruta oleivora* (citrus rust mite), *Polyphagotarsonemus latus* (broad mite), *Brevipalpus* spp. (flat mites), *Boophilus microplus* (cattle tick), *Dermacentor variabilis* (American dog tick), *Ctenocephalides felis* (cat flea), *Liriomyza* spp. (leafminer), *Musca domestica* (housefly), *Aedes aegypti* (mosquito), *Anopheles* spp. (mosquitoes), *Culex* spp. (mosquitoes), *Lucillia* spp. (blowflies), *Blattella germanica* (cockroach), *Periplaneta americana* (cockroach), *Blatta orientalis* (cockroach), termites of the Mastotermitidae (for

example *Mastotermes* spp.), the Kalotermitidae (for example *Neotermes* spp.), the Rhinotermitidae (for example *Coptotermes formosanus*, *Reticulitermes flavipes*, *R. speratu*, *R. virginicus*, *R. hesperus*, and *R. santonensis*) and the Termitidae (for example *Globitermes sulphureus*), *Solenopsis geminata* (fire ant), *Monomorium pharaonis* (pharaoh's ant), *Damalinia* spp. and *Linognathus* spp. (biting and sucking lice), *Meloidogyne* spp. (root knot nematodes), *Globodera* spp. and *Heterodera* spp. (cyst nematodes), *Pratylenchus* spp. (lesion nematodes), *Rhodopholus* spp. (banana burrowing nematodes), *Tylenchulus* spp.(citrus nematodes), *Haemonchus contortus* (barber pole worm), *Caenorhabditis elegans* (vinegar eelworm), *Trichostrongylus* spp. (gastro intestinal nematodes) and *Deroceras reticulatum* (slug).

The invention therefore provides a method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I), or a composition containing a compound of formula (I), to a pest, a locus of pest, or to a plant susceptible to attack by a pest, The compounds of formula (I) are preferably used against insects, acarines or nematodes.

The term "plant" as used herein includes seedlings, bushes and trees.

In order to apply a compound of formula (I) as an insecticide, acaricide, nematicide or molluscicide to a pest, a locus of pest, or to a plant susceptible to attack by a pest, a compound of formula (I) is usually formulated into a composition which includes, in addition to the compound of formula (I), a suitable inert diluent or carrier and, optionally, a surface active agent (SFA). SFAs are chemicals which are able to modify the properties of an interface (for example, liquid/solid, liquid/air or liquid/liquid interfaces) by lowering the interfacial tension and thereby leading to changes in other properties (for example dispersion, emulsification and wetting). It is preferred that all compositions (both solid and liquid formulations) comprise, by weight, 0.0001 to 95%, more preferably 1 to 85%, for example 5 to 60%, of a compound of formula (I). The composition is generally used for the control of pests such that a compound of formula (I) is applied at a rate of from 0.1g to10kg per hectare, preferably from 1g to 6kg per hectare, more preferably from 1g to 1kg per hectare.

When used in a seed dressing, a compound of formula (I) is used at a rate of 0.0001g to 10g (for example 0.001g or 0.05g), preferably 0.005g to 10g, more preferably 0.005g to 4g, per kilogram of seed.

In another aspect the present invention provides an insecticidal, acaricidal, nematicidal or molluscicidal composition comprising an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I) and a suitable carrier or diluent therefor. The composition is preferably an insecticidal, acaricidal, nematicidal or molluscicidal composition.

In a still further aspect the invention provides a method of combating and controlling pests at a locus which comprises treating the pests or the locus of the pests with an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a composition comprising a compound of formula (I). The compounds of formula (I) are preferably used against insects, acarines or nematodes.

The compositions can be chosen from a number of formulation types, including dustable powders (DP), soluble powders (SP), water soluble granules (SG), water dispersible granules (WG), wettable powders (WP), granules (GR) (slow or fast release), soluble concentrates (SL), oil miscible liquids (OL), ultra low volume liquids (UL), emulsifiable concentrates (EC), dispersible concentrates (DC), emulsions (both oil in water (EW) and water in oil (EO)), micro-emulsions (ME), suspension concentrates (SC), aerosols, fogging/smoke formulations, capsule suspensions (CS) and seed treatment formulations. The formulation type chosen in any instance will depend upon the particular purpose envisaged and the physical, chemical and biological properties of the compound of formula (I).

Dustable powders (DP) may be prepared by mixing a compound of formula (I) with one or more solid diluents (for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulphur, lime, flours, talc and other organic and inorganic solid carriers) and mechanically grinding the mixture to a fine powder.

Soluble powders (SP) may be prepared by mixing a compound of formula (I) with one or more water-soluble inorganic salts (such as sodium bicarbonate, sodium carbonate or magnesium sulphate) or one or more water-soluble organic solids (such as a polysaccharide) and, optionally, one or more wetting agents, one or more dispersing agents or a mixture of said agents to improve water dispersibility/solubility. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water soluble granules (SG).

Wettable powders (WP) may be prepared by mixing a compound of formula (I) with one or more solid diluents or carriers, one or more wetting agents and, preferably, one or

more dispersing agents and, optionally, one or more suspending agents to facilitate the dispersion in liquids. The mixture is then ground to a fine powder. Similar compositions may also be granulated to form water dispersible granules (WG).

Granules (GR) may be formed either by granulating a mixture of a compound of formula (I) and one or more powdered solid diluents or carriers, or from pre-formed blank granules by absorbing a compound of formula (I) (or a solution thereof, in a suitable agent) in a porous granular material (such as pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths or ground corn cobs) or by adsorbing a compound of formula (I) (or a solution thereof, in a suitable agent) on to a hard core material (such as sands, silicates, mineral carbonates, sulphates or phosphates) and drying if necessary. Agents which are commonly used to aid absorption or adsorption include solvents (such as aliphatic and aromatic petroleum solvents, alcohols, ethers, ketones and esters) and sticking agents (such as polyvinyl acetates, polyvinyl alcohols, dextrins, sugars and vegetable oils). One or more other additives may also be included in granules (for example an emulsifying agent, wetting agent or dispersing agent).

Dispersible Concentrates (DC) may be prepared by dissolving a compound of formula (I) in water or an organic solvent, such as a ketone, alcohol or glycol ether. These solutions may contain a surface active agent (for example to improve water dilution or prevent crystallisation in a spray tank).

Emulsifiable concentrates (EC) or oil-in-water emulsions (EW) may be prepared by dissolving a compound of formula (I) in an organic solvent (optionally containing one or more wetting agents, one or more emulsifying agents or a mixture of said agents). Suitable organic solvents for use in ECs include aromatic hydrocarbons (such as alkylbenzenes or alkylnaphthalenes, exemplified by SOLVESSO 100, SOLVESSO 150 and SOLVESSO 200; SOLVESSO is a Registered Trade Mark), ketones (such as cyclohexanone or methylcyclohexanone) and alcohols (such as benzyl alcohol, furfuryl alcohol or butanol), N-alkylpyrrolidones (such as N-methylpyrrolidone or N-octylpyrrolidone), dimethyl amides of fatty acids (such as $C_8$-$C_{10}$ fatty acid dimethylamide) and chlorinated hydrocarbons. An EC product may spontaneously emulsify on addition to water, to produce an emulsion with sufficient stability to allow spray application through appropriate equipment. Preparation of an EW involves obtaining a compound of formula (I) either as a liquid (if it is not a liquid at room temperature, it may be melted at a reasonable temperature, typically below 70°C) or in

solution (by dissolving it in an appropriate solvent) and then emulsifiying the resultant liquid or solution into water containing one or more SFAs, under high shear, to produce an emulsion. Suitable solvents for use in EWs include vegetable oils, chlorinated hydrocarbons (such as chlorobenzenes), aromatic solvents (such as alkylbenzenes or alkylnaphthalenes) and other appropriate organic solvents which have a low solubility in water.

Microemulsions (ME) may be prepared by mixing water with a blend of one or more solvents with one or more SFAs, to produce spontaneously a thermodynamically stable isotropic liquid formulation. A compound of formula (I) is present initially in either the water or the solvent/SFA blend. Suitable solvents for use in MEs include those hereinbefore described for use in in ECs or in EWs. An ME may be either an oil-in-water or a water-in-oil system (which system is present may be determined by conductivity measurements) and may be suitable for mixing water-soluble and oil-soluble pesticides in the same formulation. An ME is suitable for dilution into water, either remaining as a microemulsion or forming a conventional oil-in-water emulsion.

Suspension concentrates (SC) may comprise aqueous or non-aqueous suspensions of finely divided insoluble solid particles of a compound of formula (I). SCs may be prepared by ball or bead milling the solid compound of formula (I) in a suitable medium, optionally with one or more dispersing agents, to produce a fine particle suspension of the compound. One or more wetting agents may be included in the composition and a suspending agent may be included to reduce the rate at which the particles settle. Alternatively, a compound of formula (I) may be dry milled and added to water, containing agents hereinbefore described, to produce the desired end product.

Aerosol formulations comprise a compound of formula (I) and a suitable propellant (for example *n*-butane). A compound of formula (I) may also be dissolved or dispersed in a suitable medium (for example water or a water miscible liquid, such as *n*-propanol) to provide compositions for use in non-pressurised, hand-actuated spray pumps.

A compound of formula (I) may be mixed in the dry state with a pyrotechnic mixture to form a composition suitable for generating, in an enclosed space, a smoke containing the compound.

Capsule suspensions (CS) may be prepared in a manner similar to the preparation of EW formulations but with an additional polymerisation stage such that an aqueous dispersion of oil droplets is obtained, in which each oil droplet is encapsulated by a polymeric shell and

contains a compound of formula (I) and, optionally, a carrier or diluent therefor. The polymeric shell may be produced by either an interfacial polycondensation reaction or by a coacervation procedure. The compositions may provide for controlled release of the compound of formula (I) and they may be used for seed treatment. A compound of formula (I) may also be formulated in a biodegradable polymeric matrix to provide a slow, controlled release of the compound.

A composition may include one or more additives to improve the biological performance of the composition (for example by improving wetting, retention or distribution on surfaces; resistance to rain on treated surfaces; or uptake or mobility of a compound of formula (I)). Such additives include surface active agents, spray additives based on oils, for example certain mineral oils or natural plant oils (such as soy bean and rape seed oil), and blends of these with other bio-enhancing adjuvants (ingredients which may aid or modify the action of a compound of formula (I)).

A compound of formula (I) may also be formulated for use as a seed treatment, for example as a powder composition, including a powder for dry seed treatment (DS), a water soluble powder (SS) or a water dispersible powder for slurry treatment (WS), or as a liquid composition, including a flowable concentrate (FS), a solution (LS) or a capsule suspension (CS). The preparations of DS, SS, WS, FS and LS compositions are very similar to those of, respectively, DP, SP, WP, SC and DC compositions described above. Compositions for treating seed may include an agent for assisting the adhesion of the composition to the seed (for example a mineral oil or a film-forming barrier).

Wetting agents, dispersing agents and emulsifying agents may be surface SFAs of the cationic, anionic, amphoteric or non-ionic type.

Suitable SFAs of the cationic type include quaternary ammonium compounds (for example cetyltrimethyl ammonium bromide), imidazolines and amine salts.

Suitable anionic SFAs include alkali metals salts of fatty acids, salts of aliphatic monoesters of sulphuric acid (for example sodium lauryl sulphate), salts of sulphonated aromatic compounds (for example sodium dodecylbenzenesulphonate, calcium dodecylbenzenesulphonate, butylnaphthalene sulphonate and mixtures of sodium di-*iso*propyl- and tri-*iso*propyl-naphthalene sulphonates), ether sulphates, alcohol ether sulphates (for example sodium laureth-3-sulphate), ether carboxylates (for example sodium laureth-3-carboxylate), phosphate esters (products from the reaction between one or more

fatty alcohols and phosphoric acid (predominately mono-esters) or phosphorus pentoxide (predominately di-esters), for example the reaction between lauryl alcohol and tetraphosphoric acid; additionally these products may be ethoxylated), sulphosuccinamates, paraffin or olefine sulphonates, taurates and lignosulphonates.

Suitable SFAs of the amphoteric type include betaines, propionates and glycinates.

Suitable SFAs of the non-ionic type include condensation products of alkylene oxides, such as ethylene oxide, propylene oxide, butylene oxide or mixtures thereof, with fatty alcohols (such as oleyl alcohol or cetyl alcohol) or with alkylphenols (such as octylphenol, nonylphenol or octylcresol); partial esters derived from long chain fatty acids or hexitol anhydrides; condensation products of said partial esters with ethylene oxide; block polymers (comprising ethylene oxide and propylene oxide); alkanolamides; simple esters (for example fatty acid polyethylene glycol esters); amine oxides (for example lauryl dimethyl amine oxide); and lecithins.

Suitable suspending agents include hydrophilic colloids (such as polysaccharides, polyvinylpyrrolidone or sodium carboxymethylcellulose) and swelling clays (such as bentonite or attapulgite).

A compound of formula (I) may be applied by any of the known means of applying pesticidal compounds. For example, it may be applied, formulated or unformulated, to the pests or to a locus of the pests (such as a habitat of the pests, or a growing plant liable to infestation by the pests) or to any part of the plant, including the foliage, stems, branches or roots, to the seed before it is planted or to other media in which plants are growing or are to be planted (such as soil surrounding the roots, the soil generally, paddy water or hydroponic culture systems), directly or it may be sprayed on, dusted on, applied by dipping, applied as a cream or paste formulation, applied as a vapour or applied through distribution or incorporation of a composition (such as a granular composition or a composition packed in a water-soluble bag) in soil or an aqueous environment.

A compound of formula (I) may also be injected into plants or sprayed onto vegetation using electrodynamic spraying techniques or other low volume methods, or applied by land or aerial irrigation systems.

Compositions for use as aqueous preparations (aqueous solutions or dispersions) are generally supplied in the form of a concentrate containing a high proportion of the active ingredient, the concentrate being added to water before use. These concentrates, which may

include DCs, SCs, ECs, EWs, MEs SGs, SPs, WPs, WGs and CSs, are often required to withstand storage for prolonged periods and, after such storage, to be capable of addition to water to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional spray equipment. Such aqueous preparations may contain varying amounts of a compound of formula (I) (for example 0.0001 to 10%, by weight) depending upon the purpose for which they are to be used.

A compound of formula (I) may be used in mixtures with fertilisers (for example nitrogen-, potassium- or phosphorus-containing fertilisers). Suitable formulation types include granules of fertiliser. The mixtures suitably contain up to 25% by weight of the compound of formula (I).

The invention therefore also provides a fertiliser composition comprising a fertiliser and a compound of formula (I).

The compositions of this invention may contain other compounds having biological activity, for example micronutrients or compounds having fungicidal activity or which possess plant growth regulating, herbicidal, insecticidal, nematicidal or acaricidal activity.

The compound of formula (I) may be the sole active ingredient of the composition or it may be admixed with one or more additional active ingredients such as a pesticide, fungicide, synergist, herbicide or plant growth regulator where appropriate. An additional active ingredient may: provide a composition having a broader spectrum of activity or increased persistence at a locus; synergise the activity or complement the activity (for example by increasing the speed of effect or overcoming repellency) of the compound of formula (I); or help to overcome or prevent the development of resistance to individual components. The particular additional active ingredient will depend upon the intended utility of the composition. Examples of suitable pesticides include the following:

a) Pyrethroids, such as permethrin, cypermethrin, fenvalerate, esfenvalerate, deltamethrin, cyhalothrin (in particular lambda-cyhalothrin), bifenthrin, fenpropathrin, cyfluthrin, tefluthrin, fish safe pyrethroids (for example ethofenprox), natural pyrethrin, tetramethrin, s-bioallethrin, fenfluthrin, prallethrin or 5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl-3-(2-oxothiolan-3-ylidenemethyl)cyclopropane carboxylate;

b) Organophosphates, such as, profenofos, sulprofos, acephate, methyl parathion, azinphos-methyl, demeton-s-methyl, heptenophos, thiometon, fenamiphos, monocrotophos, profenofos, triazophos, methamidophos, dimethoate, phosphamidon, malathion, chlorpyrifos,

phosalone, terbufos, fensulfothion, fonofos, phorate, phoxim, pirimiphos-methyl, pirimiphos-ethyl, fenitrothion, fosthiazate or diazinon;

c) Carbamates (including aryl carbamates), such as pirimicarb, triazamate, cloethocarb, carbofuran, furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb, fenobucarb, propoxur, methomyl or oxamyl;

d) Benzoyl ureas, such as diflubenzuron, triflumuron, hexaflumuron, flufenoxuron or chlorfluazuron;

e) Organic tin compounds, such as cyhexatin, fenbutatin oxide or azocyclotin;

f) Pyrazoles, such as tebufenpyrad and fenpyroximate;

g) Macrolides, such as avermectins or milbemycins, for example abamectin, emamectin benzoate, ivermectin, milbemycin, spinosad or azadirachtin;

h) Hormones or pheromones;

i) Organochlorine compounds such as endosulfan, benzene hexachloride, DDT, chlordane or dieldrin;

j) Amidines, such as chlordimeform or amitraz;

k) Fumigant agents, such as chloropicrin, dichloropropane, methyl bromide or metam;

l) Chloronicotinyl compounds such as imidacloprid, thiacloprid, acetamiprid, nitenpyram or thiamethoxam;

m) Diacylhydrazines, such as tebufenozide, chromafenozide or methoxyfenozide;

n) Diphenyl ethers, such as diofenolan or pyriproxifen;

o) Indoxacarb;

p) Chlorfenapyr; or

q) Pymetrozine.

In addition to the major chemical classes of pesticide listed above, other pesticides having particular targets may be employed in the composition, if appropriate for the intended utility of the composition. For instance, selective insecticides for particular crops, for example stemborer specific insecticides (such as cartap) or hopper specific insecticides (such as buprofezin) for use in rice may be employed. Alternatively insecticides or acaricides specific for particular insect species/stages may also be included in the compositions (for example acaricidal ovo-larvicides, such as clofentezine, flubenzimine, hexythiazox or tetradifon; acaricidal motilicides, such as dicofol or propargite; acaricides, such as

bromopropylate or chlorobenzilate; or growth regulators, such as hydramethylnon, cyromazine, methoprene, chlorfluazuron or diflubenzuron).

Examples of fungicidal compounds which may be included in the composition of the invention are (*E*)-*N*-methyl-2-[2-(2,5-dimethylphenoxymethyl)phenyl]-2-methoxyiminoacetamide (SSF-129), 4-bromo-2-cyano-*N*,*N*-dimethyl-6-trifluoromethylbenzimidazole-1-sulphonamide, α-[*N*-(3-chloro-2,6-xylyl)-2-methoxyacetamido]-γ-butyrolactone, 4-chloro-2-cyano-*N*,*N*-dimethyl-5-*p*-tolylimidazole-1-sulfonamide (IKF-916, cyamidazosulfamid), 3-5-dichloro-*N*-(3-chloro-1-ethyl-1-methyl-2-oxopropyl)-4-methylbenzamide (RH-7281, zoxamide), *N*-allyl-4,5,-dimethyl-2-trimethylsilylthiophene-3-carboxamide (MON65500), *N*-(1-cyano-1,2-dimethylpropyl)-2-(2,4-dichlorophenoxy)propionamide (AC382042), *N*-(2-methoxy-5-pyridyl)-cyclopropane carboxamide, acibenzolar (CGA245704), alanycarb, aldimorph, anilazine, azaconazole, azoxystrobin, benalaxyl, benomyl, biloxazol, bitertanol, blasticidin S, bromuconazole, bupirimate, captafol, captan, carbendazim, carbendazim chlorhydrate, carboxin, carpropamid, carvone, CGA41396, CGA41397, chinomethionate, chlorothalonil, chlorozolinate, clozylacon, copper containing compounds such as copper oxychloride, copper oxyquinolate, copper sulphate, copper tallate and Bordeaux mixture, cymoxanil, cyproconazole, cyprodinil, debacarb, di-2-pyridyl disulphide 1,1'-dioxide, dichlofluanid, diclomezine, dicloran, diethofencarb, difenoconazole, difenzoquat, diflumetorim, *O*,*O*-di-*iso*-propyl-*S*-benzyl thiophosphate, dimefluazole, dimetconazole, dimethomorph, dimethirimol, diniconazole, dinocap, dithianon, dodecyl dimethyl ammonium chloride, dodemorph, dodine, doguadine, edifenphos, epoxiconazole, ethirimol, ethyl(*Z*)-*N*-benzyl-*N*([methyl(methyl-thioethylideneaminooxycarbonyl)amino]thio)-β-alaninate, etridiazole, famoxadone, fenamidone (RPA407213), fenarimol, fenbuconazole, fenfuram, fenhexamid (KBR2738), fenpiclonil, fenpropidin, fenpropimorph, fentin acetate, fentin hydroxide, ferbam, ferimzone, fluazinam, fludioxonil, flumetover, fluoroimide, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fuberidazole, furalaxyl, furametpyr, guazatine, hexaconazole, hydroxyisoxazole, hymexazole, imazalil, imibenconazole, iminoctadine, iminoctadine triacetate, ipconazole, iprobenfos, iprodione, iprovalicarb (SZX0722), isopropanyl butyl carbamate, isoprothiolane, kasugamycin, kresoxim-methyl, LY186054, LY211795, LY248908, mancozeb, maneb, mefenoxam, mepanipyrim, mepronil, metalaxyl, metconazole, metiram, metiram-zinc, metominostrobin, myclobutanil, neoasozin, nickel dimethyldithiocarbamate, nitrothal-*iso*propyl, nuarimol, ofurace, organomercury

compounds, oxadixyl, oxasulfuron, oxolinic acid, oxpoconazole, oxycarboxin, pefurazoate, penconazole, pencycuron, phenazin oxide, phosetyl-Al, phosphorus acids, phthalide, picoxystrobin (ZA1963), polyoxin D, polyram, probenazole, prochloraz, procymidone, propamocarb, propiconazole, propineb, propionic acid, pyrazophos, pyrifenox, pyrimethanil, pyroquilon, pyroxyfur, pyrrolnitrin, quaternary ammonium compounds, quinomethionate, quinoxyfen, quintozene, sipconazole (F-155), sodium pentachlorophenate, spiroxamine, streptomycin, sulphur, tebuconazole, tecloftalam, tecnazene, tetraconazole, thiabendazole, thifluzamid, 2-(thiocyanomethylthio)benzothiazole, thiophanate-methyl, thiram, timibenconazole, tolclofos-methyl, tolylfluanid, triadimefon, triadimenol, triazbutil, triazoxide, tricyclazole, tridemorph, trifloxystrobin (CGA279202), triforine, triflumizole, triticonazole, validamycin A, vapam, vinclozolin, zineb and ziram.

The compounds of formula (I) may be mixed with soil, peat or other rooting media for the protection of plants against seed-borne, soil-borne or foliar fungal diseases.

Examples of suitable synergists for use in the compositions include piperonyl butoxide, sesamex, safroxan and dodecyl imidazole.

Suitable herbicides and plant-growth regulators for inclusion in the compositions will depend upon the intended target and the effect required.

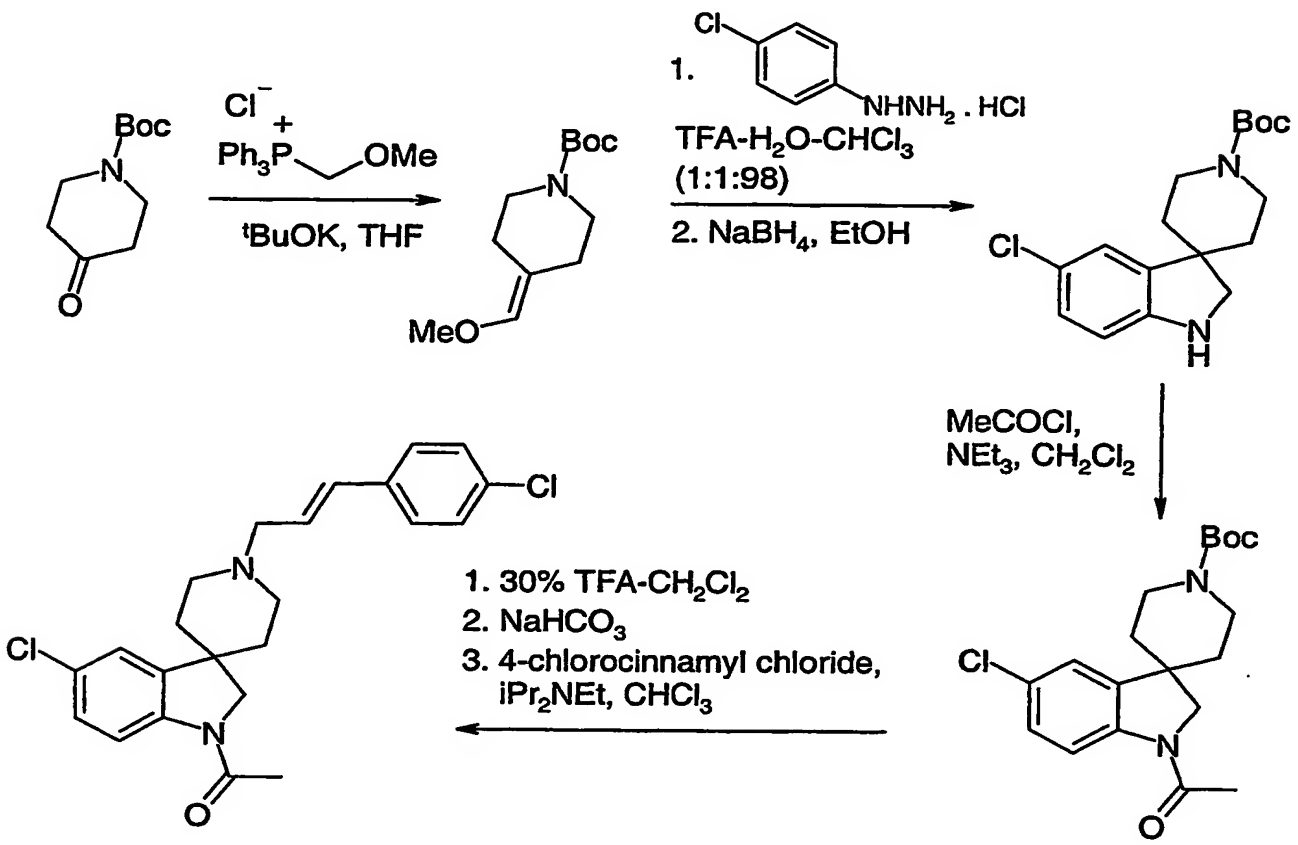
An example of a rice selective herbicide which may be included is propanil. An example of a plant growth regulator for use in cotton is PIX™.

Some mixtures may comprise active ingredients which have significantly different physical, chemical or biological properties such that they do not easily lend themselves to the same conventional formulation type. In these circumstances other formulation types may be prepared. For example, where one active ingredient is a water insoluble solid and the other a water insoluble liquid, it may nevertheless be possible to disperse each active ingredient in the same continuous aqueous phase by dispersing the solid active ingredient as a suspension (using a preparation analogous to that of an SC) but dispersing the liquid active ingredient as an emulsion (using a preparation analogous to that of an EW). The resultant composition is a suspoemulsion (SE) formulation.

The invention is illustrated by the following Examples:

EXAMPLE 1

This Example illustrates the preparation of compound V-22, 1-Acetyl-5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]




Step 1: Preparation of 4-methoxymethylenepiperidine-1-carboxylic acid *tert*-butyl ester

Potassium *tert*-butoxide (21.3 g) was added in portions to a stirred solution of methoxymethyltriphenylphosphonium chloride (65.3 g) in anhydrous THF (500 ml) under an atmosphere of nitrogen at 4 °C. A vivid orange colour was noted and the reaction was left as such for 1 h. 4-Oxopiperidine-1-carboxylic acid *tert*-butyl ester 1 (25 g) was added slowly not letting the temperature rise above 10 °C and the mixture was then allowed to warm to room temperature overnight.

The reaction mixture was poured onto water (150 ml), extracted three times with ethyl acetate (100ml) and the combined organics were washed with brine (300ml), dried over anhydrous sodium sulphate and concentrated *in vacuo* to yield a brown oil (50g). Flash

chromatography [$SiO_2$; hexane, then ethyl acetate-hexane (10:90)] yielded 26.4g (77%) of the desired enol ether. $^1$H NMR (400 MHz, $CDCl_3$) 1.5 (9H, m), 2.0-2.2 (m, 4H), 3.4 (m, 4H), 3.5 (s, 3H), 5.9 (s, 1H). MS (ES+) 228 ($M+H^+$), 172 ($M-^i$butene$+H^+$)

Step 2: Preparation of 5-chlorospiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester

Trifluoroacetic acid (12 ml) was added to a stirred solution of 4-methoxymethylene-piperidine-1-carboxylic acid *tert*-butyl ester (12.5 g), 4-chlorophenylhydrazine hydrochloide (9.75g) and ethanol (1 ml) in chloroform (1200 ml) at 4 °C under an atmosphere of nitrogen. The mixture was then stirred at 50 °C overnight, turning a dark green colour. The reaction was quenched with concentrated ammonia solution (200 ml) in ice water (500 ml), the organic layer turning orange. The organic layer was separated and the aqueous was further extracted twice with dichloromethane. The combined organics were washed with brine (300 ml), dried over anhydrous sodium sulphate and concentrated *in vacuo* to yield 13 g of the crude imine 5-chlorospiro[3*H*-indole-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester (purity approximately 80% by NMR). $^1$H NMR (400 MHz, $CDCl_3$) 1.5 (9H, m), 1.70 (m, 2H), 1.85 (m, 2H), 3.50 (m, 2H), 4.05 (m, 2H), 7.35 (m, 2H), 7.60 (s, 1H), 8.35 (s, 1H). MS (ES+) 321/323 ($M+H^+$), 265/267 ($M-^i$butene$+H^+$), 221/223 (M-Boc$+H^+$).

Sodium borohydride (6.0g) was added to a stirred solution of crude imine (12g) in absolute ethanol (500 ml) under an atmosphere of nitrogen.The reaction was stirred for 15 min and left to stand overnight. The mixture was concentrated *in vacuo* and the residue re-dissolved in dichloromethane (100 ml). The organics were washed with water (100 ml) and brine (100 ml), dried over anhydrous sodium sulphate and concentrated *in vacuo* to yield a brown solid. Flash chromatography [$SiO_2$: ethyl acetate-hexane-triethylamine (25:75:1)] yielded 9.8 g (56%, over both steps) of the desired indoline. M.p. 165-166 °C. $^1$H NMR (400 MHz, $CDCl_3$) 1.5 (9H, s), 1.70 (m, 4H), 2.9 (m, 2H), 3.50 (s, 2H), 3.75 (br s, 1H), 4.05 (m, 2H), 6.55 (d, J = 6Hz, 1H), 7.00 (m, 2H). MS (ES+) 323/325 ($M+H^+$), 267/269 ($M-^i$butene$+H^+$), 223/225 (M-Boc$+H^+$).

Step 3: Preparation of 1-acetyl-5-chlorospiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester

Acetyl chloride (2.8 ml) was added dropwise to a stirred solution of 5-chlorospiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester (9.8 g) and triethylamine (15 ml) in anhydrous dichloromethane (400 ml) under an atmosphere of nitrogen. The reaction was stirred for 1 h and was then quenched with saturated sodium bicarbonate solution (200 ml). The organic layer was dried over anhydrous sodium sulphate and concentrated *in vacuo* to yield 9.8 g (87%) of the desired amide as an off-white solid. M.p. 64-66 °C. $^1$H NMR (400 MHz, $CDCl_3$) a 6:1 mixture of rotamers. Major rotamer 1.5 (9H, s), 1.70 (m, 2H), 1.85 (m, 2H), 2.25 (s, 3H), 2.85 (m, 2H), 3.90 (s, 2H), 4.2 (m, 2H), 6.97 (d, J = 1Hz, 1H), 7.20 (dd, J = 7 & 1Hz, 1H), 8.15 (d, J = 7Hz, 1H). Minor rotamer 1.5 (9H, s), 1.70 (m, 2H), 1.85 (m, 2H), 2.45 (s, 3H), 2.85 (m, 2H), 4.05 (s, 2H), 4.2 (m, 2H), 7.2 (d, J = 1Hz, 1H), 7.25 (dd, J = 7 & 1Hz, 1H), 7.48 (d, J = 7Hz, 1H).

Step 4: Preparation of 1-acetyl-5-chlorospiro[indoline-3,4'-piperidine]

Trifluoroacetic acid (25 ml) was added to a stirred solution of 1-acetyl-5-chlorospiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester (8 g) in anhydrous dichloromethane (250 ml) under an atmosphere of nitrogen. The reaction was left as such for 3 h. The reaction was washed with saturated bicarbonate solution (200 ml) and dried over sodium sulphate and concentrated *in vacuo* to yield an off white solid. Flash chromatography [$SiO_2$: methanol-dichloromethane-triethylamine (90:5:5)] yielded 5.6 g (61%) of the desired 1-Acetyl-5-chlorospiro[indoline-3,4'-piperidine]. $^1$H NMR (400 MHz, $CDCl_3$) a 6:1 mixture of rotamers. Major rotamer 1.70 (m, 2H), 1.80 (m, 2H), 2.27 (s, 3H), 2.75 (t, J = 12Hz, 2H), 3.15 (m, 2H), 3.90 (s, 2H), 7.12 (d, J = 1Hz, 1H), 7.18 (dd, J = 7 & 1Hz, 1H), 8.15 (d, J = 7Hz, 1H). Minor rotamer (partial data) 2.44 (s, 3H), 2.86 (m, 2H), 3.10 (m, 2H), 4.05 (s, 2H). MS (ES+) 265/267 ($M+H^+$).

Step 5: Preparation of 1-acetyl-5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

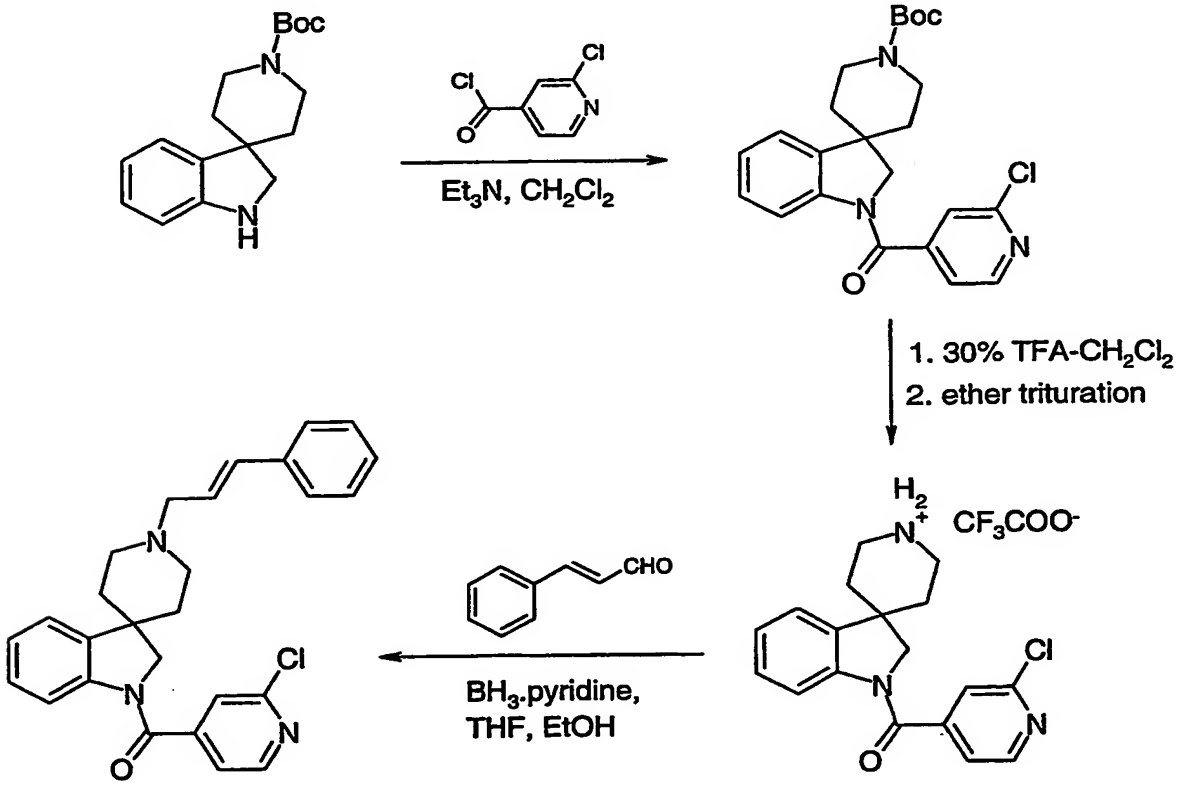
A solution of 4-chlorocinnamyl chloride (4.0 g) in chloroform (120 ml) was added slowly to a stirred mixture of 1-acetyl-5-chlorospiro[indoline-3,4'-piperidine] (5.3 g) and

diisopropylethylamine (6.7 ml) in chloroform (120 ml) under an atmosphere of nitrogen at room. The reaction was heated to 50 °C for 30 h. The reaction mixture was concentrated *in vacuo* to yield a red oil. Flash chromatography [$SiO_2$; ethyl acetate-hexane-triethylamine (50:50:1)] yielded 5.1 g (68%) of the desired compound. $^1H$ NMR (400 MHz, $CDCl_3$) a 5:1 mixture of rotamers. Major rotamer 1.70 (d, J = 12Hz, 2H), 2.0 (td, J = 12 & 2 Hz), 2.08 (t, J = 12 Hz, 2H), 2.25 (s, 3H), 3.03 (d, J = 12Hz, 2H), 3.20 (d, J = 7Hz, 2H), 3.96 (s, 2H), 6.28 (dt, J = 12 & 5Hz, 1H), 6.50 (d, J = 12Hz, 1H), 7.13 (d, J = 1Hz, 1H), 7.18 (dd, J = 7 & 1Hz, 1H), 7.3 (m, 4H), 8.15 (d, J = 7Hz, 1H). Minor rotamer (partial data) 2.42 (s, 3H), 4.00 (s, 2H). MS (ES+) 415/417/419 ($M+H^+$).

Compounds V-21, XXIX-49, V-192, V-62 and V-202 were prepared according to procedures analogous to those described in Example 1.

## EXAMPLE 2

This Example illustrates the preparation of compound I-1, 1-(2-Chloropyridin-4-yl)carbonyl-1'-[*trans*-3-phenylallyl]spiro[indoline-3,4'-piperidine]



Spiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester was prepared according to a procedure analogous to that described in steps 1 and 2 of Example 1.

Step 1: 1-(2-chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester

Thionyl chloride (20 ml) was added to 2-chloroisonicotinic acid (1.2 g) at room temperature. DMF (2 drops) was added and the mixture was heated to reflux for 1 hour. The excess thionyl chloride was evaporated and the residue was dissolved in dichloromethane (50 ml). Triethylamine (2 ml) was added followed by dropwise addition of a solution of spiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester (1.7 g) dissolved in dichloromethane (20 ml). The mixture was stirred for 48 hours. The reaction mixture was washed with pH 9.4 buffer (100 ml) and the aqueous layer was extracted with dichloromethane. The combined organic layers were dried (magnesium sulfate), filtered and evaporated. The crude product was purified by chromatography [$SiO_2$; ethyl acetate-hexane-triethylamine (50:50:1), increasing polarity to (100:0:1)] to give 2.4 g (94%) of the desired amide. M.p. 212 °C; $^1$H NMR (400 MHz, $d_6$-DMSO) 1.50 (s, 9H), 1.6-1.8 (m, 4H), 2.8 (br s, 2H), 3.9 (br s, 2H), 4.08 (d, 2H), 7.0-7.2 (m, 3H), 7.30 (d, J = 6Hz, 1H), 8.43 (d, J = 6Hz, 1H), 7.40 (s, 1H), 8.0-8.2 (br m, 1H); MS (ES+) 428/430 ($M+H^+$), 372/374 ($M+H^+$-isobutene).

Step 2: preparation of 1-(2-chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine] trifluoroacetic acid salt

Trifluoroacetic acid (30 ml) was added to a solution of solution of 1-(2-chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine]-1'-carboxylic acid *tert*-butyl ester (2.3 g) in anhydrous dichloromethane (50 ml), the solution darkening upon addition. The reaction was left as such for 15 min. The reaction mixture was evaporated *in vacuo* and the dark residue re-suspended in dry ether (100 ml). The residue was triturated until it became a free-flowing beige precipitate. The precipitate was collected by filtration and dried in a stream of nitrogen to give 2.28 g (96 %) of the desired amine salt. M.p. 245 °C (decomposition). $^1$H NMR (400 MHz, $d_6$-DMSO) 1.8 (m, 2H), 1.9 (m, 2H), 2.9 (m, 2H), 3.25 (m, 2H), 3.98 (s, 2H), 7.15-7.3 (m, 2H), 7.24 (d, J = 8Hz, 1H), 7.56 (d, J = 7Hz, 1H), 7.62 (s, 1H), 8.1 (br s, 1H), 8.56 (d, J = 7Hz, 1H), 8.8 (br s, 2H). MS (ES+) 328/330 ($M+H^+$).

Step 3: Preparation of 1-(2-chloropyridin-4-yl)carbonyl-1'-[*trans*-3-phenylallyl]spiro[indoline-3,4'-piperidine]

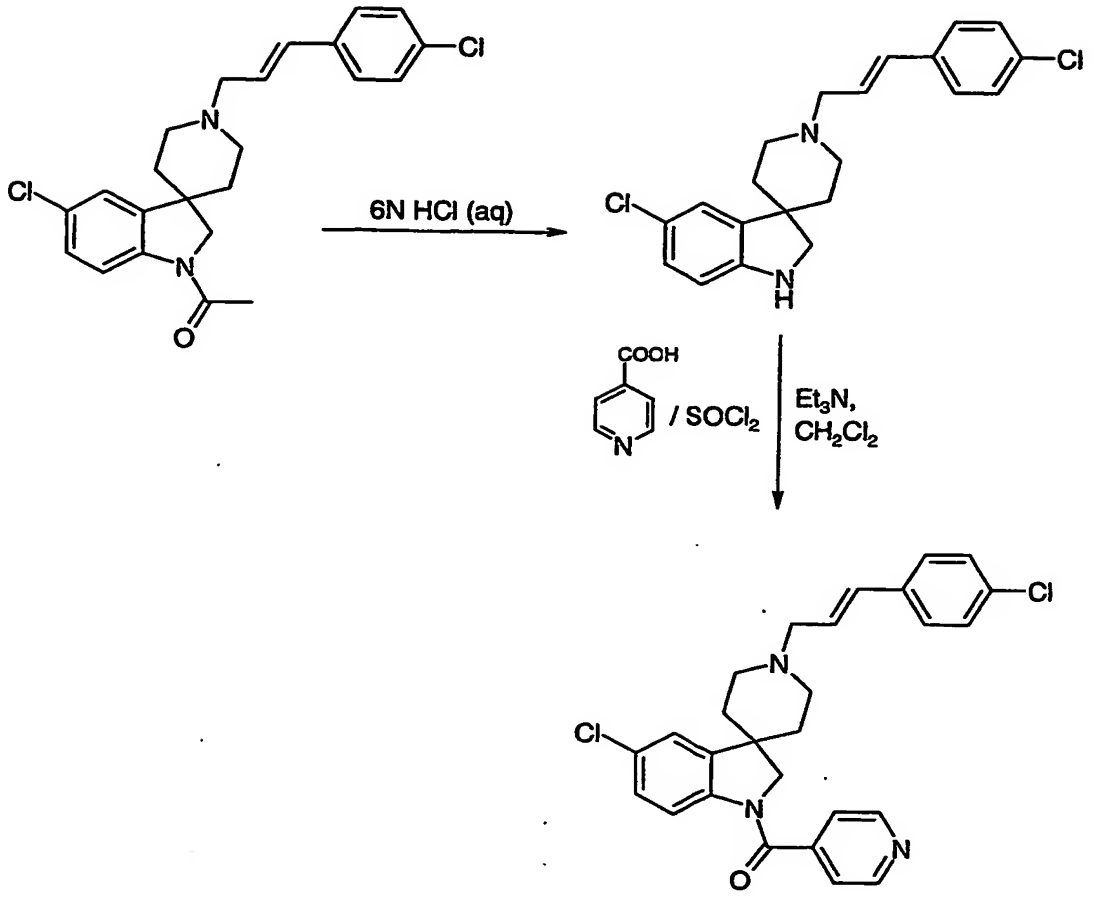
1-(2-Chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine] trifluoroacetic acid salt (0.44 g) and *trans*-cinnamaldehyde (0.29 g) were suspended in tetrahydrofuran (8 ml) and ethanol (6 ml). Borane-pyridine complex (0.26 ml) was added and the reaction stirred vigorously overnight at room temperature. The mixture was evaporated and partitioned between dichloromethane and water. The organics were dried over anhydrous magnesium sulfate and evaporated *in vacuo*. Flash chromatography [$SiO_2$; ethyl acetate-hexane-triethylamine (25:75:1), increasing polarity to (50:50:1)] yielded 0.42 g (94%) of the desired product.

$^1$H NMR (400 MHz, $CDCl_3$) a 3:1 mixture of rotamers. Major rotamer 1.70 (m, 2H), 1.8-2.1 (m, 4H), 3.0 (m, 2H), 3.20 (m, 2H), 3.75 (m, 2H), 6.3 (m, 1H), 6.52 (d, J = 12Hz, 1H), 7.1-7.4 (m, 9H), 7.46 (d, J = 2Hz, 1H), 8.2 (br m, 1H), 8.6 (m, 1H). MS (ES+) 444/446 ($M+H^+$).

Compounds I-5, I-4, XXIX-7, XXIX-13, I-182, I-142, I-132, XXII-22, VI-1, VI-101, I-22, XXIX-31 (with an alkylation as the final step), XXIX-37 (with an alkylation as the final step), XXIX-43 (with an alkylation as the final step), XXVII-1 (followed by treatment with HCl in ether), XXVII-2 (followed by treatment with HCl in ether), XXVII-22 (followed by treatment with HCl in ether), XXVI-1 (followed by treatment with hydrogen peroxide in methanol) and XXIX-25 (with an acylation as the final step) were prepared according to procedures analogous to those described in Example 2.

## EXAMPLE 3

This Example illustrates the preparation of compound VI-22, 1-(Pyridin-4-yl)-carbonyl-5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]




1-Acetyl-5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] was prepared according to the procedures described in Example 1.

Step 1: Preparation of 5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

1-Acetyl-5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (5.0 g) was dissolved in 6 N hydrochloric acid (100 ml) and heated to reflux for 3 hours. The mixture was cooled and the aqueous layer was basified with solid NaOH pellets (CARE! Exotherm) to pH 12 and triethylamine (20 ml) was added. The mixture was extracted three times with chloroform. The organic layers were dried over anhydrous sodium sulfate, filtered and evaporated *in vacuo* to give a crude brown oil which was purified by column chromatography (SiO2, ethyl acetate:hexane: triethylamine, 1:1:0.01) to give 3.94 g (88%) of the desired indoline. MS (ES+) 373/375/377 ($M+H^+$).

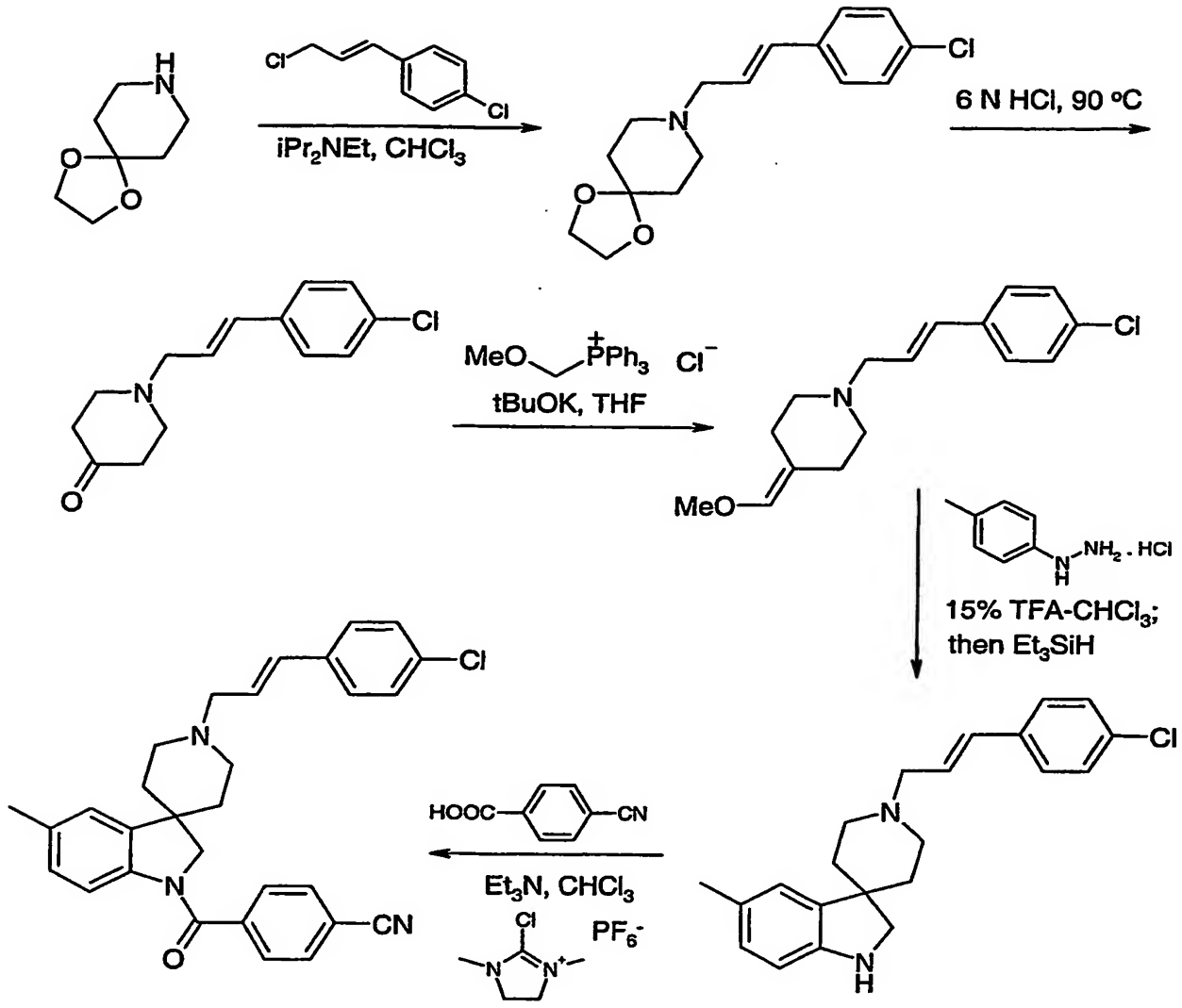
Step 2: Preparation of 1-(pyridin-4-yl)carbonyl-5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

Isonicotinic acid (0.022 g) and DMF (1 drop) were dissolved in thionyl chloride (2 ml) and the mixture was heated to reflux for 1 hour. The mixture was allowed to cool and the excess thionyl chloride was evaporated *in vacuo*. The residue was dissolved in chloroform (4 ml) and triethylamine (0.1 ml) was added. A solution of 5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (0.055 g) in chloroform (1 ml) was added and the reaction was allowed to stir at room temperature for 18 hours. Aqueous sodium carbonate solution (1M, 20 ml) was added and the mixture was extracted into chloroform (3 x 20 ml). The combined organic layers were dried (magnesium sulfate), filtered and evaporated *in vacuo* to give a crude brown oil which was purified by chromatography ($SiO_2$, ethyl acetate:hexane:triethylamine 0:1:0.01 to 1:0:0.01) to give 0.034 g (49%) of the desired amide. MS (ES+) 478/480/482 ($M+H^+$).

Compounds XXV-62, I-192, I-202, XXIX-189, VI-202 and VI-62 were prepared according to procedures analogous to those described in Example 3.

## EXAMPLE 4

This Example illustrates the preparation of compound XIX-202, 1-(4-cyanobenzoyl)-5-methyl-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]




Step 1: Preparation of 8-[*trans*-3-(4-chlorophenyl)allyl]-1,4-dioxa-8-azaspiro[4.5]decane

1,4-Dioxa-8-azaspiro[4.5]decane (0.88 g) was dissolved in chloroform (5 ml) and diisopropylethylamine (2.1 ml) was added. A solution of 4-chlorocinnamyl chloride (1.2 g) dissolved in chloroform (2 ml) was added and mixture was heated to 70 °C overnight. The solvents were evaporated *in vacuo* and flash chromatography [$SiO_2$; ethyl acetate-hexane-triethylamine (50:50:2)] yielded 1.38 g (76%) of the desired ketal as a yellow oil. $^1$H NMR (400 MHz, $CDCl_3$) 1.78 (t, J = 4 Hz, 4H), 2.60 (br s, 4H), 3.18 (d, J = 5 Hz, 2H), 3.96 (s, 4H), 6.27 (dt, J = 12 & 5 Hz, 1H) 6.47 (d, J = 12 Hz, 2H), 7.28, m, 4H). MS (ES+) 294/296 $M+H^+$.

Step 2: Preparation of 1-[*trans*-3-(4-chlorophenyl)allyl]-4-oxopiperidine

8-[*trans*-3-(4-Chlorophenyl)allyl]-1,4-dioxa-8-azaspiro[4.5]decane (1.38 g) was dissolved in methanol (40 ml) and 6 N hydrochloric acid (120 ml) was added. The mixture was heated to reflux for 4 h. The mixture cooled and was basified to pH 14 with solid sodium hydroxide pellets (CARE! Exotherm), the solution becoming opaque. The aqueous was extracted three times with ether. The organics were washed with brine, dried over anhydrous $MgSO_4$ and evaporated to give 1.17g (100%) of the desired ketone $^1H$ NMR (400 MHz, $CDCl_3$) 2.38 (m, 4H), 2.70 (m, 4H), 3.15 (d, J = 5 Hz, 2H), 3.96 (s, 4H), 6.17 (dt, J = 12 & 5 Hz, 1H), 6.40 (d, J = 12 Hz, 1H), 7.20 (m, 4H). MS (ES+) 250/252 $M+H^+$.

Step 3: Preparation of 1-[*trans*-3-(4-chlorophenyl)allyl]-4-methoxymethylenepiperidine

Methoxymethyltriphenylphosphonium chloride (2.4 g) was dissolved in tetrahydrofuran (20 ml) and was cooled to 4 °C. Potassium tert-butoxide (0.78 g) was added, turning the solution a bright orange colour. The reaction was left as such for 30 min. A solution of 1-[*trans*-3-(4-chlorophenyl)allyl]-4-oxopiperidine (0.85 g) dissolved in tetrahydrofuran (10 ml) was added and the mixture was stirred for 10 min. The solvents were evaporated *in vacuo* and the residue re-suspended in ether. The organics were washed with water and dried over anhydrous magnesium sulfate. Flash chromatography [$SiO_2$; ethyl acetate-hexane-triethylamine (50:50:2)] gave 0.85 g (89%) of the desired enol ether. $^1H$ NMR (400 MHz, $CDCl_3$) 2.10 (t, J = 6 Hz, 2H), 2.35 (t, J = 6 Hz, 2H), 2.4 (m, 4H), 3.13 (d, J = 5 Hz, 2H), 3.55 (s, 3H), 5.80 (s, 1H), 6.30 (dt, J = 11 & 5 Hz, 1H), 6.45 (d, J = 11 Hz, 1H), 7.28 (m, 4H). MS (ES+) 278/280 ($M+H^+$).

Step 4: Preparation of 5-methyl-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

Trifluoroacetic acid (0.75 ml) was added to a stirred solution of 1-[*trans*-3-(4-chlorophenyl)allyl]-4-methoxymethylenepiperidine-and 4-tolylhydrazine hydrochloride (28 mg) in chloroform (5 ml) and the reaction was heated to 50° C for 5 h. Triethylsilane (2 ml) was added and the reaction was heated at 50° C for a further 5 h. The mixture was allowed to cool and was quenched in concentrated ammonia solution / ice chips (20 ml). The aqueous phase was extracted twice with chloroform and the combined organics were dried over anhydrous magnesium sulphate and concentrated *in vacuo* to yield 0.04 g (63%) of the

desired indoline. $^1$H NMR (400 MHz, $CDCl_3$) 1.75 (d, J = 9 Hz, 2H), 1.96 (td, J = 8 & 2, 2H), 2.13 (t, J = 9 Hz, 2H), 2.25 (s, 3H), 2.95 (d, J = 10 Hz, 2H), 3.19 (d, J = 5 Hz, 2H), 3.42 (s, 2H), 6.30 (dt, J = 11 & 5 Hz, 1H), 6.48 (d, J = 11 Hz, 1H), 6.58 (d, J = 7 Hz, 1H), 6.85 (d, J = 7 Hz, 1H), 6.9 (s, 1H), 7.30 (m, 4H). MS (ES+) 353/355 ($M+H^+$), 203 (M-4-chlorocinnamyl+$H^+$).

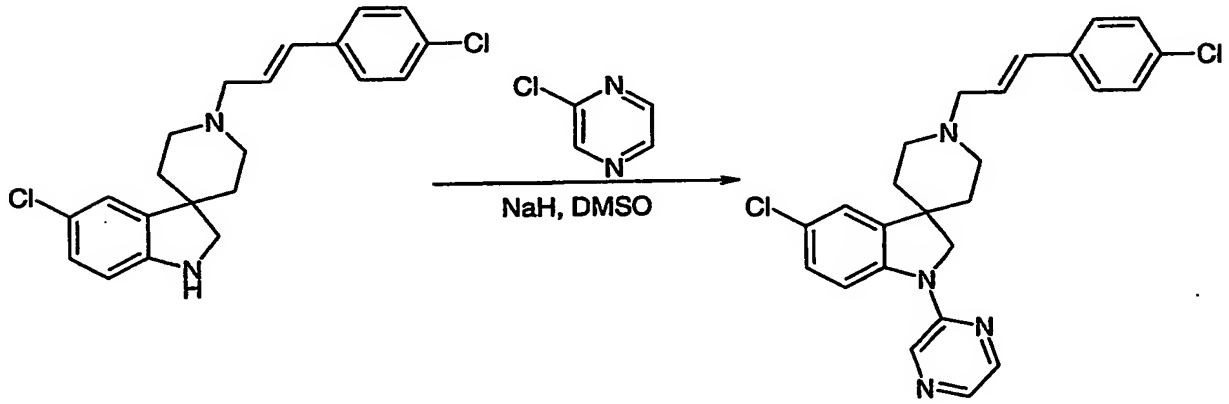
Step 5: Preparation of 1-(4-cyanobenzoyl)-5-methyl-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]

This step was achieved using a Zymark XP2 synthetic chemistry robot. A solution of 5-methyl-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (2 ml of a solution derived from dissolving 1.43 g in 100 ml of THF) was added to a robot tube and the solvent was removed *in vacuo*. 4-Cyanobenzoic acid (28 mg) was weighed into a different robot tube. A solution of 2-chloro-1,3-dimethyl-2-imidazolinium hexafluorophosphate (2 ml of a solution derived from dissolving 4.80 g in 180 ml of chloroform) and a solution of triethylamine (2 ml of a solution derived from dissolving 8.68 ml in 250 ml of chloroform) were added to the acid and the tube was agitated and allowed to stand for 30 minutes. A 2 ml aliquot of the acid solution was added to the tube containing the dry amine. This tube was agitated and allowed to stand overnight. The reaction mixture was washed with 1M aqueous sodium carbonate solution and the solvents were evaporated. The crude mixture was purified by MS directed liquid chromatography to give the desired amide, 2.9 mg. MS (ES+) 482/484 ($M+H^+$).

Compounds I-61, I-171, XXVIII-97, XIX-22, XXVIII-67, XXVIII-7, XX-22, XXIX-69, XXIX-75, XVIII-22, XXVIII-217, XXIX-81, XXIX-87, XV-22, XXIX-93, XXIX-99, XXVIII-187, XXI-22, XXIX-105, XXIX-111, XXIX-117, XXIX-123, XIII-22, XXIX-129, X-22, XXIX-135, XXIX-141, XXIX-147, XXIX-153, XII-22, XXIX-196, II-22, XXIX-159, XXVIII-252, XXVIII-27, XXVIII-42, XVIII-202, XX-62, XXIX-165, XXVIII-162, XXVIII-132, XXIX-171, XXIX-177, XXI-62, XVII-62, XIII-62, X-62, XXIX-183, XI-62, IX-62, XXIX-207, XXIX-195, II-62, I-92, I-112, I-12, I-32, I-52, I-72, I-152, I-162, I-82, I-252, I-242, I-262, I-292 and I-62 were prepared according to procedures analogous to those described in Example 4.

## EXAMPLE 5

This example illustrates the preparation of compound XIV-22, 1-(2-Pyrazinyl)-5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]



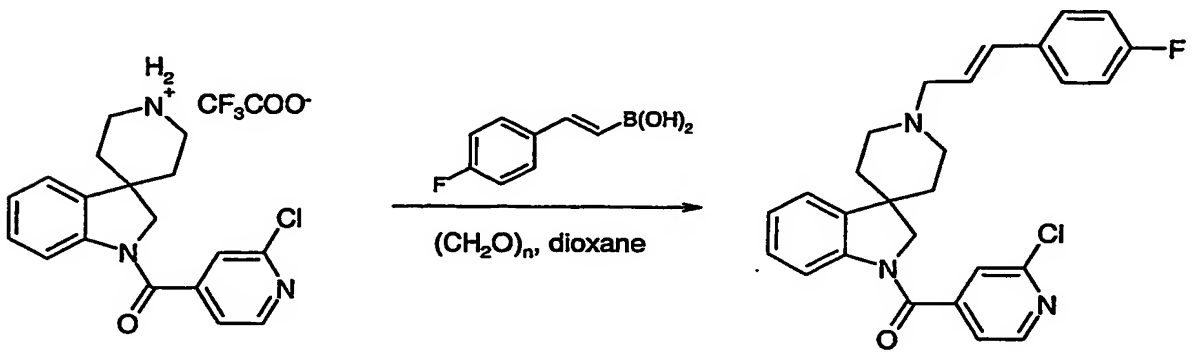

5-Chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] was prepared according to the procedures described in Example 3.

Sodium hydride (50 mg) was added to a stirred solution of 5-chloro-1'-[*trans*-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (35 mg) and 2-chloropyrazine (43 mg) in anhydrous DMSO (5 ml) under an atmosphere of nitrogen. The reaction was heated to 60 °C overnight. The reaction mixture was diluted with brine (20 ml) and extracted four times with dichloromethane (20 ml). The combined organics were dried over magnesium sulphate and concentrated *in vacuo* (1 mmHg) to yield a brown oil. Flash chromatography [$SiO_2$, ethyl acetate-hexane-triethylamine gradient (0:98:2) to (98:0:2)] yielded 25 mg (55%) of the desired product. $^1$H NMR (400 MHz, $CDCl_3$) 1.75 (m, 2H), 2.05 (td, J = 8 & 2, 2H), 2.18 (t, J = 9 Hz, 2H), 3.05 (d, J = 9 Hz, 2H), 3.22 (d, J = 5 Hz, 2H), 3.94 (s, 2H), 6.30 (dt, J = 11 & 5 Hz, 1H), 6.51 (d, J = 11 Hz, 1H), 7.18 (m, 2H), 7.30 (m, 4H), 8.05 (d, J = 1Hz, 1H), 8.17 (d, J = 6 Hz, 1H), 8.25 (m, 2H). MS (ES+) 451/453/455 $M+H^+$.

Compounds XXIX-57 and XXIX-63 were prepared according to procedures analogous to those described in Example 5.

## EXAMPLE 6

This Example illustrates the preparation of compound XXII-3, 1-(2-Chloropyridin-4-yl)carbonyl-1'-[*trans*-3-(4-fluorophenyl)allyl]spiro[indoline-3,4'-piperidine]



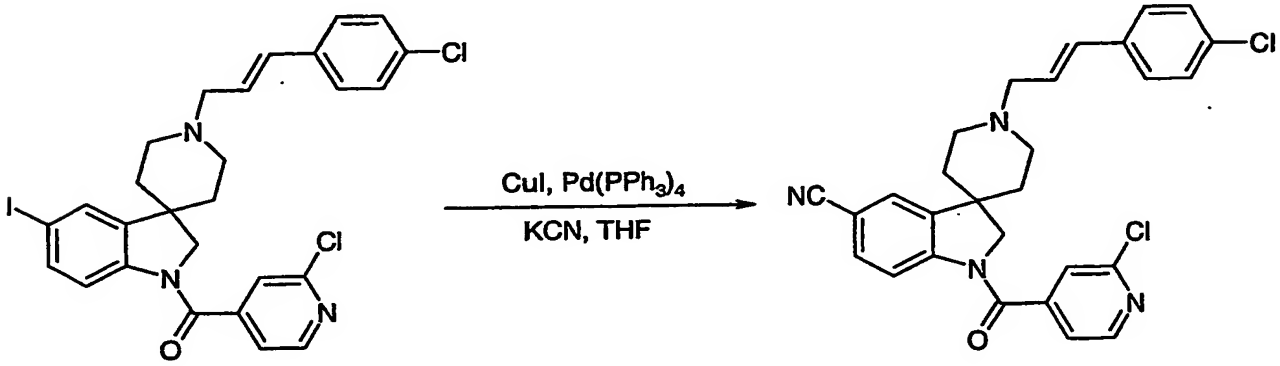

1-(2-Chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine] trifluoroacetic acid salt was prepared according to the procedures described in example 2 of this patent.

1-(2-Chloropyridin-4-yl)carbonylspiro[indoline-3,4'-piperidine] trifluoroacetic acid salt (0.25 g) was suspended in dioxane (2 ml) and paraformaldehyde (0.08 g) was added. The mixture was stirred and heated to 90°C for 20 minutes. 2-(4-fluorophenyl)vinylboronic acid (0.10 g) was dissolved in dioxane (2 ml) and the resulting solution was added to the salt/paraformaldehyde mixture and the resulting mixture was heated to 90°C for 24 hours. The mixture was allowed to cool and evaporated to dryness *in vacuo*. The residue was partitioned between dichloromethane and water, and the organic layer was washed with aqueous sodium carbonate solution (1M) and evaporated. The crude product was purified by column chormatography ($SiO_2$, first column in dichloromethane:triethylamine 95:5, then a second column starting with neat dichloromethane, then a gradient from ethyl acetate:hexane: triethylamine 25:75:1 to 95:0:5) to give 0.20 g (76%) of the desired product. MS (ES+) 462/464 $M+H^+$.

Compounds I-23, XXIX-1, I-21, I-2, XXVI-2 (followed by treatment with hydrogen peroxide in methanol) and XXVI-22 (followed by treatment with hydrogen peroxide in methanol), were prepared according to procedures analogous to those described in Example 6.

## EXAMPLE 7

This Example illustrates the preparation of compound I-212, 5-Cyano-1-(2-chloropyridin-4-yl)carbonyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine]




5-Iodo-1-(2-chloropyridin-4-yl)carbonyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] was prepared by procedures analogous to those described in Example 2.

5-Iodo-1-(2-chloropyridin-4-yl)carbonyl-1'-[trans-3-(4-chlorophenyl)allyl]spiro[indoline-3,4'-piperidine] (0.05 g) was dissolved in anhydrous THF (5 ml) under an atmosphere of dry nitrogen. Potassium cyanide (0.011 g) and copper (I) iodide (0.016 g) were added and the mixture was degassed for 15 minutes. Tetrakis(triphenylphosphine) palladium (0.005 g) was added and the mixture was heated to reflux for 28 hours. The reaction mixture was diluted with dichloromethane (50 ml) and washed with water (30 ml). The aqueous layer was extracted with dichloromethane (2 x 40 ml) and the combined organic layers were dried (magnesium sulfate), filtered and evaporated *in vacuo* to give a colourless oil that was purified by prep. TLC ($SiO_2$, EtOAc:Hexane:$Et_3N$ 1:1:0.01) to give 0.041 g (95%) of the desired product. MS (ES+) 503/505/507 $M+H^+$.

Compounds XXIX-201, I-282, I-232 were prepared according to standard procedures analogous to those described in Example 7. Compound XXV-222 was prepared by treating compound XXIX-201 with potassium carbonate in methanol. Compound I-222 was prepared by re-acylation of compound XXV-222 under standard conditions.

EXAMPLE 8

This Example illustrates the pesticidal/insecticidal properties of compounds of formula (I). Test against were performed as follows:

*Spodoptera littoralis* (Egyptian cotton leafworm)

Cotton leaf discs were placed on agar in a 24-well microtiter plate and sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs were infested with 5 $L_1$ larvae. The samples were checked for mortality, repellent effect, feeding behaviour, and growth regulation 3 days after treatment (DAT). The following compounds gave at least 80% control of *Spodoptera littoralis:*

I-2, I-12, I-21, I-22, I-23, I-32, I-52, I-61, I-62, I-72, I-82, I-92, I-112, I-132, I-142, I-152, I-162, I-182, I-192, I-202, I-212, I-222, I-232, I-242, I-252, I-262, I-282, II-62, V-22, VI-22, VI-62, VI-202, X-22, X-62, XI-62, XII-22, XIII-62, XIV-22, XV-22, XVII-62, XVIII-22, XIX-22, XIX-202, XX-22, XX-62, XXI-22, XXI-62, XXII-22, XXVI-2, XXVI-22, XXVII-2, XXVII-22, XXIX-43, XXIX-93, XXIX-195, XXIX-196 and XXIX-201

*Heliothis virescens* ( Tobacco budworm):

Eggs (0-24 h old) were placed in 24-well microtiter plate on artificial diet and treated with test solutions at an application rate of 200 ppm by pipetting. After an incubation period of 4 days, samples were checked for egg mortality, larval mortality, and growth regulation. The following compounds gave at least 80% control of *Heliothis virescen:*

I-1, I-2, I-3, I-4, I-5, I-12, I-21, I-22, I-23, I-32, I-52, I-61, I-62, I-72, I-82, I-92, I-112, I-132, I-142, I-152, I-162, I-171, I-182, I-192, I-202, I-212, I-222, I-232, I-242, I-252, I-262, I-282, I-292, II-22, II-62, V-21, V-22, V-62, V-192, V-202, VI-1, VI-22, VI-62, VI-101, VI-202, IX-62, X-22, X-62, XI-62, XII-22, XIII-22, XIII-62, XIV-22, XV-22, XVII-62, XVIII-22, XVIII-202, XIX-22, XIX-202, XX-22, XX-62, XXI-22, XXI-62, XXII-22, XXV-222, XXVI-2, XXVI-22, XXVII-2, XXVII-22, XXVIII-7, XXVIII-27, XXVIII-42, XXVIII-67, XXVIII-97, XXVIII-132, XXVIII-187, XXVIII-217, XXVIII-252, XXIX-1, XXIX-7, XXIX-13, XXIX-57, XXIX-63, XXIX-75, XXIX-81, XXIX-87, XXIX-93, XXIX-111, XXIX-117, XXIX-123, XXIX-129, XXIX-141; XXIX-147, XXIX-153, XXIX-159, XXIX-165, XXIX-171, XXIX-183, XXIX-195, XXIX-196 and XXIX-201

*Plutella xylostella* (Diamond back moth):

24-well microtiter plate (MTP) with artificial diet was treated with test solutions at an application rate of 18.2 ppm by pipetting. After drying, the MTP's were infested with larvae (L2)(10-15 per well). After an incubation period of 5 days, samples were checked for larval mortality, antifeedant and growth regulation. The following compounds gave at least 80% control of *Plutella xylostella:*

I-1, I-2, I-3, I-4, I-5, I-12, I-21, I-22, I-23, I-32, I-52, I-61, I-62, I-72, I-82, I-92, I-112, I-132, I-142, I-152, I-162, I-171, I-192, I-202, I-212, I-222, I-242, I-252, I-262, I-282, I-292, II-22, II-62, V-22, V-62, V-202, VI-22, VI-62, IX-62, X-22, X-62, XI-62, XII-22, XIII-62, XIV-22, XV-22, XVII-62, XX-22, XXI-62, XXII-22, XXV-62, XXVI-2, XXVI-22, XXVII-1, XXVII-2, XXVII-22, XXVIII-97, XXVIII-187, XXIX-129, XXIX-135, XXIX-159, XXIX-177, XXIX-189, XXIX-195 and XXIX-196

*Myzus persicae* (Green peach aphid):

Sunflower leaf discs were placed on agar in a 24-well microtiter plate and sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs were infested with an aphid population of mixed ages. After an incubation period of 6 DAT, samples were checked for mortality. The following compounds gave at least 80% control of *Myzus persicae:*

I-2, I-21, II-62, XI-62, XXVII-2, XXVIII-162 and XXIX-49

*Tetranychus urticae* (Two-spotted spider mite):

Bean leaf discs on agar in 24-well microtiter plates wer sprayed with test solutions at an application rate of 200 ppm. After drying, the leaf discs are infested with mite populations of mixed ages. 8 days later, discs are checked for egg mortality, larval mortality, and adult mortality. The following compounds gave at least 80% control of *Tetranychus urticae:*

I-202, XIII-22, XIX-202, XXVI-1, XXVIII-162 and XXIX-207.

*Aedes aegypti* (Yellow fever mosquito):

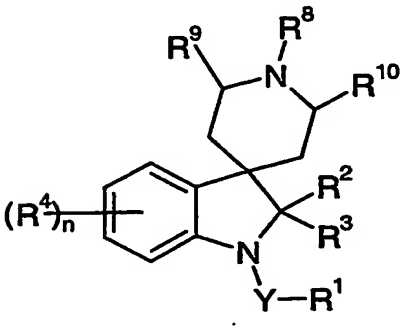
10-15 Aedes larvae (L2) together with a nutrition mixture are placed in 96-well microtiter plates. Test solutions at an application rate of 2ppm are pipetted into the wells. 2 days later, insects were checked for mortality and growth inhibition. The following compounds gave at least 80% control of *Aedes aegypti*

I-4, I-5, I-12, I-21, I-22, I-23, I-32, I-52, I-61, I-62, I-72, I-82, I-92, I-112, I-132, I-142, I-152, I-162, I-202, I-212, I-222, I-232, I-242, I-252, I-262, I-292, II-22, II-62, V-22, VI-22, VI-62, VI-202, XIV-22, XV-22, XVII-62, XVIII-22, XIX-22, XX-22, XXI-22, XXI-

62, XXII-22, XXVI-2, XXVI-22, XXVII-22, XXVIII-7, XXVIII-27, XXVIII-67, XXVIII-97, XXVIII-187, XXIX-13, XXIX-19, XXIX-25, XXIX-31, XXIX-37, XXIX-69, XXIX-75, XXIX-93, XXIX-99, XXIX-105, XXIX-117, XXIX-123, XXIX-129, XXIX-135, XXIX-159 and XXIX-183.

## CLAIMS

1. A method of combating and controlling insects, acarines, nematodes or molluscs which comprises applying to a pest, to a locus of a pest, or to a plant susceptible to attack by a pest an insecticidally, acaricidally, nematicidally or molluscicidally effective amount of a compound of formula (I):



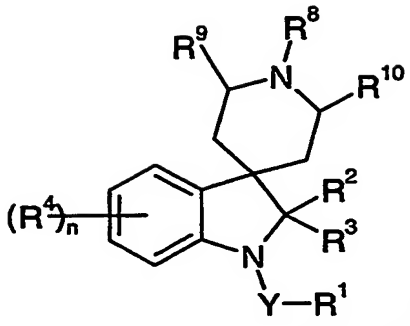
(I)

wherein Y is a single bond, C=O, C=S or $S(O)_q$ where q is 0, 1 or 2; $R^1$ is hydrogen, optionally substituted alkyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, aminocarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocyclyloxy, cyano, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, formyl, optionally substituted heterocyclyl, optionally substituted alkylthio or $NR^{13}R^{14}$ where $R^{13}$ and $R^{14}$ are independently hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocyclyl; $R^2$ and $R^3$ are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl or $C(O)NR^{15}R^{16}$ where $R^{15}$ and $R^{16}$ are independently hydrogen, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocyclyl, or $R^2$ and $R^3$ together are =O, or $R^2$ and $R^3$ together with the atoms to which they are attached form a 4, 5, 6,or 7 membered carbocylic or heterocyclic ring; each $R^4$ is independently halogen, nitro, cyano, optionally substituted $C_{1-8}$ alkyl, optionally

substituted $C_{2-6}$ alkenyl, optionally substituted $C_{2-6}$ alkynyl, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl, optionally substituted alkylaminocarbonyl, optionally substituted dialkylaminocarbonyl, optionally substituted $C_{3-7}$ cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted alkylthio or $R^{19}R^{20}N$ where $R^{19}$ and $R^{20}$ are, independently, hydrogen, $C_{1-8}$ alkyl, $C_{3-7}$ cycloalkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, $C_{3-7}$ cycloalkyl($C_{1-4}$)alkyl, $C_{2-6}$ haloalkyl, $C_{1-6}$ alkoxy($C_{1-6}$)alkyl, $C_{1-6}$ alkoxycarbonyl or $R^{19}$ and $R^{20}$ together with the N atom to which they are attached form a five, six or seven-membered heterocyclic ring which may contain one or two further heteroatoms selected from O, N or S and which may be optionally substituted by one or two $C_{1-6}$ alkyl groups, or 2 adjacent groups $R^4$ together with the carbon atoms to which they are attached form a 4, 5, 6,or 7 membered carbocylic or heterocyclic ring which may be optionally substituted by halogen; n is 0, 1, 2, 3 or 4; $R^8$ is optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted alkoxycarbonyl, optionally substituted alkylcarbonyl or optionally substituted alkenylcarbonyl; $R^9$ and $R^{10}$ are independently hydrogen, halogen, optionally substituted alkyl, optionally substituted aryl or $R^9$ and $R^{10}$ together form a group $-CH_2-$, $-CH=CH-$ or $-CH_2CH_2-$; or salts or N-oxides thereof..

2 An insecticidal acaricidal and nematicidal composition comprising an insecticidally, acaricidally or nematicidally effective amount of a compound of formula I as defined in claim 1.

3. A compound of formula IN



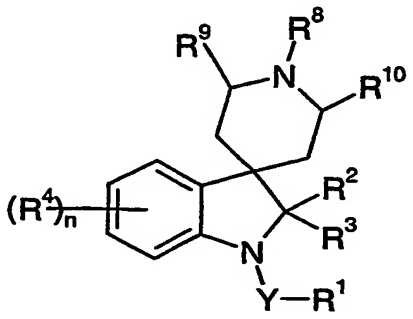
IN

wherein wherein Y, $R^1$, $R^2$, $R^3$, $R^4$, $R^9$, $R^{10}$ and n are as defined for compounds of formula (I) in claim 1 and $R^8$ is $C_{1-10}$ alkyl, $C_{1-10}$ haloalkyl, aryl($C_{1-6}$)alkyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), heteroaryl($C_{1-6}$)alkyl (wherein the heteroaryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy), arylcarbonyl($C_{1-6}$)alkyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy or $C_{1-6}$ haloalkoxy and the alkyl group may be optionally substituted by aryl), $C_{2-8}$ alkenyl, $C_{2-8}$ haloalkenyl, aryl($C_{1-6}$)alkenyl (wherein the aryl group is optionally substituted by halo, nitro, cyano, $C_{1-6}$ alkyl, $C_{1-6}$ haloalkyl, $C_{1-6}$ alkoxy, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ haloalkoxy, or two adjacent substituents can cyclise to form a 5, 6 or 7 memberedcarbocyclic or heterocyclic ring), $C_{2-6}$ alkynyl, phenyl($C_{1-6}$)alkynyl (wherein the phenyl group is optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy), $C_{3-7}$ cycloalkyl, $C_{1-6}$ alkoxycarbonyl, $C_{1-6}$ alkylcarbonyl, $C_{1-6}$ haloalkylcarbonyl or aryl($C_{1-6}$)alkenylcarbonyl (wherein the aryl group may be optionally substituted by halo, nitro, cyano, $C_{1-4}$ alkyl, $C_{1-4}$ haloalkyl, $C_{1-4}$ alkoxy, $C_{1-4}$ alkoxycarbonyl or $C_{1-4}$ haloalkoxy).

## ABSTRACT

### CHEMICAL COMPOUNDS

Insecticidal, acaricidal, nematicidal or molluscicidal compounds of formula (I)



wherein Y is a single bond, C=O, C=S or $S(O)_q$ where q is 0, 1 or 2; $R^1$ is hydrogen, cyano, formyl, aminocarbonyl, or an optionally substituted group selected from alkyl, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, aryl, heteroaryl, alkoxy, aryloxy, heteroaryloxy, heterocyclyloxy, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, alkylthio or $NR^{13}R^{14}$; $R^2$ and $R^3$ are independently hydrogen, halogen, cyano, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryl or $C(O)NR^{15}R^{16}$ or together are =O, or form a 4, 5, 6,or 7 membered; each $R^4$ is independently halogen, nitro, cyano, an optionally substituted group selected from $C_{1-8}$ alkyl, $C_{2-6}$ alkenyl, $C_{2-6}$ alkynyl, alkoxycarbonyl, alkylcarbonyl, alkylaminocarbonyl, ialkylaminocarbonyl, $C_{3-7}$ cycloalkyl, aryl, heteroaryl, heterocyclyl, alkoxy, aryloxy, heteroaryloxy, alkylthio or $R^{19}R^{20}N$ or 2 adjacent groups $R^4$ form a 4, 5, 6,or 7 membered ring which may be optionally substituted n is 0, 1, 2, 3 or 4; $R^8$ is an optionally substituted group selected from alkyl, alkenyl, alkynyl, cycloalkyl, aryl, alkoxy, aryloxy, alkoxycarbonyl, alkylcarbonyl or alkenylcarbonyl; $R^9$ and $R^{10}$ are independently hydrogen, halogen, optionally substituted alkyl, optionally substituted aryl or $R^9$ and $R^{10}$ together form a group $-CH_2-$, -CH=CH- or $-CH_2CH_2-$; or salts or N-oxides thereof.